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(71) Applicant (for all designated States except US): NISSAN CHEMICAL INDUSTRIES, LTD. [JP/JP]; 7-1, Kanda-Nishiki-cho 3-chome, Chiyoda-ku, Tokyo 101 (JP).

(72) Inventors; and (75) Inventors/Applicants (for US only): OHARA, Yoshio [JP/JP]; Nissan Chemical Industries, Ltd., Central Research Institute, 722-1, Tsuboi-cho, Funabashi-shi, Chiba 274 (JP). SUZUKI, Mikio [JP/JP]; Nissan Chemical Industries, Ltd., Central Research Institute, 722-1, Tsuboi-cho, Funabashi-shi, Chiba 274 (JP). MIYACHI, Nobuhide [JP/JP]; Nissan Chemical Industries, Ltd., Central Research Institute, 722-1, Tsuboi-cho, Funabashi-shi, Chiba 274 (JP). KATO, Katsuhiro [JP/JP]; Nissan Chemical Industries, Ltd., Central Research Institute, 722-1, Tsuboi-cho, Funabashi-shi, Chiba 274 (JP). OHDOI, Keisuke [JP/JP]; Nissan Chemical Industries, Ltd., Central Research Institute, 722-1, Tsuboi-cho, Funabashi-shi, Chiba 274 (JP). KOBAYASHI, Tetsuya [JP/JP]; Nissan Chemical Industries, Ltd., Central Research Institute, 722-1, Tsuboi-cho, Funabashi-shi, Chiba 274 (JP). SHIKADA, Ken-ichi [JP/JP]; Nissan Chemical Industries, Ltd., Seibutsukagaku Kenkyusho, No. 1470, Oaza-shiraoka, Shiraokamachi, Minamisaitama-gun, Saitama 349-02 (JP). NAITO, Takeshi [JP/JP]; Nissan Chemical Industries, Ltd., Seibutsukagaku Kenkyusho, No. 1470, Oaza-shiraoka, Shiraokamachi, Minamisaitama-gun, Saitama 349-02 (JP). YOT-SUMOTO, Takashi [JP/JP]; Nissan Chemical Industries, Ltd., Seibutsukagaku Kenkyusho, No. 1470, Oaza-shiraoka, Shiraoka-machi, Minamisaitama-gun, Saitama 349-02 (IP).

(74) Agents: YAMAMOTO, Ryozo et al.; Torimoto Kogyo Building, 38, Kanda-Higashimatsushitacho, Chiyoda-ku, Tokyo 101 (JP).

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(54) Title: THIAZOLIDINES AND OXAZOLIDINES SUBSTITUTED BY A PYRIDINE RING AND THEIR USE AS HYPO-GLYCEMIC AGENTS

(57) Abstract

The present invention provides novel pyridine type thiazolidines and their salts, expressed by formula (I) (wherein X^1 is S or O; X^2 is S, O or NH; Y is CR^6R^7 , R⁶ is a hydrogen atom, a C₁-C₇ alkyl group or a C₃-C₇ cycloalkyl group, and R⁷ is a hydrogen atom, a C₁-C₇ alkyl group or a C₃-C₇ cycloalkyl group or forms a bond together with R⁴), or SO₂; Z is a C₁-C₁₀ alkyl group, a C₂-C₁₀ alkenyl group, a C2-C10 alkynyl group, a C3-C7 cycloalkyl group, a C3-C7 cycloalkenyl group (each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkenyl groups may be

substituted with at most 3 of hydroxyl, oxo, C_1 - C_7 alkyl and C_1 - C_7 alkoxy groups), a phenyl group, a biphenyl group, an α -naphthyl group, a β -napthyl group, a benzyl group or a heterocyclic group. The compounds have a hypoglycemic effect.

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DESCRIPTION

THIAZOLIDINES AND OXAZOLIDINES SUBSTITUTED BY A PYRIDINE RING AND THEIR USE AS HYPOGLYCEMIC AGENTS

TECHNICAL FIELD

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The present invention relates to novel pyridine type thiazolidines having a hypoglycemic effect and an anti-glycation effect, which are useful in medical and veterinary fields, particularly useful for preventing or treating diabetes mellitus and diabetic complications.

BACKGROUND ART

Heretofore, various sulfonylurea derivatives and biguanide derivatives have been widely used as oral hypoglycemic agents for lowering blood sugar values.

- However, these agents had disadvantages of causing serious hypoglycemic coma and lactic acidosis revelation, and therefore every possible care must have been taken for practical use. "Chem. Pharm. Bull., vol. 30, p. 3563 (1982)", "J. Med. Chem., vol. 32, p. 421 (1989)", "J.
- Med. Chem., vol. 34, p. 318 (1991)", "J. Med. Chem., vol. 33, p. 1418 (1990)", Japanese Unexamined Patent Publication No. 64586/1980, and European Laid Open Patent Publications No. 177353, No. 283035, No. 283036, No. 332331, No. 332332 and No. 605228 disclose various thiazolidindiones which achieve a hypoglycemic effect,
- 25 thiazolidindiones which achieve a hypoglycemic effect, and these are particularly useful for treating Type II diabetes and are noted as agents for hardly causing such

hypoglycemic symptoms as caused by the above-mentioned oral hypoglycemic agents. However, although these compounds have a function of effectively lowering a blood sugar value, but it is not proved that these compounds have effects for reducing or preventing various chronic symptoms caused by diabetes, such as diabetic nephropathy, diabetic cataract, diabetic retinopathy, diabetic neuropathy and the like.

On the other hand, non-enzymatic glycosylation of vital protein has been recently noted for causing various diseases accompanied by diabetes and arteriosclerosis. Generally, the reaction of reducing sugars with amino acids and proteins caused by heat treatment of foods or during storing foods is known as Maillard reaction. It was recognized in 1970's that the Maillard reaction is 15 actually caused in a living body, and this reaction is recently called as glycation (see "J. Biol. Chem., vol. 252, p. 2998 (1977)"). Also, it has been proved that glycation is exacerbated in such chronic hyperglycemic state as in diabetes, and it is presumed that the glycation becomes a trigger for causing various diabetic complications (see "New Eng. J. Med., vol. 314, p. 403(1986)"). The process of glycation is not completely clear, but it is considered that various vital proteins are reacted with reducing sugars to non-enzymatically form Schiff base, and that this is crosslinked after causing Amadori rearrangement and is converted to

fluorescent browning materials, i.e. AGE (advanced glycosylation end products). It was recognized in rat's diabetic cataract that glycation of crystallin of lens protein is exacerbated. Also, it is presumed that glycation of myelin protein causes diabetic neuropathy and that glycation of collagen and elastin present in connective tissue causes renal dysfunction-inducing thickening of renal glomerular basement membrane and atherosclerosis. Brownlee et al reported that the antiglycation effect of aminoguanidine prevents formation of 10 AGE protein on arterial walls of a rat suffering from diabetes, and the aminoguanidine becomes remarkable as an agent for preventing diseases including diabetes mellitus (see "Science, vol. 232, p. 1629 (1986)"). However, the above-mentioned function of aminoguanidine is not always 15 sufficient, and an agent achieving an anti-glycation effect satisfactory for practical use has not been found yet.

On the other hand, aldose reductase (AR) is known to

be an enzyme for reducing aldoses such as glucose and
galactose to polyols such as sorbitol and galactitol in a
living body. It is also known that accumulation of the
polyols thus produced by the enzyme in organs induces or
exacerbates various diabetic complications such as

diabetic retinopathy, diabetic neuropathy and diabetic
nephropathy, and therefore an inhibitor against this
enzyme is useful as an agent for treating these diabetic

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complications.

Under these circumstances, the present inventors have synthesized various thiazolidines which are not disclosed in the above-mentioned literatures, and have studied their properties. As this result, the present inventors have found a compound having an anti-glycation effect and aldose-reductase inhibitory activities which were not exhibited by the above-mentioned known compounds. Thus, the present invention provides pyridine type thiazolidines capable of preventing or treating diabetes mellitus and diabetic complications.

DISCLOSURE OF THE INVENTION

The novel pyridine type thiazolidine derivatives of the present invention are pyridine type thiazolidines and their salts, expressed by the following formula (I).

$$\begin{array}{c|c}
R^2 & R^3 & R^4 & O \\
R^1 & & & & \\
Z-O & & & & & \\
\hline
(O)_n & & & & & \\
\end{array}$$
(I)

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(wherein X1 is S or O;

 X^2 is S, O or NH;

Y is CR^6R^7 (R^6 is a hydrogen atom, a C_1 - C_7 alkyl group or a C_3 - C_7 cycloalkyl group, and R^7 is a hydrogen atom, a C_1 - C_7 alkyl group or a C_3 - C_7 cycloalkyl group or forms a bond together with R^4), or SO_2 ;

Z is a C_1-C_{10} alkyl group, a C_2-C_{10} alkenyl group, a

 C_2-C_{10} alkynyl group, a C_3-C_7 cycloalkyl group, a C_3-C_7 cycloalkenyl group (each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkenyl groups may be substituted with at most 3 of hydroxyl, oxo, C_1-C_7 alkyl and C_1-C_7 alkoxy groups), a phenyl group, a biphenyl group, an α naphthyl group, a β -naphthyl group, a benzyl group, a pyridyl group, a pyrimidinyl group, a pyridazinyl group, a furanyl group, a thienyl group, a pyrrolyl group, a pyrazolyl group, an imidazolyl group, a pyranyl group (each of said phenyl, biphenyl, α -naphthyl, β -naphthyl, 10 benzyl, pyridyl, pyrimidinyl, pyridazinyl, furanyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl and pyranyl groups may be substituted with at most 3 of hydroxyl, C_1 - C_7 alkyl and C_1-C_7 alkoxy groups and a halogen atom), a substituted silyl group, a C1-C14 aliphatic acyl group, a 15 C_6-C_{10} aromatic acyl group or -A-B (A is a divalent C_1-C_6 saturated or C2-C6 unsaturated hydrocarbon group which may be substituted with at most 3 of hydroxyl, oxo and C_1-C_7 alkyl groups, and B is C_3-C_{10} cycloalkyl, C_3-C_7 cycloalkenyl, C_6-C_{14} aromatic and C_4-C_{12} heterocyclic 20 aromatic groups, which may have at most 5 substituents in total (said heterocyclic aromatic group may contain at most 5 hetero atoms selected from the group consisting of an oxygen atom, a sulfur atom and a nitrogen atom as constituents for the heterocyclic ring), or a C_4 - C_6 25 hetero-cycloaliphatic group (said hetero-cycloaliphatic group may contain at most 3 hetero atoms selected from

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the group consisting of an oxygen atom, a sulfur atom and a nitrogen atom as constituents for the heterocyclic ring));

each of R^1 , R^2 and R^3 is independently a hydrogen atom, a C_1 - C_7 alkyl group (which may be substituted with a hydroxyl group), a C_3 - C_7 cycloalkyl group, a hydroxyl group or a halogen atom;

 \mathbb{R}^4 is a hydrogen atom or a \mathbb{C}_1 - \mathbb{C}_7 alkyl group, or forms a bond together with \mathbb{R}^7 ; and

10 n is 0 or 1.)

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Substituents of the compound having the formula (I) of the present invention are defined by illustrating examples, but the scope of the present invention should not be limited to these examples.

15 Each substituent in the formula (I) is concretely illustrated hereinafter.

Examples of a C₁-C₁₀ alkyl group include methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, l-pentyl, 2-pentyl, 3-pentyl, i-pentyl, neo
pentyl, t-pentyl, l-hexyl, 2-hexyl, 3-hexyl, l-methyl-l-ethyl-n-pentyl, 1,1,2-trimethyl-n-propyl, 1,2,2-trimethyl-n-propyl, 3,3-dimethyl-n-butyl, 1-heptyl, 2-heptyl, 1-ethyl-l,2-dimethyl-n-propyl, l-ethyl-2,2-dimethyl-n-propyl, 1-octyl, 3-octyl, 4-methyl-3-n-heptyl,

6-methyl-2-n-heptyl, 2-propyl-l-n-heptyl, 2,4,4-trimethyl-1-n-pentyl, 1-nonyl, 2-nonyl, 2,6-dimethyl-4-n-heptyl, 3-ethyl-2,2-dimethyl-3-n-pentyl, 3,5,5-trimethyl-

1-n-hexyl, 1-decyl, 2-decyl, 4-decyl, 3,7-dimethyl-1-noctyl, 3,7-dimethyl-3-n-octyl, and the like.

Examples of a C₁-C₇ alkyl group include methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, l-pentyl, 2-pentyl, 3-pentyl, i-pentyl, neopentyl, t-pentyl, l-hexyl, 2-hexyl, 3-hexyl, l-methyl-lethyl-n-pentyl, l,1,2-trimethyl-n-propyl, l,2,2,-trimethyl-n-propyl, 3,3-dimethyl-n-butyl, l-heptyl, 2-heptyl, l-ethyl-l,2-dimethyl-n-propyl, l-ethyl-2,2-dimethyl-n-propyl, and the like.

Examples of a C_1-C_3 alkyl group include methyl, ethyl, n-propyl, i-propyl, and the like.

Examples of a C₂-C₁₀ alkenyl group include ethenyl,
l-methylvinyl, l-propenyl, l-methyl-l-propenyl, l-methyl2-propenyl, 2-methyl-2-propenyl, l-ethyl-2-vinyl, 1,2dimethyl-l-propenyl, 1,2-dimethyl-2-propenyl, l-ethyl-lpropenyl, l-ethyl-2-propenyl, l-methyl-l-butenyl, lmethyl-2-butenyl, 2-methyl-l-butenyl, l-i-propylvinyl, lmethyl-l-pentenyl, allyl, l-butenyl, 2-butenyl, 3butenyl, l-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl,
2,4-pentadienyl, l-hexenyl, 2-hexenyl, 3-hexenyl, 4hexenyl, 5-hexenyl, 2,4-hexadienyl, l-heptenyl, loctenyl, l-nonenyl, l-decenyl, and the like.

Examples of a C₂-C₁₀ alkynyl group include ethynyl,

25 propargyl, 1-butynyl, 2-butynyl, 3-butynyl, 1-pentynyl,

2-pentynyl, 3-pentynyl, 4-pentynyl, 1-hexynyl, 2-hexynyl,

3-hexynyl, 4-hexynyl, 5-hexynyl, 1-heptynyl, 1-octynyl,

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1-nonynyl, 1-decynyl, and the like.

Examples of a C₃-C₁₀ cycloalkyl group include cyclopropyl, l-methyl-c-propyl, 2-methyl-c-propyl, c-propylmethyl, 4-methyl-c-hexyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptanyl, cyclooctanyl, cyclononanyl, cyclodecanyl, bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, bicyclo[2.2.2]octyl, l-adamantyl, 2-adamantyl, and the like.

Examples of a C₃-C₇ cycloalkyl group include

10 cyclopropyl, l-methyl-c-propyl, 2-methyl-c-propyl, cpropylmethyl, 4-methyl-c-hexyl, cyclobutyl, cyclopentyl,
cyclohexyl, cycloheptyl, and the like.

Examples of a C₃-C₇ cycloalkenyl group include 1-cyclohexenyl, 2-cyclohexenyl, 3-cyclohexenyl, cyclopentadienyl, 2-bicyclo[2.2.1]heptenyl, 2,5-bicyclo[2.2.1]heptadienyl, and the like.

Examples of a C_1 - C_7 alkoxy group include methoxy, ethoxy, n-propoxy, i-propoxy, n-butoxy, i-butoxy, s-butoxy, t-butoxy, pentyloxy, hexyloxy, heptyloxy, and the like.

Examples of a substituted silyl group include trimethylsilyl, triethylsilyl, tri-n-propylsilyl, tri-i-propylsilyl, tri-n-butylsilyl, tri-i-butylsilyl, tri-n-hexylsilyl, dimethylethylsilyl, dimethyl-n-propylsilyl, dimethyl-n-butylsilyl, dimethyl-i-butylsilyl, dimethyl-tert-butylsilyl, dimethyl-n-pentylsilyl, dimethyl-n-octylsilyl, dimethylcyclohexylsilyl, dimethylhexylsilyl,

dimethyl-2,3-dimethylpropylsilyl, dimethyl-2(bicycloheptyl)silyl, dimethylbenzylsilyl,
dimethylphenylsilyl, dimethyl-p-tolylsilyl,
dimethylflophemesylsilyl, methyldiphenylsilyl,
triphenylsilyl, diphenyl-t-butylsilyl, tribenzylsilyl,
diphenylvinylsilyl, diphenyl-n-butylsilyl,
phenylmethylvinylsilyl, and the like.

Examples of a C_1 - C_{14} aliphatic acyl group include formyl, acetyl, propionyl, butyryl, isobutyryl, valeryl, isovaleryl, pivaloyl, lauroyl, myristoyl, acryloyl, propioloyl, methacryloyl, crotonoyl, and the like.

Examples of a C_6-C_{10} aromatic acyl group include benzoyl, 2-toluoyl, 3-toluoyl, 4-toluoyl, α -naphthoyl, β -naphthoyl, cinnamoyl, and the like.

- Examples of a C₆-C₁₄ aromatic group include phenyl, α-naphthyl, β-naphthyl, l-indenyl, 2-indenyl, 3-indenyl, 4-indenyl, 6-indenyl, 7-indenyl, 1-indanyl, 2-indanyl, 4-indanyl, 5-indanyl, 1-fluorenyl, 2-fluorenyl, 3-fluorenyl, 4-fluorenyl, 9-fluorenyl and the like.
- Examples of a C₄-C₁₂ heterocyclic aromatic group include 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 1-pyrrolyl, 2-pyrrolyl, 3-pyrrolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 3-furazanyl, 1-pyrazolyl, 3-pyrazolyl, 4-pyrazolyl, 3-oxopyrazol-l-yl, 3-

oxopyrazol-2-yl, 3-oxopyrazol-3-yl, 3-oxopyrazol-4-yl, 4-

oxopyrazol-3-yl, l-imidazolyl, 2-imidazolyl, 4imidazolyl, 2-oxoimidazol-l-yl, 2-oxoimidazol-4-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,3-triazol-4yl, 1,2,4-triazol-l-yl, 1,2,4-triazol-3-yl, 1,2,4triazol-4-yl, 1,2,4(2H,4H)-triazol-3-on-2-yl, 1,2,4-(2H,4H)-triazol-3-on-4-yl, 1,2,4(2H,4H)-triazol-3-on-5yl, 1,2,4(lH,2H)-triazol-3-on-l-yl, 1,2,4(lH,2H)-triazol-3-on-2-yl, 1,2,4(lH,2H)-triazol-3-on-5-yl, 1-tetrazolyl, 2-tetrazolyl, 5-tetrazolyl, 2-pyranyl, 3-pyranyl, 4pyranyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyridon-l-yl, 10 2-pyridon-3-yl, 2-pyridon-4-yl, 2-pyridon-5-yl, 2pyridon-6-yl, 4-pyridon-1-yl, 4-pyridon-2-yl, 4-pyridon-3-yl, 3-pyridazinyl, 4-pyridazinyl, 3(2H)-pyridazinon-2yl, 3(2H)-pyridazinon-4-yl, 3(2H)-pyridazinon-5-yl, 3(2H)-pyridazinon-6-yl, 4(lH)-pyridazinon-l-yl, 4(lH)-15 pyridazinon-3-yl, 4(1H)-pyridazinon-5-yl, 4(1H)pyridazinon-6-yl, 2-pyrimidinyl, 4-pyrimidinyl, 5pyrimidinyl, 2(lH)-pyrimidinon-l-yl, 2(lH)-pyrimidinon-4y1, 2(1H)-pyrimidinon-5-y1, 2(1H)-pyrimidinon-6-y1, 4(3H)-pyrimidinon-2-yl, 4(3H)-pyrimidinon-3-yl, 4(3H)-20 pyrimidinon-5-yl, 4(3H)-pyrimidinon-6-yl, 4(1H)pyrimidinon-1-yl, 4(lH)-pyrimidinon-2-yl, 4(lH)pyrimidinon-5-yl, 4(lH)-pyrimidinon-6-yl, 2-pyrazinyl, 2(1H)-pyrazin-1-yl, 2(1H)-pyrazin-3-yl, 2(1H)-pyrazin-5yl, 2(1H)-pyrazin-6-yl, 1,2,3-triazin-4-yl, 1,2,3-25 triazin-5-yl, 1,2,4-triazin-3-yl, 1,2,4-triazin-5-yl,

1,2,4-triazin-6-yl, 1,2,3,4-tetrazin-5-yl, 1,2,4,5-

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tetrazin-3-yl, l-indolyl, 2-indolyl, 3-indolyl, 4indoly1, 5-indoly1, 6-indoly1, 7-indoly1, 2-quinoly1, 3quinolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, 7-quinolyl, 8-quinolyl, 2-quinolon-l-yl, 2-quinolon-3-yl, 2-quinolon-4-yl, 2-quinolon-5-yl, 2-quinolon-6-yl, 2-quinolon-7-yl, 2-quinolon-8-yl, 4-quinolon-1-yl, 4-quinolon-2-yl, 4quinolon-3-yl, 4-quinolon-5-yl, 4-quinolon-6-yl, 4quinolon-7-yl, 4-quinolon-8-yl, 2-benzofuranyl, 3benzofuranyl, 4-benzofuranyl, 5-benzofuranyl, 6benzofuranyl, 7-benzofuranyl, 2-benzothienyl, 3-10 benzothienyl, 4-benzothienyl, 5-benzothienyl, 6benzothienyl, 7-benzothienyl, 1-isoquinolyl, 3isoquinolyl, 4-isoquinolyl, 5-isoquinolyl, 6-isoquinolyl, 7-isoquinoly1, 8-isoquinoly1, 1-isoquinolon-2-y1, 1isoquinolon-3-yl, 1-isoquinolon-4-yl, 1-isoquinolon-5-yl, 15 l-isoquinolon-6-yl, l-isoquinolon-7-yl, l-isoquinolon-8yl, 3-isoquinolon-2-yl, 3-isoquinolon-4-yl, 3isoquinolon-5-yl, 3-isoquinolon-6-yl, 3-isoquinolon-7-yl, 3-isoquinolon-8-yl, 2-benzoxazolyl, 4-benzoxazolyl, 5benzoxazolyl, 6-benzoxazolyl, 7-benzoxazolyl, 2-20 benzothiazolyl, 4-benzothiazolyl, 5-benzothiazolyl, 6benzothiazolyl, 7-benzothiazolyl, 1-benzopyrazolyl, 2benzopyrazolyl, 3-benzopyrazolyl, 4-benzopyrazolyl, 5benzopyrazolyl, 6-benzopyrazolyl, 7-benzopyrazolyl, 1benzimidazolyl, 2-benzimidazolyl, 4-benzimidazolyl, 5-25 benzimidazolyl, 1-benzotriazolyl, 4-benzotriazolyl, 5benzotriazoly1, 2-benzopyrany1, 3-benzopyrany1, 4-

benzopyranyl, 5-benzopyranyl, 6-benzopyranyl, 7benzopyranyl, 8-benzopyranyl, 1-indolizinyl, 2indolizinyl, 3-indolizinyl, 5-indolizinyl, 6-indolizinyl, 7-indolizinyl, 8-indolizinyl, 2-purinyl, 6-purinyl, 7purinyl, 8-purinyl, 1-phthalazinyl, 5-phthalazinyl, 6-5 phthalazinyl, 1-oxophthalazin-2-yl, 1-oxophthalazin-4-yl, l-oxophthalazin-5-yl, l-oxophthalazin-6-yl, loxophthalazin-7-yl, 1-oxophthalazin-8-yl, 2naphthyridinyl, 3-naphthyridinyl, 4-naphthyridinyl, 2quinoxalinyl, 5-quinoxalinyl, 6-quinoxalinyl, 2-10 quinazolinyl, 4-quinazolinyl, 5-quinazolinyl, 6quinazolinyl, 7-quinazolinyl, 8-quinazolinyl, 3cinnolinyl, 4-cinnolinyl, 5-cinnolinyl, 6-cinnolinyl, 7cinnolinyl, 8-cinnolinyl, 1,4-benzodioxan-2-yl, 1,4benzodioxan-5-yl, 1,4-benzodioxan-6-yl, 1,4-15 oxonaphthalen-2-yl, 1,4-oxonaphthalen-5-yl, 1,4oxonaphthalen-6-yl, 2,3-dihydro-4-benzofuranyl, 2,3dihydro-5-benzofuranyl, 2,3-dihydro-6-benzofuranyl, 2,3dihydro-7-benzofuranyl, 1,4-benzothiazin-2-yl, 1,4benzothiazin-3-yl, 1,4-benzothiazin-4-yl, 1,4-20 benzothiazin-5-yl, 1,4-benzothiazin-6-yl, 1,4benzothiazin-7-yl, 1,4-benzothiazin-8-yl, 2-pteridinyl, 4-pteridinyl, 6-pteridinyl, 7-pteridinyl, pyrazolo[1,5a)pyrimidin-2-yl, pyrazolo[1,5-a)pyrimidin-3-yl, pyrazolo[1,5-a]pyrimidin-5-yl, pyrazolo[1,5-a]pyrimidin-6-yl, pyrazolo[1,5-a]pyrimidin-7-yl, pyrazolo[5,1-

c][1,2,4]triazin-3-yl, pyrazolo[5,1-c][1,2,4]triazin-4-

y1, pyrazolo[5,1-c][1,2,4]triazin-7-y1, pyrazolo[5,1c][1,2,4]triazin-8-yl, thiazolo[3,2-b]triazol-2-yl, thiazolo[3,2-b]triazol-5-yl, thiazolo[3,2-b]triazol-6-yl, benzopyrano[2,3-b]pyridin-2-yl, benzopyrano[2,3b]pyridin-3-yl, benzopyrano[2,3-b]pyridin-4-yl, 5 benzopyrano[2,3-b]pyridin-5-yl, benzopyrano[2,3b]pyridin-6-yl, benzopyrano[2,3-b]pyridin-7-yl, benzopyrano[2,3-b]pyridin-8-yl, benzopyrano[2,3b]pyridin-9-yl, 5H-benzopyrano[2,3-b]pyridin-5-on-2-yl, 5H-benzopyrano[2,3-b]pyridin-5-on-3-yl, 5H-- 10 benzopyrano[2,3-b]pyridin-5-on-4-yl, 5H-benzopyrano[2,3b]pyridin-5-on-6-yl, 5H-benzopyrano[2,3-b]pyridin-5-on-7yl, 5H-benzopyrano[2,3-b]pyridin-5-on-8-yl, 1-xanthenyl, 2-xanthenyl, 3-xanthenyl, 4-xanthenyl, 9-xanthenyl, 1phenoxathiinyl, 2-phenoxathiinyl, 3-phenoxathiinyl, 4-15 phenoxathiinyl, 1-carbazolyl, 2-carbazolyl, 3-carbazolyl, 4-carbazolyl, 9-carbazolyl, 1-acridinyl, 2-acridinyl, 3acridinyl, 4-acridinyl, 9-acridinyl, 1-phenazinyl, 2phenazinyl, 3-phenazinyl, 4-phenazinyl, 1-phenothiazinyl, 2-phenothiazinyl, 3-phenothiazinyl, 4-phenothiazinyl, 10-20 phenothiazinyl, 1-phenoxazinyl, 2-phenoxazinyl, 3phenoxazinyl, 4-phenoxazinyl, 10-phenoxazinyl, 1thianthrenyl, 2-thianthrenyl, 3-thianthrenyl, 4thianthrenyl, 6-thianthrenyl, 7-thianthrenyl, 8thianthrenyl, 9-thianthrenyl, and the like. 25

Examples of a C_4 - C_6 heterocycloaliphatic group include 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-

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piperidyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3pyrrolidinyl, 1-imidazolidinyl, 2-imidazolidinyl, 4imidazolidinyl, 1-pyrazolidinyl, 3-pyrazolidinyl, 4pyrazolidinyl, 2-morpholinyl, 3-morpholinyl, 4morpholinyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl,
and the like.

In the present specification, "n" means normal, "i" means iso, "s" means secondary, "t" means tertiary, "c" means cyclo, "Me" means methyl, "Et" means ethyl, "Pr" means propyl, "Bu" means butyl, "Pen" means pentyl, "Hex" means hexyl, "Ph" means phenyl, and "Hal" means halogen.

Among these compounds, there is a compound having an asymmetric carbon atom at the 5-position of thiazolidine ring. The compound having the above formula (I) includes all of these optical isomers and their mixtures.

Preferable Examples (1) to (10) of the compound of the formula (I) of the present invention are further illustrated hereinafter.

(1) A pyridine type thiazolidine compound of the 20 formula (I) and its salt, wherein:

 X^2 is S or O;

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Y is CR^6R^7 (R^6 is a hydrogen atom or a C_1-C_3 alkyl group and R^7 is a hydrogen atom or a C_1-C_3 alkyl group or forms a bond together with R^4);

Z is -A-B (A is a divalent C_1-C_6 saturated or C_2-C_6 unsaturated hydrocarbon group which may be substituted with at most 3 hydroxy, oxo and C_1-C_7 alkyl groups, and B

is C₃-C₁₀ cycloalkyl, C₃-C₇ cycloalkenyl, C₆-C₁₄ aromatic and C₄-C₁₂ heterocyclic aromatic groups, which may have at most 5 substituents in total (said heterocyclic aromatic group may contain at most 5 hetero atoms selected from the group consisting of an oxygen atom, a sulfur atom and a nitrogen atom as constituents for the heterocyclic ring), or a C₄-C₆ heterocycloaliphatic group (said heterocycloaliphatic group may contain at most 3 hetero atoms selected from the group consisting of an oxygen atom, a sulfur atom and a nitrogen atom as constituents for the heterocyclic ring)),

among groups of B, said C_3-C_{10} cycloalkyl group being cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptanyl, cyclooctanyl, cyclononanyl, cyclodecanyl, bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, 15 bicyclo[2.2.2]octyl, l-adamantyl or 2-adamantyl, said C_3 -C7 cycloalkenyl group being 1-cyclohexenyl, 2cyclohexenyl, 3-cyclohexenyl, cyclpentadienyl, 2bicylo[2.2.1]heptenyl or 2,5-bicyclo[2.2.1]heptadienyl, said C_6-C_{14} aromatic group being phenyl, α -naphthyl, β -20 naphthyl, l-indenyl, 2-indenyl, 3-indenyl, 4-indenyl, 5indenyl, 6-indenyl, 7-indenyl, 1-indanyl, 2-indanyl, 4indanyl, 5-indanyl, 1-fluorenyl, 2-fluorenyl, 3fluorenyl, 4-fluorenyl or 9-fluorenyl, said C_4-C_{12} heterocyclic aromatic group being 2-furyl, 3-furyl, 2thienyl, 3-thienyl, 1-pyrrolyl, 2-pyrrolyl, 3-pyrrolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-

thiazolyl, 5-thiazolyl, 3-isoxazolyl, 4-isoxazolyl, 5isoxazolyl, 3-isothiazolyl, 4-isothiazolyl, 5isothiazolyl, 3-furazanyl, 1-pyrazolyl, 3-pyrazolyl, 4pyrazolyl, 3-oxopyrazol-l-yl, 3-oxopyrazol-2-yl, 3oxopyrazol-3-yl, 3-oxopyrazol-4-yl, 4-oxopyrazol-3-yl, 1-5 imidazolyl, 2-imidazolyl, 4-imidazolyl, 2-oxoimidazol-lyl, 2-oxoimidazol-4-yl, 1,2,3-triazol-l-yl, 1,2,3triazol-2-yl, 1,2,3-triazol-4-yl, 1,2,4-triazol-1-yl, 1,2,4-triazol-3-yl, 1,2,4-triazol-4-yl, 1,2,4(2H,4H)triazol-3-on-2-yl, 1,2,4-(2H,4H)-triazol-3-on-4-yl, 10 1,2,4(2H,4H)-triazol-3-on-5-yl, 1,2,4(1H,2H)-triazol-3on-l-yl, 1,2,4(lH,2H)-triazol-3-on-2-yl, 1,2,4(lH,2H)triazol-3-on-5-yl, l-tetrazolyl, 2-tetrazolyl, 5tetrazolyl, 2-pyranyl, 3-pyranyl, 4-pyranyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyridon-1-yl, 2-pyridon-3-yl, 2-15 pyridon-4-yl, 2-pyridon-5-yl, 2-pyridon-6-yl, 4-pyridonl-yl, 4-pyridon-2-yl, 4-pyridon-3-yl, 3-pyridazinyl, 4pyridazinyl, 3(2H)-pyridazinon-2-yl, 3(2H)-pyridazinon-4yl, 3(2H)-pyridazinon-5-yl, 3(2H)-pyridazinon-6-yl, 4(1H)-pyridazinon-1-yl, 4(1H)-pyridazinon-3-yl, 4(1H)-20 pyridazinon-5-yl, 4(lH)-pyridazinon-6-yl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2(lH)-pyrimidinon-l-yl, 2(lH)-pyrimidinon-4-yl, 2(lH)-pyrimidinon-5-yl, 2(lH)pyrimidinon-6-yl, 4(3H)-pyrimidinon-2-yl, 4(3H)pyrimidinon-3-yl, 4(3H)-pyrimidinon-5-yl, 4(3H)-25 pyrimidinon-6-yl, 4(lH)-pyrimidinon-l-yl, 4(lH)pyrimidinon-2-yl, 4(1H)-pyridiminon-5-yl, 4(1H)-

pyrimidinon-6-yl, 2-pyrazinyl, 2(lH)-pyrazin-1-yl, 2(lH)pyrazin-3-yl, 2(1H)-pyrazin-5-yl, 2(1H)-pyrazin-6-yl, 1,2,3-triazin-4-yl, 1,2,3-triazin-5-yl, 1,2,4-triazin-3yl, 1,2,4-triazin-5-yl, 1,2,4-triazin-6-yl, 1,2,3,4tetrazin-5-yl, 1,2,4,5-tetrazin-3-yl, 1-indolyl, 2-5 indolyl, 3-indolyl, 4-indolyl, 5-indolyl, 6-indolyl, 7indolyl, 2-quinolyl, 3-quinolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, 7-quinolyl, 8-quinolyl, 2-quinolon-1-yl, 2quinolon-3-yl, 2-quinolon-4-yl, 2-quinolon-5-yl, 2quinolon-6-yl, 2-quinolon-7-yl, 2-quinolon-8-yl, 4-10 quinolon-l-yl, 4-quinolon-2-yl, 4-quinolon-3-yl, 4quinolon-5-yl, 4-quinolon-6-yl, 4-quinolon-7-yl, 4quinolon-8-yl, 2-benzofuranyl, 3-benzofuranyl, 4benzofuranyl, 5-benzofuranyl, 6-benzofuranyl, 7benzofuranyl, 2-benzothienyl, 3-benzothienyl, 4-15 benzothienyl, 5-benzothienyl, 6-benzothienyl, 7benzothienyl, 1-isoquinolyl, 3-isoquinolyl, 4isoquinolyl, 5-isoquinolyl, 6-isoquinolyl, 7-isoquinolyl, 8-isoquinoly1, l-isoquinolon-2-yl, l-isoquinolon-3-yl, lisogrinolon-4-yl, l-isoquinolon-5-yl, l-isoquinolon-6-yl, 20 l-isoquinolon-7-yl, l-isoquinolon-8-yl, 3-isoquinolon-2yl, 3-isoquinolon-4-yl, 3-isoquinolon-5-yl, 3isoquinolon-6-yl, 3-isoquinolon-7-yl, 3-isoquinolon-8-yl, 2-benzoxazolyl, 4-benzoxazolyl, 5-benzoxazolyl, 6benzoxazolyl, 7-benzoxazolyl, 2-benzothiazolyl, 4-25 benzothiazolyl, 5-benzothiazolyl, 6-benzothiazolyl, 7benzothiazolyl, 1-benzopyrazolyl, 2-benzopyrazolyl, 3-

benzopyrazolyl, 4-benzopyrazolyl, 5-benzopyrazolyl, 6benzopyrazolyl, 7-benzopyrazolyl, 1-benzimidazolyl, 2benzimidazolyl, 4-benzimidazolyl, 5-benzimidazolyl, 1benzotriazolyl, 4-benzotriazolyl, 5-benzotriazolyl, 2benzopyranyl, 3-benzopyranyl, 4-benzopyranyl, 5benzopyranyl, 6-benzopyranyl, 7-benzopyranyl, 8benzopyranyl, 1-indolizinyl, 2-indolizinyl, 3indolizinyl, 5-indolizinyl, 6-indolizinyl, 7-indolizinyl, 8-indolizinyl, 2-purinyl, 6-purinyl, 7-purinyl, 8purinyl, 1-phthalazinyl, 5-phthalazinyl, 6-phthalazinyl, 10 1-oxophthalazin-2-yl, 1-oxophthalazin-4-yl, 1oxophthalazin-5-yl, 1-oxophthalazin-6-yl, 1oxophthalazin-7-yl, 1-oxophthalazin-8-yl, 2naphthyridinyl, 3-naphthyridinyl, 4-naphthyridinyl, 2quinoxalinyl, 5-quinoxalinyl, 6-quinoxalinyl, 2-15 quinazolinyl, 4-quinazolinyl, 5-quinazolinyl, 6quinazolinyl, 7-quinazolinyl, 8-quinazolinyl, 3cinnolinyl, 4-cinnolinyl, 5-cinnolinyl, 6-cinnolinyl, 7cinnolinyl, 8-cinnolinyl, 1,4-benzodioxan-2-yl, 1,4benzodioxan-5-yl, 1,4-benzodioxan-6-yl, 1,4-20 oxonaphthalen-2-yl, 1,4-oxonaphthalen-5-yl, 1,4oxonaphthalen-6-yl, 2,3-dihydro-4-benzofuranyl, 2,3dihydro-5-benzofuranyl, 2,3-dihydro-6-benzofuranyl, 2,3dihydro-7-benzofuranyl, 1,4-benzothiazin-2-yl, 1,4benzothiazin-3-yl, 1,4-benzothiazin-4-yl, 1,4-25 benzothiazin-5-yl, 1,4-benzothiazin-6-yl, 1,4-

benzothiazin-7-yl, 1,4-benzothiazin-8-yl, 2-pteridinyl,

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4-pteridinyl, 6-pteridinyl, 7-pteridinyl, pyrazolo[1,5a]pyrimidin-2-yl, pyrazolo[1,5-a]pyrimidin-3-yl, pyrazolo[1,5-a]pyrimidin-5-yl, pyrazolo[1,5-a]pyrimidin-6-yl, pyrazolo[1,5-a]pyrimidin-7-yl, pyrazolo[5,1c][1,2,4]triazin-3-yl, pyrazolo[5,1-c][1,2,4]triazin-4-. 5 yl, pyrazolo[5,1-c][1,2,4]triazin-7-yl, pyrazolo[5,1c][1,2,4]triazin-8-yl, thiazolo[3,2-b]triazol-2-yl, thiazolo[3,2-b]triazol-5-yl, thiazolo[3,2-b]triazol-6-yl, benzopyrano[2,3-b]pyridin-2-yl, benzopyrano[2,3b]pyridin-3-yl, benzopyrano[2,3-b]pyridin-4-yl, 10 benzopyrano[2,3-b]pyridin-5-yl, benzopyrano[2,3b]pyridin-6-yl, benzopyrano[2,3-b]pyridin-7-yl, benzopyrano[2,3-b]pyridin-8-yl, benzopyrano[2,3b]pyridin-9-yl, 5H-benzopyrano[2,3-b]pyridin-5-on-2-yl, 5H-benzopyrano[2,3-b]pyridin-5-on-3-yl, 5H-15 benzopyrano[2,3-b]pyridin-5-on-4-yl, 5H-benzopyrano[2,3b]pyridin-5-on-6-yl, 5H-benzopyrano[2,3-b]pyridin-5-on-7y1, 5H-benzopyrano[2,3-b]pyridin-5-on-8-y1, 1-xanthenyl, 2-xanthenyl, 3-xanthenyl, 4-xanthenyl, 9-xanthenyl, 1phenoxathiinyl, 2-phenoxathiinyl, 3-phenoxathiinyl, 4-20 phenoxathiinyl, 1-carbazolyl, 2-carbazolyl, 3-carbazolyl, 4-carbazolyl, 9-carbazolyl, 1-acridinyl, 2-acridinyl, 3acridinyl, 4-acridinyl, 9-acridinyl, 1-phenazinyl, 2phenazinyl, 3-phenazinyl, 4-phenazinyl, 1-phenothiazinyl, 2-phenothiazinyl, 3-phenothiazinyl, 4-phenothiazinyl, 10-25 phenothiazinyl, 1-phenoxazinyl, 2-phenoxazinyl, 3-

phenoxazinyl, 4-phenoxazinyl, 10-phenoxazinyl, 1-

thianthrenyl, 2-thianthrenyl, 3-thianthrenyl, 4thianthrenyl, 6-thianthrenyl, 7-thianthrenyl, 8thianthrenyl, or 9-thianthrenyl, and said C₄-C₆
heterocycloaliphatic group being 1-piperidyl, 2piperidyl, 3-piperidyl, 4-piperidyl, 1-pyrrolidinyl, 2pyrrolidinyl, 3-pyrrolidinyl, 1-imidazolidinyl, 2imidazolidinyl, 4-imidazolidinyl, 1-pyrazolidinyl, 3pyrazolidinyl, 4-pyrazolidinyl, 2-morpholinyl, 3morpholinyl, 4-morpholinyl, 2-tetrahydrofuranyl, or 3tetrahydrofuranyl;

each of R^1 , R^2 and R^3 is independently a hydrogen atom, a C_1 - C_3 alkyl group (which may be substituted with a hydroxyl group), a hydroxyl group or a halogen atom;

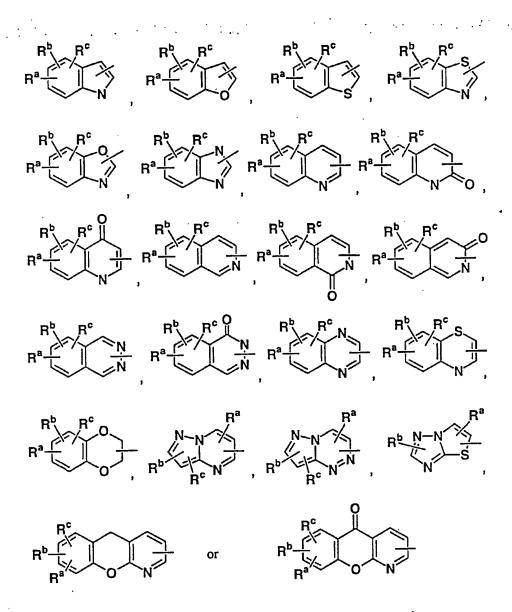
 ${\bf R}^4$ is a hydrogen atom or a ${\bf C_1-C_3}$ alkyl group, or forms a bond together with ${\bf R}^7;$ and

n is 0.

(2) A pyridine type thiazolidine compound of the formula (I) and its salt, wherein:

each of \mathbb{R}^1 , \mathbb{R}^2 and \mathbb{R}^3 is independently a hydrogen atom, a methyl group, a hydroxy group or a chlorine atom; and

B is



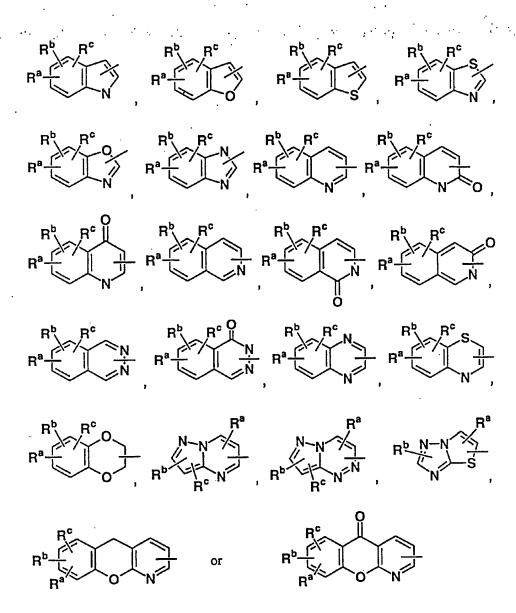
(wherein each R^a and R^b is independently a hydrogen atom, a C_1 - C_7 alkyl group, a C_3 - C_7 cycloalkyl group, a C_3 - C_7 cycloalkenyl group (said alkyl, cycloalkyl and cycloalkenyl groups may be substituted with a hydroxyl group), a hydroxy group, a C_1-C_7 alkoxy group, a C_1-C_7 alkylthio group, a fluorine atom, a chlorine atom, a bromine atom, a trifluoromethyl group, a nitro group, an amino group, a methylamino group, a dimethylamino group, an acetamide group, a methanesulfonylamide group, a carboxyl group, a C_1 - C_3 alkoxycarbonyl group, a nitrile 10 group, a carbamoyl group, a sulfamoyl group, a phenoxy group, a benzyloxy group, a phenyl, lpha-naphthyl, etanaphthyl, furanyl, thienyl, imidazolyl, pyridyl or benzyl group (each of said phenyl, α -naphthyl, β -naphthyl, furanyl, thienyl, imidazolyl, pyridyl and benzyl groups 15 may be substituted with at most 5 substituents selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, cyclopropyl, n-butyl, isobutyl, s-butyl, tbutyl, n-hexyl, cyclohexyl, methoxy, ethoxy, n-propoxy, isopropoxy, methylthio, ethylthio, n-propylthio, 20 isopropylthio, hydroxy, fluorine, chlorine, bromine, nitro and dimethylamino groups), a 1-tetrazolyl group, a 3-tetrazolyl group, a 5-tetrazolyl group, a thiazolidindion-5-yl group or a thiazolidindion-5-yl methyl group, and 25

 R^c is a hydrogen atom, a C_1 - C_7 alkyl group, a C_3 - C_7 cycloalkyl group or a hydroxymethyl group).

(3) A pyridine type thiazolidine compound of the formula (I) and its salt, wherein:

each of \mathbb{R}^1 , \mathbb{R}^2 , and \mathbb{R}^3 is independently a hydrogen atom, a methyl group, a hydroxyl group or a chlorine atom; and

Bis



(wherein each of R^a and R^b is independently a hydrogen atom, a C_1-C_7 alkyl group, a C_3-C_7 cycloalkyl group, a $C_3 C_7$ cycloalkenyl group (said alkyl, cycloalkyl and cycloalkenyl group may be substituted with a hydroxy group), a hydroxy group, a C_1-C_7 alkoxy group, a C_1-C_7 alkylthio group, a fluorine atom, a chlorine atom, a bromine atom, a trifluoromethyl group, a nitro group, an amino group, a methylamino group, a dimethylamino group, an acetamide group, a methanesulfonylamide group, a carboxyl group, a C_1 - C_3 alkoxycarbonyl group, a nitrile 10 group, a carbamoyl group, a sulfamoyl group, a phenoxy group, a benzyloxy group, a phenyl, α -naphthyl, β naphthyl, furanyl, thienyl, imidazolyl, pyridyl or benzyl group (said phenyl, α -naphthyl, β -naphthyl, furanyl, thienyl, imidazolyl, pyridyl and benzyl groups may be 15 substituted with at most 5 substituents selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, cyclopropyl, n-butyl, isobutyl, s-butyl, t-butyl, nhexyl, cyclohexyl, methoxy, ethoxy, n-propoxy, isopropoxy, methylthio, ethylthio, n-propylthio, 20 isopropylthio, hydroxy, fluorine, chlorine, bromine, nitro and dimethylamino groups), a 1-tetrazolyl group, a 3-tetrazolyl group, a 5-tetrazolyl group, a thiazolidindion-5-yl group or a thiazolidindion-5-yl methyl group, and .25

 $\rm R^c$ is a hydrogen atom, a $\rm C_1-\rm C_7$ alkyl group, a $\rm C_3-\rm C_7$ cycloalkyl group or a hydroxymethyl group).

(4) A pyridine type thiazolidine compound of the formula (I) and its salt, wherein:

x1 is S:

 R^1 , R^2 and R^3 are a hydrogen atom;

Y is CR^6R^7 (R^6 is a hydrogen atom or a methyl group, and R^7 is a hydrogen atom or forms a bond together with R^4);

 ${\ensuremath{\mathbb{R}}}^4$ is a hydrogen atom or a methyl group, or forms a bond together with ${\ensuremath{\mathbb{R}}}^7;$ and

- 10 A is a divalent C_1 - C_6 saturated or C_2 - C_6 unsaturated hydrocarbon group which may be substituted with at most 2 hydroxy, oxo and C_1 - C_7 alkyl groups (provided that the first carbon atom bonded with the oxygen atom at the 5-position of the pyridine ring of the compound of the formula (I) is not substituted with a hydroxy group or an oxo group).
 - (5) A pyridine type thiazolidine compound of the formula (I) and its salt, wherein:

Y is -CH₂-; and

20 R4 is a hydrogen atom.

(6) A pyridine type thiazolidine compound of the formula (I) and its salt, wherein:

Y is CHR^7 (R^7 forms a bond together with R^4); and R^4 forms a bond together with R^7 .

25 (7) A pyridine type thiazolidine compound of the formula (I) and its salt, wherein:

A is

$$\begin{array}{c|c} \begin{pmatrix} R^f & R^d \\ C & C \\ R^g \end{pmatrix}_m R^e \end{array}$$

(wherein m is from 0 to 5,

each of \mathbb{R}^d and \mathbb{R}^e is independently a hydrogen atom or a methyl group, and

each of R^f and R^g is independently a hydrogen atom, a methyl group or a hydroxyl group, or R^f and R^g together form an oxo group, or adjacent R^d and R^f together form a double bond, or adjacent R^d, R^f, R^e and R^g together form a triple bond, or adjacent R^f's together form a double bond when m is 2 to 5, or adjacent R^f and R^g together form a triple bond).

(8) A pyridine type thiazolidine compound of the formula (I) and its salt, wherein:

A is

$$\begin{array}{c|c} & R^f & R^d \\ \hline C & C \\ R^g & R^e \end{array}$$

20

25

10

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(wherein m is from 0 to 2,

each of \mathbb{R}^d and \mathbb{R}^e is independently a hydrogen atom or a methyl group, and

each of R^f and R^g is independently a hydrogen atom, a methyl group or a hydroxyl group, or R^f and R^g together form an oxo group, or adjacent R^d and R^f together form a

double bond, or adjacent R^d , R^f , R^e and R^g together form a triple bond, or adjacent R^f 's together form a double bond when m is 2, or adjacent R^f and R^g together form a triple bond).

(9) A pyridine type thiazolidine compound of the formula (I) and its salt, wherein:

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- 30 -

(10) A pyridine type thiazolidine compound of the formula (I) and its salt, wherein:

A is

5
$$-CH_2-CH_2 -C_1-CH_2 -C_1-CH_2-$$
 or $-CH=CH_2-$ OH

Since the compound having the above formula (I) of the present invention includes both acidic hydrogen on a thiazolidine ring and basic nitrogen on a pyridine ring in a molecule, it can form a pharmaceutically acceptable 10 non-toxic salt with an appropriate base or acid if desired. The compound of the formula (I) can be used for the purpose of the present invention either in the free form or in the form of a pharmaceutically acceptable salt. Examples of the basic salt include an alkali metal 15 salt (lithium salt, sodium salt, potassium salt and the like), an alkali earth metal salt (calcium salt, magnesium salt and the like), an aluminum salt, an ammonium salt which may be unsubstituted or substituted with a methyl, ethyl or benzyl group, an organic amine 20 salt (methylamine salt, ethylamine salt, dimethylamine salt, diethylamine salt, trimethylamine salt, triethylamine salt, cyclohexylamine salt, ethylenediamine salt, bicyclohexylamine salt, ethanolamine salt, diethanolamine salt, triethanolamine salt, piperazine salt, dibenzylpiperidine salt, dehydroabietilamine salt, N,N'-bisdehydroabietilamine salt, benzathine(N,N'-

dibenzylethylenediamine) salt, glucamine salt,
meglumine(N-methylglucamine) salt, benetamine(Nbenzylphenetylamine)salt, trometamine(2-amino-2hydroxymethyl-1,3-propanediol)salt, choline salt,
procaine salt), a basic amino acid salt (lysine salt,
ornithine salt, arginine salt and the like), a pyridin

ornithine salt, arginine salt and the like), a pyridine salt, a collidine salt, a quinoline salt, and the like. Examples of an acid-addition salt include a mineral acid salt (hydrochloride, hydrobromide, sulfate,

hydrogensulfate, nitrate, phosphate, hydrogenphosphate, dihydrogenphosphate and the like), an organic acid salt (formate, acetate, propionate, succinate, malonate, oxalate, maleate, fumarate, malate, citrate, tartrate, lactate, glutamate, asparate, picrate, carbonate and the

like), a sulfonic acid salt (methanesulfonate, benzenesulfonate, toluenesulfonate and the like), and the like. Each of these salts can be prepared by a known method.

The compound having the formula (I), i.e. pyridine
type thiazolidines, can be prepared by the following
synthetic methods.

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A reaction solvent used in the preparation is stable under the reaction conditions, and is preferably so inert as not to inhibit the reaction. Examples of the reaction solvent include water, alcohols (such as methanol, ethanol, propanol, butanol and octanol), cellosolves (such as methoxyethanol and ethoxyethanol), aprotic polar

organic solvents (such as dimethylformamide, dimethylsulfoxide, dimethylacetamide, tetramethylurea, sulfolane and N,N-dimethylimidazolidinone), ethers (such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane), aliphatic hydrocarbons (such as pentane, n-· 5 hexane, c-hexane, octane, decaline and petroleum ether), aromatic hydrocarbons (such as benzene, chlorobenzene, nitrobenzene, toluene, xylene and tetralin), halogenated hydrocarbons (such as chloroform, dichloromethane and dichloroethane), ketones (such as acetone, methyl ethyl 10 ketone and methyl butyl ketone), lower aliphatic acid esters (such as methyl acetate, ethyl acetate and methyl propionate), alkoxy alkanes (such as dimethoxyethane and diethoxyethane), acetonitrile, and the like. These solvents are optionally selected depending on the 15 reactivity of the aimed reaction, and are respectively used alone or in a mixture. In some cases, there are used as a non-aqueous solvent by using a dehydrating agent or a drying agent. The above-mentioned solvents are merely examples which can be used in the reaction of 20 the present invention, and the present invention is not limited to these conditions.

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Process 1

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$$R^2$$
 R^3 R^6 X^1 NR^8 (V) R^2 R^3 R^6 NR^8 $Z-O$ X^2 R^1 X^2 X^2 X^2 X^2 X^2 X^3 X^4 X^4 X^4 X^2 X^2 X^2 X^2 X^2 X^3

(wherein R^1 , R^2 , R^3 , R^6 , X^1 , X^2 and Z are as defined 10 above, and R^8 is a hydrogen atom or a protecting group of amide (such as Tr: trityl)).

A compound wherein R⁴ and R⁷ are bonded together in the formula (I), i.e. a compound of the formula (I-1), can be obtained by dehydration-condensation of a compound of the formula (II) and a compound of the formula (V).

The compound of the formula (V) is a well known compound or can be synthesized by the method disclosed in "J. Prakt. Chem." (vol. 2, p. 253, 1909), "J. Prakt. Chem." (vol. 3, p. 45, 1919), "Chem. Ber." (vol. 118, p. 774, 1985), and German Laid Open Patent Publication No. DE-3045059. The compound of the formula (V) wherein R⁸ is hydrogen, can be used in this reaction after protecting its basic amideproton with an appropriate substituent (such as TR: trityl) by a well known method.

This reaction is conducted usually in an appropriate organic solvent in the presence of base or acid.

Examples of such a solvent include alcohols, cellosolves,

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aprotic polar organic solvents, ethers, aromatic hydrocarbons, halogenated hydrocarbons, alkoxyalkanes and acetonitrile.

Examples of the base and the acid include organic amines (such as dimethylamine, diethylamine, 5 diisopropylamine, diisopropylethylamine, trimethylamine, triethylamine, piperidine, piperazine, pyrrolidine, morpholine, pyridine, methanolamine and ethanolamine), metal alkoxides (such as sodium methoxide, sodium ethoxide and lithium isopropoxide), inorganic alkali 10 metal salts (such as potassium carbonate, sodium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium hydride, sodium acetate and potassium acetate), organic acids (such as acetic acid, trichloroacetic acid and trifluoroacetic acid), inorganic 15 acids (such as phosphoric acid), and the like. These materials are selected appropriately depending on the reactivity of the aimed reaction.

This reaction can be accelerated by removing water formed during reaction out of the system by using an appropriate dehydrating agent such as molecular sieves and anhydrous sodium sulfate or by azeotropic distillation using Dean-Stark tube.

This reaction is conducted usually at a temperature ranging from 0°C to a boiling point of a solvent used, preferably from 20°C to 120°C, for from 0.5 to 30 hours.

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Process 2

(wherein R^1 , R^2 , R^3 , R^6 and Z are as defined above, R^9 is C_1-C_4 alkyl such as methyl, ethyl, n-propyl, i-propyl, n-butyl and t-butyl, and Hal is a chlorine atom, a bromine atom or an iodine atom).

A compound of the formula (I) wherein R^4 and R^7 are hydrogen, X^1 is S and X^2 is NH, i.e. a compound of the formula (I-2e) (R^4 , R^7 =H, X^1 =S, X^2 =NH), can be obtained by reacting thiourea with a halocarboxylic acid ester of the formula (VIII).

This reaction is conducted usually in an appropriate organic solvent in the presence of base or acid.

Examples of the solvent used include alcohols, cellosolves and aprotic polar organic solvents, and preferably sulfolane is used.

This reaction is conducted usually at a temperature ranging from 0°C to a boiling point of a solvent used, preferably from 50°C to 150°C, for 0.5 to 10 hours.

During the reaction, hydrogen halide is by-produced, but can be captured with an appropriate base to

accelerate the reaction. Examples of the base thus used include organic amines (such as dimethylamine, diethylamine, diisopropylamine, diisopropylethylamine, trimethylamine, triethylamine, piperidine, piperazine, pyrrolidine, morpholine, pyridine, methanolamine and ethanolamine), inorganic alkali metal salts (such as sodium acetate and potassium acetate), and the like.

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(wherein \mathbb{R}^1 , \mathbb{R}^2 , \mathbb{R}^3 , \mathbb{R}^6 , \mathbb{R}^9 , Z and Hal are as defined above).

A compound of the formula (I) wherein \mathbb{R}^4 and \mathbb{R}^7 are H, and \mathbb{X}^1 and \mathbb{X}^2 are S, i.e. a compound of the formula (I-2b) (\mathbb{R}^4 , \mathbb{R}^7 =H, \mathbb{X}^1 , \mathbb{X}^2 =S), can be obtained by reacting ammonium dithiocarbamate with a halocarboxylic acid ester of the formula (VIII).

This reaction is conducted usually in water or an appropriate organic solvent, or in a mixture thereof. Examples of the solvent thus used include alcohols, cellosolves and aprotic polar organic solvents.

This reaction is conducted usually at a temperature

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ranging from -10°C to 50°C, preferably from 0°C to 30°C, for 0.5 to 50 hours.

During this reaction, hydrogen halide is by-produced, but can be captured with an appropriate base to accelerate the reaction. Examples of the base thus used include organic amines (such as dimethylamine, diethylamine, diisopropylamine, diisopropylethylamine, trimethylamine, triethylamine, piperidine, piperazine, pyrrolidine, morpholine, pyridine, methanolamine and ethanolamine), inorganic alkali metal salts (such as potassium carbonate, sodium carbonate, sodium acetate and potassium acetate), and the like.

The addition product thus obtained is treated with an acid (such as hydrochloric acid) to obtain a compound of the formula (I-2b).

Process 4

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(wherein R^1 , R^2 , R^3 , R^6 , R^9 , Z and Hal are as defined above).

A compound of the formula (I) wherein \mathbb{R}^4 and \mathbb{R}^7 are H, X^1 is S and X^2 is O, i.e. a compound of the formula (I-2a) (\mathbb{R}^4 , \mathbb{R}^7 =H, X^1 =O, X^2 =S), can be obtained by reacting an alkalithiocyanate (such as potassium thiocyanate or sodium thiocyanate) with a halocarboxylic acid ester of the formula (VIII) to prepare a compound of the formula (XII) and by treating the compound with an acid.

This reaction is conducted usually in an appropriate organic solvent. Examples of the solvent thus used include aprotic polar organic solvents.

This reaction is conducted usually at a temperature ranging from 50°C to 150°C, preferably from 80°C to 120°C, for 0.5 to 10 hours.

A compound of the formula (XII) is isolated, or it is further subjected to acid treatment in the reaction system without being isolated therefrom to obtain the aimed compound of the formula (I-2a). Examples of the acid thus used include hydrochloric acid, and the acid treatment is conducted in an alcohol or an aprotic polar organic solvent. This reaction is conducted at a temperature of from 50°C to 150°C, preferably from 70°C to 100°C, for 5 to 50 hours.

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Process 5

(wherein \mathbb{R}^1 , \mathbb{R}^2 , \mathbb{R}^3 , \mathbb{R}^4 , \mathbb{R}^6 , \mathbb{R}^8 , \mathbb{X}^1 , \mathbb{X}^2 , \mathbb{Z} and Hal are as defined above).

A compound of the formula (I) wherein \mathbb{R}^7 is hydrogen, i.e. a compound of the formula (I-2), can be obtained by reacting a compound of the formula (V) with a

- halopyridine of the formula (VI). The compound of the formula (V) used herein is a well known compound or can be synthesized by a method disclosed in "Ukr. Khim. Zr." (vol. 16, p. 545, 1950), "J. Med. Chem." (vol. 34, p. 1538, 1991), "J. Prakt. Chem." (vol. 2, 79, p. 253)
- 25 (1909), "J. Prakt. Chem." (vol. 2, 99, P. 56 (1919) or Japanese Unexamined Patent Publication No. 216882/1984. The compound of the formula (V) wherein R^B is hydrogen,

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is used in this reaction preferably after protecting its basic amide proton with an appropriate substituent (such as Tr: trityl) by a known method.

This reaction is conducted usually in an appropriate organic solvent in the presence of base. Examples of the solvent thus used include aprotic polar organic solvents, ethers and alkoxyalkanes. Examples of the base thus used include a strong base such as alkali metal amides (e.g. sodium amide and potassium amide). These materials are selected optionally depending on the reactivity of the aimed reaction.

Also, this reaction can be conducted in accordance with a method disclosed in "J. Amer. Chem. Soc." (vol. 87, p. 4588, 1965) or "J. Med. Chem." (vol. 34, p. 1538, 1991). In such a case, a compound of the formula (V) is reacted with magnesium methylcarbonate in an inert gas atmosphere such as nitrogen and in an aprotic polar organic solvent such as dimethylformamide to form a chelate compound, and the chelate compound thus formed is further reacted with a halopyridine of the formula (VI) to obtain a compound of the formula (I-2). This reaction is conducted usually at a temperature ranging from 20°C to 150°C, preferably from 70°C to 100°C. The reaction time varies depending on the materials used, but the formation of the chelate compound takes from 0.5 to 2 hours and the reaction with the halopyridine takes from 0.5 to 5 hours.

 $(R^8=H)$

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In some cases, an amide group at the 3-position of thiazolidine of the compound of the formula (I-2) thus obtained may be deprotected by a well-known method. When \mathbb{R}^8 is Tr (trityl), this method is conducted by using an 5 organic acid such as trifluoroacetic acid and trichloroacetic acid or an inorganic acid such as hydrochloric acid and sulfuric acid. This reaction is conducted in the absence of a solvent or in the presence of a solvent such as ethers including tetrahydrofuran and dioxane and halogenated solvents including chloroform and dichloromethane, at a temperature ranging from 0°C to 100°C, preferably from 10°C to 50°C, for 0.1 to 5 hours. Process 6

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(wherein R¹, R², R³, R⁴, R⁸, X¹, X², Y and Z are as defined above, and R¹¹ is an appropriate leaving group in nucleophilic substitution reaction, examples of which include a halogen such as chlorine, bromine and iodine, and an aromatic or aliphatic sulfonyloxy group such as ptoluenesulfonyloxy, benzenesulfonyloxy and methanesulfonyloxy).

Among compounds of formula (I), a compound wherein A is COCH₂ (m=1, R^d, R^e=H, R^f and R^g together represent oxo) can be obtained by using a compound of the formula (X) 10 such as $B-COCH_2-Hal$ (Z=B-COCH₂, $R^{11}=Hal$, B and Hal are substituents explained above). Such a compound is well known and is commercially available, or can be obtained by a well known method (for example, British Laid Open Patent Publication No. 1107677 discloses a compound 15 wherein B is pyrrole, Japanese Unexamined Patent Publication No. 85372/1986 discloses a compound wherein B is oxazole or thiazole and U.S. Patent No. 4,167,626 discloses a compound wherein B is triazole). Also, such a compound can be obtained by halogenating $\mathtt{B-COCH_3}$ (for 20 example, "Bull. Soc. Chim. Fr., p. 1760 (1973)" discloses a compound wherein B is furan, "Tetrahedron, 29(2), p. 413 (1973)" discloses a compound wherein B is thiophene, "J. Heterocyclic Chem., 27(5), p. 1209 (1990)" discloses a compound wherein B is pyrrole, "Bull. Soc. Chim. Fr., p. 540 (1988)", "Bull. Soc. Chim. Fr., p. 318 (1987)", "J. Heterocyclic Chem., 23(1), P. 275 (1986)", "Arch.

Pharm., 316(7), p. 608 (1983)" and "Synlett., (7), p. 483 (1991)" disclose a compound wherein B is pyrazole, "J. Heterocyclic Chem., 17(8), p. 1723 (1980)" discloses a compound wherein B is imidazole, and "J. Chem. Soc. C(20), p. 2005 (1976)" and "Heterocycles, 26(3), p. 745 (1987)" disclose a compound wherein B is triazole) as a starting material by means of an appropriate well known halogenation method (e.g. a method disclosed in Japanese Unexamined Patent Publication No. 85372/1986). Also, such a compound can be obtained by subjecting B-CO2R' 10 (R'=lower alkyl or substituted or unsubstituted benzyl) (for example, "Z. Chem., 9(1), p. 22 (1969)" and "Synth. Commun., 20(16), p. 2537 (1990)" disclose a compound wherein B is thiophene, "J. Org. Chem., 55(15), p. 4735 (1990)" and "Chem. Pharm. Bull., 17(3), p. 582 (1969)" 15 disclose a compound wherein B is pyrrole, European Laid Open Patent Publication No. 506194 discloses a compound wherein B is imidazole, and "Chem. Ber., 117(3), p. 1194 (1984)" discloses a compound wherein B is pyrazole or triazole) as a starting material to an appropriate well 20 known reduction-oxidation reaction (for example, reduction by diisobutyl aluminum hydride and then oxidation by manganese dioxide) to obtain B-CHO, and further by converting the product thus obtained to B- $COCH_2$ -hal by an appropriate method (e.g. a method 25 disclosed in "Tetrahedron Letters, p. 4661 (1972)").

A compound of the formula (I) can also be obtained by

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reacting a compound of the formula (X) with a hydroxy group at the 5-position of a compound of the formula (IX) by nucleophilic substitution reaction. The compound of the formula (IX) is preferably protected by substituting hydrogen of R⁸ with an appropriate substituent (e.g. Tr: trityl).

This reaction is usually conducted in an appropriate organic solvent in the presence of base. Examples of the solvent used include aprotic polar organic solvents, ethers, aromatic hydrocarbons, hydrogenated hydrocarbons, alkoxyalkanes, acetonitrile, and the like.

Examples of the base thus used include organic amines (such as dimethylamine, diethylamine, diisopropylamine, diisopropylethylamine, trimethylamine, triethylamine, piperidine, piperazine, pyrrolidine, morpholine, 15 pyridine, methanolamine and ethanolamine), metal alkoxides (such as sodium methoxide, sodium ethoxide, lithium isopropoxide and potassium t-butoxide), inorganic alkali metal salts (such as sodium hydroxide, potassium hydroxide, lithium hydroxide, potassium carbonate, sodium 20 carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium hydride, sodium acetate and potassium acetate), and alkali metal amides (such as sodium amide). These materials are selected appropriately depending on the reactivity of the aimed 25 reaction.

This reaction is conducted usually at a temperature

ranging from -20°C to a boiling point of the solvent used, preferably from 20°C to 150°C, for from 0.5 to 30 hours.

An amino group at the 3-position of thiazolidine of the compound of the formula (I) thus obtained may be deprotected by the method described in the above Process 5.

Process 7

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$$R^{4}$$
 NR^{8}
 (V)
 R^{2}
 R^{3}
 SO_{2} -Hal

 X^{2}
 R^{1}
 X^{2}
 X^{3}
 X^{2}
 X^{3}
 X^{4}
 X^{2}
 X^{3}
 X^{4}
 X^{5}
 X^{7}
 X^{1}
 X^{1}
 X^{2}
 X^{2}
 X^{2}
 X^{2}
 X^{2}
 X^{3}
 X^{4}
 X^{5}
 X^{5}
 X^{2}
 X^{2}
 X^{2}
 X^{2}

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(wherein R^1 , R^2 , R^3 , R^4 , R^8 , X^1 , X^2 , Z and Hal are as defined above).

Among compounds having the formula (I), a compound

25 wherein Y is SO₂, i.e. a compound of the formula (I-3)

(Y=SO₂), can be obtained by reacting a compound of the formula (V) with a compound of the formula (XI). A

pyridinesulfonyl halide of the formula (XI) can be obtained by alkylating a hydroxy group at the 5-position of 5-hydroxy-2-pyridinesulfonic acid (as disclosed in "J. Chim. Phys. Phys. -Chim. Biol., vol. 79(3), p. 265 (1982)") as a starting material by means of an appropriate well known method, and further by halogenating the alkylated product with an appropriate halogenating agent (for example, PCl₅ as disclosed in "Org. Synth. Coll. vol. I, p. 84 (1941)" and "J. Amer. Chem. Soc., vol. 69, p. 1170 (1947)" and SOCl₂/DMF as disclosed in "Helv. Chim. Acta., vol. 42, p. 1653 (1959)").

atmosphere such as nitrogen gas in an appropriate organic solvent in the presence of a strong base. Examples of the solvent thus used include ethers, preferably tetrahydrofuran. Examples of the base thus used include alkali metal amides (such as LDA: lithium diisopropylamide), aliphatic or aromatic lithium compounds (such as n-butyl lithium, t-butyl lithium and phenyl lithium). These materials are selected appropriately depending on the reactivity of the aimed reaction.

This reaction is conducted usually at a temperature ranging from -100°C to 50°C, preferably from -78°C to 20°C, for from 0.1 to 10 hours.

An intermediate used in the synthesis of the compound

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of the present invention is prepared as illustrated hereinafter.

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(IV)

(IV)

(III)

$$R^{2} = R^{3} = OH$$
 $Z = OHO$
 $Z = OHO$

(wherein R^1 , R^2 , R^3 , R^6 , R^{11} and Z are as defined above).

Among compounds having the formula (II), a compound wherein R⁶ is hydrogen can be obtained by reacting a compound of the formula (X) with a hydroxy group at the 5-position of 5-hydroxypyridinemethanol of the formula (IV) by nucleophilic substitution reaction to produce a compound of the formula (III), and by oxidizing a hydroxymethyl group at the 2-position of the compound of the formula (III) thus prepared.

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5-Hydroxypyridine methanols of the formula (IV) can be synthesized by such a method as disclosed in U.S.

Patent No. 4,202,901. In this method, 5-hydroxypyridine methanol can be obtained by oxidizing 5-hydroxy-2picoline with an appropriate oxidizing agent (such as hydrogen peroxide in acetic acid) to form pyridine-Noxide, transforming the pyridine-N-oxide into acyloxy methylpyridine by an appropriate organic acid anhydride (such as acetic anhydride), and hydrolyzing the acyloxy methylpyridine with an appropriate acid or base to form 5-hydroxypyridine methanol. Also, a methyl-substituted product can be obtained by such a method as disclosed in 10 "J. Med. Chem., vol. 20(10), p. 1528 (1977)" and "J. Med. Chem., vol. 35(20), p. 3667 (1992)", a hydroxysubstituted product can be obtained by such a method as disclosed in "Bull. Chem. Sci. Jpn., vol. 52(1), p. 107 (1979)", and a bromo- or chloro-substituted product can 15 be obtained by such a method as disclosed in U.S. Patent No. 4,025,333 and "J. Med. Chem., vol. 17(2), p. 172 (1974)".

The step of preparing the compound of the formula

(III) can be conducted under the same conditions as

described with regard to the process 6.

The step of preparing the compound of the formula

(II) can be conducted by using an appropriate oxidizing

agent (such as manganese dioxide, PCC: pyridinium

chlorochromate, PDC: pyridinium dichromate, DDQ:

dichlorodicyanobenzoquinone, chloranil, Swern oxidation:

oxalylchloride-dimethylsulfoxide-tertiary amine, and

sulfur trioxide-pyridine complex).

Also, the compound of the formula (II) can be obtained by reacting a compound of the formula (X) with a hydroxy group at the 5-position of hydroxypyridine aldehyde of the formula (XIII) by nucleophilic 5 substitution reaction. The hydroxypyridine aldehyde of the formula (XIII) can be prepared by such a method as disclosed in Japanese Unexamined Patent Publication No. 273659/1990, or by oxidizing a hydroxymethyl group of the compound of the formula (IV) with an appropriate well 10 known oxidizing agent such as PCC (pyridinium chlorochromate). The step of preparing the compound of the formula (II) is conducted by reacting the material in an appropriate organic solvent or in the presence of base. Examples of the solvent thus used include aprotic 15 polar organic solvents, ethers, aromatic hydrocarbons, halogenated hydrocarbons, alkoxyalkanes and acetonitrile, and among them, dimethylformamide (DMF) is preferably used. Examples of the base thus used include organic amines (such as dimethylamine, diethylamine, 20 diisopropylamine, diisopropylethylamine, trimethylamine, triethylamine, piperidine, piperazine, pyrrolidine, morpholine, pyridine, methanolamine, ethanolamine, Acid Captor H: 3,4-dihydro-2H-pyrido[1,2-a]pyrimidin-2-one and Acid Captor 9M: 9-methyl-3,4-dihydro-2H-pyrido[1,2-.25 a)pyrimidin-2-one), and inorganic alkali metal salts (such as sodium hydroxide, potassium hydroxide, lithium

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hydroxide, potassium carbonate, sodium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium hydride, sodium acetate and potassium acetate), and among them, diisopropyl ethylamine, Acid Captor 9M and sodium hydrogencarbonate are preferably used. These materials are selected appropriately depending on the reactivity of the aimed reaction. This reaction is conducted usually at a temperature ranging from -10°C to 100°C, preferably from 0°C to 50°C, for from 0.5 to 5 hours.

The compound of the formula (II) $(R^6=H)$ obtained by the above-mentioned method, can be further modified into a compound of the formula (II) $(R^6\ne H)$ by alkylating a formyl group with an appropriate alkylating agent by means of a well known method.

This step can be conducted by a method using diazomethane as described in "Tetrahedron Letters, p. 955 (1963)" and "Chem. Ber. vol. 40, p 479 (1907)", a method using halogenated alkyl as described in "Synth. Commun., vol. 14(8), p. 743 (1984)" or a method using alkyl lithium as described in "J. Org. Chem., vol. 30, p. 226 (1965)".

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(wherein R^1 , R^2 , R^3 , R^6 , R^9 , Z and Hal are as defined above, and R^{10} represents OR^9 (R^9 is as defined above) or C_1-C_3 alkyl such as methyl, ethyl, n-propyl and ipropyl).

A halocarboxylic acid ester of the formula (VIII) can be obtained by reacting a halomethylpyridine with a malonic acid ester or a lower acylacetic acid ester by a known method to form a compound of the formula (VII), and by halogenating the compound thus formed.

A halomethylpyridine of the formula (VI) can be 10 synthesized by such a method as described in "Bull. Pol. Acad. Sci. Chem., vol. 38, No. 1-12, p.17 (1990)". Thus, the halomethylpyridine of the formula (VI) can be obtained by halogenating acyloxy methylpyridine described in the synthesis of the compounds of the formula (III) or 15 (IV), with an appropriate halogenating agent (such as thionyl halide, phosphorus oxyhalide, phosphorus trihalide, phosphorus pentahalide, hydrochloric acid and hydrobromic acid). Also, it can be obtained by halogenating a pyridine-N-oxide as a starting material 20 with phosphorus oxyhalide, benzenesulfonyl halide or ptoluenesulfonyl halide by means of a method as described in U.S. Patent No. 5,202,321, "J. Org. Chem., vol. 27, p. 3856 (1962)" or "J. Org. Chem., vol. 38, p. 927 (1973)".

Among the compounds having the formula (VII), a compound wherein R^{10} is C_1-C_3 alkyl, can be obtained by reacting a halomethylpyridine of the formula (VI) with a

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lower acylacetic acid ester such as methyl acetoacetate and ethyl acetoacetate in the presence of an appropriate base (such as sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, sodium amide, potassium amide, diisopropyl amide, butyl lithium, metal sodium and potassium carbonate) in accordance with such a method as described in "J. Amer. Chem. Soc., vol. 64, p. 435 (1942)".

Among the compounds having the formula (VII), a compound wherein R¹⁰ is OR⁹, can be obtained by reacting a halomethylpyridine of the formula (VI) with a malonic acid ester such as diethyl malonate and di-t-butyl malonate in the presence of an appropriate base as mentioned above, in accordance with such a method as described in "J. Amer. Chem. Soc., vol. 74, p. 831 (1952)" and "Org. Synth. Coll. vol. 3, p. 705 (1955)".

The step of synthesizing a compound of the formula (VIII) can be conducted by using an appropriate halogenating agent (such as bromine and N-chlorosuccinimide) in the presence of an appropriate base (such as sodium hydroxide, sodium methoxide and potassium carbonate) in accordance with such a method as described in "J. Amer. Chem. Soc., vol. 71, p. 3107 (1949)" and "Tetrahedron Letters, vol. 28, p. 5505 (1987)".

Also, a compound of the formula (VIII) can be obtained by reacting a halomethylpyridine of the formula (VI) with a diazoacetic ester in the presence of a copper

catalyst in accordance with such a method as described in "Zur. Russ. Fiz-Chim., vol. 21, p. 851 (1951)".

(wherein R^1 , R^2 , R^3 , R^6 , R^8 , X^1 , X^2 and Z are as defined above).

A compound of the formula (I-1) (wherein R⁴ and R⁷ are bonded together) obtained by the above method can be modified into a compound of the formula (I-2) (R⁴, R⁷=H) by appropriately reducing a double bond between a pyridine ring and a thiazolidine ring (for example by catalytic hydrogenation in the presence of an appropriate catalyst, by using an appropriate metal-hydrogen complex compound, or by using magnesium or sodium amalgam in methanol).

The catalytic hydrogenation is conducted usually in alcohols, cellosolves, aprotic polar organic solvents, ethers, alkoxyalkanes, lower aliphatic acid esters or lower aliphatic acids, and particularly methanol, ethanol, methoxyethanol, dimethylformamide, tetrahydrofuran, dioxane, dimethoxyethane, ethyl acetate or acetic acid is preferably used alone or in a mixture.

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Examples of the catalyst used include palladium black, palladium carbon and platinum oxide. This reaction can proceed at normal temperature under normal pressure, but it is preferable to conduct the reaction at an elevated temperature under a increased pressure depending on the reactivity of the aimed reaction.

The reduction by a metal-hydrogen complex compound is conducted by using sodium borohydride, potassium borohydride, lithium borohydride, tetramethyl ammonium borohydride or zinc borohydride in an aprotic polar organic solvent at a temperature ranging from 0°C to 150° C, preferably from 0°C to 30° C. In this reduction, undesired side-reaction can be inhibited by using a Co reagent such as $CoC\ell_2$, $CoC\ell_3$ or $Co(OAc)_2$ in the presence of a ligand such as dimethyl glyoxime, $2,2^{\circ}$ -bipyridyl or 1,10-phenanthroline (see WO93/13095).

(wherein \mathbb{R}^1 , \mathbb{R}^2 , \mathbb{R}^3 , \mathbb{R}^4 , \mathbb{R}^6 , \mathbb{R}^8 , \mathbb{X}^1 , \mathbb{X}^2 and \mathbb{Z} are as defined above).

A compound of the formula (I-2) (\mathbb{R}^4 , \mathbb{R}^7 =H) can be modified into a compound of the formula (I-2) (\mathbb{R}^4 \neq H,

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R⁷=H) by alkylating hydrogen at the 5-position of thiazolidine with an appropriate alkylating agent (such as halogenated alkyl including methyl iodide or ethyl iodide, alkyl sulfate including dimethyl sulfate or diethyl sulfate, and aliphatic or aromatic sulfonic acid esters including methyl tosylate or methyl mesylate) in accordance with a well known method.

This reaction is conducted usually in an appropriate organic solvent in the presence of base. Examples of the solvent thus used include aprotic polar organic solvents, ethers, alkoxyalkanes and the like, and among them, tetrahydrofuran and dimethoxyethane are particularly preferable. Examples of the base include alkali metal amides (such as lithium diisopropylamide (LDA) and potassium amide) and aliphatic or aromatic lithium compounds (such as n-butyl lithium, t-butyl lithium and phenyl lithium). These materials selected appropriately depending on the reactivity of the aimed reaction.

This reaction is conducted usually at a temperature ranging from -20°C to 100°C, preferably from -10°C to 30°C, for from 0.1 to 10 hours.

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(wherein \mathbb{R}^1 , \mathbb{R}^2 , \mathbb{R}^3 , \mathbb{R}^6 and Z are as defined above).

A compound of the formula (I-2e) ($X^1=S$, $X^2=NH$) can be modified into a compound of the formula (I-2a) ($X^1=S$, $X^2=O$) by hydrolyzing an imino group at the 2-position of the thiazolidine in accordance with a well known method.

This reaction is conducted usually in an appropriate organic solvent in the presence of water or acid.

Examples of the solvent thus used include alcohols, cellosolves, aprotic polar organic solvents, ethers, alkoxyalkanes, and the like, and particularly methanol, ethanol, methoxyethanol, sulfolane, dioxane and dimethoxyethane are preferably used. Examples of the acid thus used include inorganic acids (such as hydrochloric acid, sulfuric acid and hydrobromic acid). These materials are selected appropriately depending on the reactivity of the aimed reaction.

This reaction is conducted usually at a temperature of from 50°C to a boiling point of a solvent used, preferably from 80°C to 150°C, for from 0.5 to 30 hours.

(wherein R^1 , R^2 , R^3 , Y and Z are as defined above).

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A compound of the formula (Ic) $(X^1=0, X^2=S)$ can be modified into a compound of the formula (Id) $(X^1=0, X^2=0)$ by oxidizing a thioxo group at the 2-position of thiazolidine in accordance with a well known method.

This reaction is conducted by using an appropriate . 2 oxidizing agent (such as hydrogen peroxide, an organic peroxide including peracetic acid, perbenzoic acid, methachloroperbenzoic acid, monopermaleic acid, monoperphthalic acid and the like, mercury ion, bromine, chlorine and meta-periodic acid) generally in water or in 10 a solvent such as aprotic polar organic solvents (e.g. dimethylformamide, dimethylsulfoxide, dimethylacetamide, tetramethylurea, sulfolane and N,Ndimethylimidazolidinone), ethers (e.g. tetrahydrofuran and dioxane), and alkoxyalkanes (e.g. dimethoxyethane and 15 diethoxyethane). These materials are selected appropriately depending on the reactivity of the aimed reaction, and are used respectively alone or in combination.

This reaction is conducted generally at a temperature ranging from 0°C to a boiling point of a solvent used, preferably from 20°C to 100°C, for from 0.5 to 30 hours.

A compound of the formula (I) can be converted into the corresponding N-oxide form by oxidizing a nitrogen atom on a pyridine ring with an appropriate oxidizing agent in accordance with a well known method. Examples of the oxidizing agent used in this method include

hydrogen peroxide (used in acetic acid or trifluoroacetic acid at a temperature of from 0°C to 100°C, preferably from 50°C to 80°C, for from 1 to 20 hours, preferably from 3 to 10 hours, optionally in the presence of a catalytic amount of sulfuric acid to accelerate the reaction), peracetic acid (used in water or acetic acid, optionally in an organic solvent such as tetrahydrofuran or ethyl acetate, at a temperature of from 0°C to 100°C, preferably from 40°C to 80°C, for from 1 to 10 hours, preferably from 3 to 5 hours), perbenzoic acid or 10 methachloroperbenzoic acid (used in an organic solvent such as chloroform or benzene, at a temperature of from 0°C to 50°C, preferably from 10°C to 30°C, for from 0.1 to 3 hours, optionally more than 2 weeks), and monopermaleic acid or monoperphthalic acid (used in an 15 organic solvent such as chloroform or ethanol, at a temperature of from -10°C to 50°C, preferably from 0°C to 30°C, for from 0.5 to 50 hours, preferably from 1 to 10 hours). These oxidizing agents can be used in combination. Also, these N-oxide products can be 20 converted into the corresponding pyridine products by reducing a nitrogen atom on a pyridine ring with an appropriate reducing agent in accordance with a well known method. Examples of the reducing agent used in this method include catalytic hydrogenation (used in an 25 organic solvent such as acetic acid, acetic anhydride, methanol or ethanol, optionally a mixture thereof, at a

temperature of from 0°C to 100°C, preferably from 10°C to 70°C, under from atmospheric pressure to 30 atoms, preferably from normal pressure to 10 atoms, in the presence of a catalyst such as Raney nickel, Urushibara nickel, palladium carbon or platinum oxide), iron (used in acetic acid at a temperature of from 50°C to 100°C, preferably from 70°C to 80°C, for from 0.5 to 5 hours, preferably from 1 to 2 hours), zinc (used in acetic acid, optionally in the presence of a catalytic amount of sulfuric acid), phosphorus trichloride, phosphorus 10 pentachloride and phosphorus tribromide (used in an organic solvent such as chloroform or ethyl acetate, at a temperature of from 0°C to 100°C, preferably from 20°C to 80°C, for from 0.5 to 5 hours, preferably from 1 to 3 hours), triethylphosphine, triphenylphosphine and 15 triethyl phosphite (used in an organic solvent such as ethyl acetate, at a temperature of from 150°C to 300°C for from 0.5 to 10 hours), and sulfur and sulfur dioxide (used in an organic solvent such as benzene or chlorobenzene, at a temperature of from 150°C to 200°C 20 for from 0.5 to 30 hours). The above-mentioned compounds (II), (III), (IV),

The above-mentioned compounds (II), (III), (IV), (VI), (VII), (VIII), (IX) and (X) are novel compounds, and are useful as intermediate products for preparing the compound of the formula (I) of the present invention.

The following Tables 1 to 16 illustrate examples of the compounds having the formulas (I-1), (I-2) and (I-3)

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- 60 -

of the present invention. Furthermore, the pyridine-Noxides obtained by oxidizing a nitrogen atom on a
pyridine ring and the salts derived by treating a basic
nitrogen on a thiazolidine ring by means of a well known
method are also the compounds of the present invention.

- 61 -Table 1

$$Ph \xrightarrow{O} Me \\ N \xrightarrow{N} Q \xrightarrow{N} X^1 \xrightarrow{NH} X^2$$

Y	R ⁴	X 1	X 2
-C(Me)=	bond with R7	S	0
-CH ₂ -	Me	S	0
-CH(Me)-	Н	S	0
-CH(Me)-	Me	S	0
-SO ₂ -	Н	S	0
-SO ₂ -	Me	S	0
-CH=	bond with R7	S	0
-CH=	bond with R7	S	S
-CH=	bond with R7	0	S _.
-CH=	bond with R7	0	0
-CH=	bond with R7	S	NH
-CH ₂ -	Н	S	0
-CH ₂ -	Н	S	S
-CH ₂ -	Н	0	S
-CH ₂ -	Н	0	0
-CH ₂ -	H	S	NH

- 62 -Table 2

$$Ph \xrightarrow{N} O \xrightarrow{R^2} Y \xrightarrow{R^4} O \xrightarrow{N} X^1 \xrightarrow{NH} X^2$$

R1	R ²	R ³	Y	R ⁴	X 1	X ²
H	Cl	Н	-CH=	bond with R7	S	0
Вr	H	Вr	-CH=	bond with R7	S	0
I	H	H	-CH=	bond with R ⁷	S	0
H	OMe	H	-CH =	bond with R ⁷	S	0
· OMe	H	H	-CH=	bond with R ⁷	S	0
Н	Me	H	-CH=		S	0
Me	H	H	-CH =	bond with R7	S	0
H	H	Me	-CH=		S	0
H	ОН	H	-CH =		S	0
он	H	H	-CH=	bond with R7	S	0
H ·	Cl	H	-CH ₂ -	H	S	0
Вг	H	Вr	-CH ₂ -	H	S	0
H	ОМе	H	-CH ₂ -	H	S	0
OMe	H	H	$-CH_2-$	H	S	0
H	Me	H	$-CH_2-$	H	S	0
Me	H.	H	-CH ₂ -	H	S	0
H	H	Me	-CH ₂ -	H	S	0
H	он	H	-CH ₂ -	H	S	0
ОН	Н	H	-CH ₂ -	H	S	0

- 63 -

Table 3

:::::::
Α
-CH ₂ -
-CH(Me)-
-C(Me ₂)-
-CH ₂ CH ₂ -
-CH ₂ CH(Me)-
$-CH_2^{-}C(Me_2)-$
-COCH ₂ -
-COCH(Me)-
-COC(Me ₂)-
-CH(OH)CH ₂ -
-CH(OH)CH(Me)-
-CH(OH)C(Me ₂)-
-CH=CH-
-CH=CH(Me)-
-C≡C-

- 64 -Table 4

$\begin{array}{c ccccccccccccccccccccccccccccccccccc$	
CRC	
C N C O C Ph Et	
CNCOC Ph n-He	
	•
CNCOC Ph c-He	X
CNCOC Ph OH	
CNCOC Ph CH ₂ C	H
C N C O C Ph OMe	3
CNCOC Ph SMe	;
CNCOC Ph Cl	
C N C O C Ph CF	1
C N C O C Ph Ph	
CNCOC H H	
CNCOC H Et	
CNCOC H n-He	x
CNCOC H c-P	r.
CNCOC H c-He	
C N C O C H OH	
CNCOC H CH2	HC
CNCOC H OM	e
CNCOC H SM	
CNCOC H C1	
C N C O C H CF	3
CNCOC H Ph	
C N C O C Me H	
CNCOC Me Me	3
C N C O C Me Et	,
CNCOC Me n-H	ex
C N C O C Me c-P	
C N C O C Me c-H	
C N C O C Me OI	I
C N C O C Me CH ₂	OH
C N C O C Me ON	ſe

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				- 05		
W.1	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
С	N	С	0	С	Me	SMe
. c	·N	Ċ:	. Ď	Ċ	Me	C1 - C1
C	N	C	o	Ċ	Me	CF ₃
C	N	Ċ	Ö	Ċ	Me	Ρh
C	N	c	ŏ	Ċ	Et	H
C	N	C.	Ö	C	Et	Me
C	N	c	ŏ	Ċ,	Et	Et
C	N	c	ŏ	c	Et	n-Hex
C		C	ŏ	C	Et	c-Pr
C	N		Ö	c	Et	c-Hex
C	N	·C	0	c	Et	ОН
C	N	C			Et	CH ₂ OH
С	N	С	0	C		OMe
С	N	С	0	С	Et	· SMe
С	N	С	0	С	Et	
С	N	C	0	С	Et	C1
С	N	С	0	С	Et	CF ₃
С	N	С	О	С	Et	Ph
С	N	С	0	С	n-Pr	Н
С	N	С	0	С	n-Pr	Me
С	N	С	0	С	n-Pr	Et
С	N	С	0	С	n-Pr	n-Hex
С		С	0	С	n-Pr	c-Pr
C	N	С	Ο	С	n-Pr	c-Hex
C		С	0	C	n-Pr	OH
Ċ		С	0	С	n-Pr	CH_2OH
C		Č	0	С	n-Pr	OMe
C		Č	Ō	С	n-Pr	S Me
C		C	Ō	Ċ	n-Pr	Cl
	N		Ö	Ċ	n-Pr	CF ₃
	N		ő	Č	n-Pr	Рh
	N		ŏ	Ċ	n-Hex	H
	N	· ~	o	Č	n-Hex	Me
(, IN		o	Č	n-Hex	Et
	N		ő	č	n-Hex	n-Hex
	e N			C	n-Hex	c-Pr
					n-Hex	c-Hex
					n-Hex	ОН
					n-Hex	· CH ₂ OH
						OMe
	C 1				n-Hex	S Me
	C 1				n-Hex	Cl
	C 1				n-Hex	
	C ì	4 C			n-Hex	CF ₃
		4 () C	n-Hex	Ph
		4 (C C) C	i-Pr	H

•				•		
 W ¹	W ²	W^3	W ⁴	W ⁵	R ^a	R ^b
C	N	С	0	С	i-Pr	Me
, C C	N	Ċ	. 0	C.	i-Pr	Et
Č	N	C	0	С	i-Pr	n-Hex
Č	N	C	0	С	i-Pr	c-Pr
Č	N.	C	0	С	i-Pr	c-Hex
Č	N	C.	0	С	i-Pr	OH
Ċ	N	С	0	С	i-Pr	ÇH ₂ OH
000000	N	С	0	С	i-Pr	OMe
С	N	С	0	С	i-Pr	SMe
С	N	С	0	С	i-Pr	Cl
С	N	С	0	С	i-Pr	CF ₃
С	N	С	О	С	i-Pr	Ph
С	N	С	0	.C	t-Bu	H
С	N	С	0	С	t-Bu	Me
С	N	С	0	С	t-Bu	Et
С	N	С	0	С	t-Bu	n-Hex
С	N	С	0	C	t-Bu	c-Pr
С	N	C	0	С	t-Bu	c-Hex
С	N	С	0	С	t-Bu	OH
С	N	С	0	С	t-Bu	CH ₂ OH
С	N	С	0	С	t-Bu	OMe
С	N	С	0	С	t-Bu	S Me
С	N	С	0	С	t-Bu	C1
С	N	С	0	С	t-Bu	CF ₃
С	N	С	0	С	t-Bu	Ph
С	N	С	0	С	c-Hex	H
С	N	С	0	С	c-Hex	Me
С	N	С	0	С	c-Hex	Et
С	N	С	0	C	c-Hex	n-Hex
С	N	С	0	С	c-Hex	c-Pr
С	N	С	0	C	c-Hex	c-Hex
С	N	С	0	С	c-Hex	OH
С	N	C	0	C	c-Hex	CH ₂ OH OMe
С	N	C	0	C	c-Hex	S Me
C	N	C	0	С	c-Hex	Cl
С	N	C	0	C	c-Hex	
C	N	C	0	C	c-Hex	. CF ₃ . Ph
C	N	C	0	С	c-Hex	rn H
C	N	C	0	C	3-c-hexenyl	н Ме
C	N	C	0	C	3-c-hexenyl	Et
C	N	C	0		3-c-hexenyl	n-Hex
С	N	C	0		3-c-hexenyl	n-Hex c-Pr
С	N	C	0		3-c-hexenyl	
С	N	С	0	С	3-c-hexenyl	c-Hex

	•			01		
W ¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
C	N	Ç:	0	C.,	3-c-hexenyl	ОН
· C	N	. C Ċ:	Ó.	C	3-c-hexenyl	CH ₂ OH
С	N	С	0	С	3-c-hexenyl	ОМе
С	N	С	0	С	3-c-hexenyl	S Me
С	N	С	0	С	3-c-hexenyl	Cl
С	N	С	0	С	3-c-hexenyl	CF ₃
С	N	С	0	С	3-c-hexenyl	Ph
С	N	С	0	С	CH ₂ OH	Н
С	N	С	0	С	CH_2OH	Me
С	N	С	0	С	CH ₂ OH	Et
С	N	С	0	С	CH_2^2OH	n-Hex
С	N	С	0	С	CH ₂ OH	c-Pr
C	N	С	0	C	CH ₂ OH	c-Hex
Č	N	Ċ	Ö	Č	CH ₂ OH	ОН
Ċ	N	C	Ö	Ċ	CH ₂ OH	CH ₂ OH
C		C	Ö	c		OMe
	N	C	Ö		CH ₂ OH	S Me
C	N N	C	0	C C	CH ₂ OH	Cl
c	N	C	0	C	CH ₂ OH CH ₂ OH	CF ₃
c	N	C	Ö	Č	CH ₂ OH	Ph
c	N	c	ő	·C	CH ₂ Ph	. H
C.	N	c	Ö	C	CH ₂ Ph	Me
c	N	č	ŏ	Č	CH ₂ Ph	Et
c	N	c	Ö	Ċ	CH ₂ Ph	n-Hex
C	N	c	Ö	Č	CH ₂ Ph	c-Pr
C	N	C	Ö	c	CH ₂ Ph	c-Hex
C		C	Ö	C		OH
	N	C	o	C	CH ₂ Ph	CH ₂ OH
C	N	C	0	C	CH ₂ Ph	OMe
C	N.	C	o	C	CH ₂ Ph	S Me
C	N N	C	o	c	CH ₂ Ph CH ₂ Ph	Cl
c	N	Č	o	c	CH ₂ Ph	CF ₃
C	N	C	ő	c	CH ₂ Ph	Ph
	N	c	Ö	C	α -naphthyl	H
C		C	Ö	c	α -naphthyl	Me
C	N			C	α-naphthyl	Et
C	N	C	0		α -naphthyl	n-Hex
C	N	C	. 0	C		c-Pr
C	N	C	0	C	α-naphthyl	c-Hex
C	N	C	0	C	α-naphthyl α-naphthyl	OH
C	N	C	0	C		CH ₂ OH
C	N	C	0	C	α-naphthyl	OMe
C	N	C	0	C	α-naphthyl	S Me
C	N	C	0	C C	a -naphthyl	Cl
С	N	С	. 0	C	a-naphthyl	Ci

	R ^b	Rª	V ⁵	W ⁴ '	W ³	W ²	W ¹
	CF ₃	αnaphthyl	С	0	<u></u>	N	C.
•	Ph	α-naphthyl	С	···O	C.	Ň	C
	H	β-naphthyl	С	0	C	N	С
	Me	β-naphthyl	С	0	С	N	С
	Et	β-naphthyl	С	Ο,	C	N	С
	n-Hex	β-naphthyl	С	0	С	N	С
	c-Pr	β -naphthyl	C .	0	С	Ν	С
	c-Hex	β -naphthyl	С	0	С	N	С
	OH	β -naphthyl	С	0	C	N	С
	CH ₂ OH	$oldsymbol{eta}$ -naphthyl	С	0	С	N	С
	OMe	eta -naphthyl	С	0	С	N	С
	S Me	$oldsymbol{eta}$ -naphthyl	С	0	С	N	С
	Cl	β -naphthyl	С	0	С	N	С
	CF ₃	$oldsymbol{eta}$ -naphthyl	С	0	С	N	С
	P h	β -naphthyl	С	0	С	N	С
	H	2-pyridyl	С	0	С	N	С
	Me	2-pyridyl	С	0	С	N	С
	Et	2-pyridyl	С	0	С	N	С
	n-Hex	2-pyridyl	С	0	С	N	С
	c-Pr	2-pyridyl	С	0	С	N	C
	c-Hex	2-pyridyl	С	0	С	N	С
	OH	2-pyridyl	С	0	С	N	C
	CH ₂ OH	2-pyridyl	C	0	С	N	С
	OMe	2-pyridyl	С	0	С	N	С
	S Me	2-pyridyl	С	0	С	N	С
	Cl	2-pyridyl	С	0	С	N	С
	CF ₃	2-pyridyl	C	0	С	N	С
	Ph	2-pyridyl	С	0	С	N	С
	H	3-pyridyl	С	0	С	N	Ċ
	Me	3-pyridyl	C	0	С	N	Ċ
	Et	3-pyridyl	С	.0	С	N	Č
	n-Hex	3-pyridyl	С	0	C	N	Č
	c-Pr	3-pyridyl	С	0	C	N	č
	c-Hex	3-pyridyl	С	Ō	Ċ	N	Ċ
	OH	3-pyridyl	С	Ŏ	Č	N	Č
	CH ₂ OH	3-pyridyl	С	Ō	Č	N	č
	OMe	3-pyridyl	C	Ō	Č	N	c
	SMe	3-pyridyl	Ċ	ŏ	č	N	C
	Cl	3-pyridyl	Č	Ö	č	N	C
	CF ₃	3-pyridyl	c	Ö	c	N	C
	Ph	3-pyridyl	Č	Ö	c	N	C
	H	4-pyridyl	, c	Ö	C	N	C
	Me	4-pyridyl	C	Ö	C		C
	Et	4-pyridyl	C	0	C		C

	2	3	77.4	W ⁵	R ^a	R ^b
	W ²	W ³	W ⁴		•	
C C	N	С	О	C	4-pyridyl	n-Hex
	N	C.	0	C	4-pyridyl	c-Pr c-Hex
С	N	С	0	С	4-pyridyl	OH
С	N	С	0	C	4-pyridyl	CH ₂ OH
С	N	C	0	С	4-pyridyl	OMe
C	N	С	0	С	4-pyridyl 4-pyridyl	S Me
C	N	C	0	С	4-pyridyl	Cl
C	N	C	0	C C	4-pyridyl	CF ₃
C	N	C	0		4-pyridyl	Ph
C	N	C	0	C C	2-furanyl	Н
С	N	C	0	C	2-furanyl	Me
С	N	C	0			Et
С	N	C	0	C	2-furanyl	n-Hex
С	N	С	0	C	2-furanyl	c-Pr
С	N	С	0	C	2-furanyl	c-Hex
С	N	C	0	C	2-furanyl	OH
С	N	C	0	C	2-furanyl 2-furanyl	СН ₂ ОН
С	N	C	0	C	2-furanyi 2-furanyi	OMe
C	N	C	0	C C	2-furanyi 2-furanyi	S Me
C	N	C	0	C	2-furanyi 2-furanyi	.C1
C	N	C	0	c	2-furanyi 2-furanyi	CF ₃
C	N	C	0	C	2-furanyi	Ph
C	N	C	0	C	2-thienyl	Н
C	N	C	0	c	2-thienyl	Me
C	N	C	0		2-thienyl	Et
C	N	C	0		2-thienyl	n-Hex
C	N	C	0		2-thienyl	c-Pr
C	N	C	0		2-thienyl	c-Hex
C	N	C C	0		2-thienyl	OH
C	N				2-thienyl	CH_2OH
C	N	C			2-thienyl	OMe
C C	N	C	0		2-thienyl	S Me
		C	C		2-thienyl	Cl
C		C			2-thienyl	CF ₃
C					2-thienyl	Ph
C					2-tolyl	H
C					2-tolyl	Me
C					2-tolyl	Et
C					2-tolyl	n-Hex
C				c	2-tolyl	c-Pr
) C	2-tolyl	c-Hex
			· ·) C	2-tolyl	ОН
(5 C	2-tolyl	CH_2OH

						~b	
W ¹	W ²	W^3	W ⁴	W ⁵	R ^a	R ^b	
С	N	С	0	C.	2-tolyl	OMe	
C	N·	С	.0	·C	· 2-tolyl .	S Me	-•
C	N	С	0	С	2-tolyl	Cl	
C	N	С	0	С	2-tolyl	CF ₃	
Č	N	C	0	С	2-tolyl	Ph	
Ċ	N	Č	0	С	3-tolyl	H	
c	N	Č	Ó	С	3-tolyl	Me	
Č	N	Ċ	0	С	3-tolyl	Et	
č	N	Č	0	С	3-tolyl	n-Hex	
c	N	Ċ	Ō	С	3-tolyl	c-Pr	
c	N	c	Ö	Ċ	3-tolyl	c-Hex	
C	N	c	Ö	Ċ	3-tolyl	OH	
C	N	c	ő	Č	3-tolyl	CH ₂ OH	
C	N	c	ŏ	Ċ	3-tolyl	OMe	
		C	o	c	3-tolyl	SMe	
C	N	C	0	Č	3-tolyl	Cl	
C	N	C	0	C	3-tolyl	CF ₃	
C	N	C	0		3-tolyl	Ph	
C	N		o		4-tolyl	H	
C	N	C	0		4-tolyl	Me	
C	N	C	0		4-tolyl	Et	
C	N	C	0		4-tolyl	n-Hex	
C	N	C C	0		4-tolyl	c-Pr	
C	N	C	0		4-tolyl	c-Hex	
C	N				4-tolyl	OH	
C	N	C	0		4-tolyl	CH ₂ OH	
C	N	С			4-tolyl	OMe	
С		C			4-tolyl	S Me	
С		C			-	Cl	
С					4-tolyl	CF ₃	
C					4-tolyl	Ph	
С					4-tolyl	H	
C	N				Ph-2,3-Me ₂	Me	
С					Ph-2,3-Me ₂	Et	
C					Ph-2,3-Me ₂	n-Hex	
C	: N) C	Ph-2,3-Me ₂		
C				o c	Ph-2,3-Me ₂	c-Pr	
C	: N			o C	Ph-2,3-Me ₂	c-Hex	
C	N			o c	Ph-2,3-Me ₂	OH	
C				o C	Ph-2,3-Me ₂	CH ₂ OH	
C				0 C	Ph-2,3-Me ₂	OMe	
				O C	Ph-2,3-Me2	S Me	
	2 1			o c	Ph-2,3-Me ₂	C1	
	1 5			o c	Ph-2,3-Me ₂	CF ₃	
				O C	Ph-2,3-Me ₂	Рh	

 $\overline{\mathbf{w}^1}$	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
,c	N	С	0	С	Ph-3,4-Me ₂	Н
 C	N.	Č	0	Ċ.	Ph-3,4-Me ₂	Me
Ċ	N	Ċ	Ō	Ċ	Ph-3,4-Me ₂	Et
C	N	C	0	С	Ph-3,4-Me ₂	n-Hex
C	N.	C	0	С	Ph-3,4-Me ₂	c-Pr
C	N.	C.	0	С	Ph-3,4-Me ₂	c-Hex
C	N	C	0	С	Ph-3,4-Me ₂	OH
С	N	C	0	С	Ph-3,4-Me ₂	CH ₂ OH
С	N	C	0	С	Ph-3,4-Me ₂	OMe
С	N	C	0	С	Ph-3,4-Me2	S Me
С	N	C	0	С	Ph-3,4-Me ₂	Cl
С	N	С	0	С	Ph-3,4-Me ₂	CF ₃
С	N	С	0	C	Ph-3,4-Me ₂	Рh
Ċ	N	Ċ	Ö	Ċ	Ph-3,5-Me ₂	H
Ċ	N	č	ŏ	Ċ	Ph-3,5-Me ₂	Me
Ċ	N	Č	Ö	Č	Ph-3,5-Me ₂	Et
Ċ	N	Č	ŏ	č	Ph-3,5-Me ₂	n-Hex
C	N	C	Ö	Č	Ph-3,5-Me ₂	c-Pr
č	N	Č	ŏ	č	Ph-3,5-Me ₂	c-Hex
č	N	Ċ	ō	Č	Ph-3,5-Me ₂	ОН
Ċ	N	C	O	C	Ph-3,5-Me ₂	CH ₂ OH
C	N	С	0	С	Ph-3,5-Me ₂	OMe
С	N	С	0	С	Ph-3,5-Me2	SMe
С	N	С	0	С	Ph-3,5-Me ₂	Cl
С	N	С	0	С	Ph-3,5-Me ₂	CF ₃
C	N	С	0	С	Ph-3,5-Me2	Рh
C	N	C	0	С	Ph-2,6-Me ₂	H
С	N	С	0	С	Ph-2,6-Me ₂	Me
C	N	С	Ο	С	Ph-2,6-Me ₂	Εt
С	N	С	0	С	Ph-2,6-Me2	n-Hex
С	N	С	0	С	Ph-2,6-Me ₂	c-Pr
С	N	С	0	С	Ph-2,6-Me ₂	c-Hex
С	N	С	0	C	Ph-2,6-Me ₂	OH
С	N	С	0	С	Ph-2,6-Me ₂	CH ₂ OH
С	N	С	0	С	Ph-2,6-Me ₂	OMe
С	N	С	0	C	Ph-2,6-Me ₂	S Me
С	N	С	.0	С	Ph-2,6-Me ₂	Cl
С	N	С	0	С	Ph-2,6-Me ₂	CF ₃
С	N	С	0	С	Ph-2,6-Me2	Ph
С	N	С	0	C	Ph-2-Cl	H
С	N	С	0	С	Ph-2-Ci	Me
С	N	С	0	С	Ph-2-C1	Et
С	N	С	0	С	Ph-2-C1	n-Hex
С	N	С	0	С	Ph-2-Cl	c-Pr

W NNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNN	W ³ W ⁴ C O C O C O C O C O C O C O C O C O C O	00000000000	Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-3-Cl	c-Hex OH CH ₂ OH OMe SMe Cl CF ₃ Ph
,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,		00000000	Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-3-Cl	CH ₂ OH OMe SMe Cl CF ₃ Ph
,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,		0000000	Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-3-Cl	OMe SMe Cl CF ₃ Ph
		000000	Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-3-Cl	OMe SMe Cl CF ₃ Ph
		00000	Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-3-Cl	Cl CF ₃ Ph
0000000000°00°00°00°00°00°00°00°00°00°0		0 0 0 0	Ph-2-Cl Ph-2-Cl Ph-2-Cl Ph-3-Cl	CF ₃ Ph
000000000°0000000000000000000000000000	C 0 C 0 C 0 C 0	C C C	Ph-2-Cl Ph-2-Cl Ph-3-Cl	Ph
000000000°0000000000000000000000000000	C 0 0 C 0 C	C C	Ph-2-Cl Ph-3-Cl	
00000000°00°00°00°00°00°00°00°00°00°00°	C 0 C 0 C 0	C C	Ph-3-Cl	IJ
0000000°00°00°00°00°00°00°00°00°00°00°0	C 0 C 0	С		н
00000000000000000000000000000000000000	C 0		Ph-3-Cl	Me
O O O O O O O O O O O O O O O O O O O	C 0	('	Ph-3-Cl	Et
C C C C C C C C C C C C C C C C C C C		c	Ph-3-Cl	n-Hex
C N C C N C C N N N N N N N N N N N N N		C	Ph-3-Cl	c-Pr
C N N N N N N N N N N N N N N N N N N N		C	Ph-3-Cl	c-Hex
C N	C 0	C	Ph-3-Cl	OH
C N	C 0		Ph-3-Cl	CH ₂ OH
C N	C 0		Ph-3-Cl	OMe
C N	C 0		Ph-3-Cl	S Me
C N	C 0		Ph-3-Cl	Cl
C N	C 0		Ph-3-Cl	CF ₃
	C 0		Ph-3-Cl	Ph
C N	C 0		Ph-4-Cl	Н.
C N	C 0		Ph-4-Cl	Me
C N	C 0		Ph-4-Cl	Et
C N	C O		Ph-4-C1	n-Hex
C N	C 0		Ph-4-Cl	c-Pr
C N	C O		Ph-4-Cl	c-Hex
C N	C C		Ph-4-Cl	
C N	C C		Ph-4-Cl	OH
C N	C C		Ph-4-Cl	CH ₂ OH
C N	CCC		Ph-4-Cl	OMe
C N	C C). C	Ph-4-Cl	S Me
C N	C		Ph-4-Cl	Cl
C N	C C		Ph-4-Cl	CF ₃
C N	c () C	Ph-4-Cl	Ph
C N	C) C	Ph-3,4-Cl ₂	H
C N) C	Ph-3,4-Cl ₂	Me
C N) C	Ph-3,4-Cl ₂	Et
C N		о с	Ph-3,4-Cl ₂	n-Hex
C N		о с	Ph-3,4-Cl ₂	c-Pr
C N		о с	Ph-3,4-Cl ₂	c-Hex
C N		o C	Ph-3,4-Cl ₂	OH
C N	(: (
C N	•			
C N	ı C (0 C	Ph-3,4-Cl ₂ Ph-3,4-Cl ₂	CH ₂ OH OMe

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W¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
C.	N	C	0	· C	Ph-3,4-Cl ₂	Cl .
Ç.	N	C	0	· C ·	Ph-3,4-Cl ₂	CF ₃
Č	N	С	0	С	Ph-3,4-Cl ₂	Ph
Ċ	N	С	0	С	Ph-4-F	H
C	N	С	0	С	Ph-4-F	Me
C	N	С	0	C	Ph-4-F	Et
C	N	С	0	С	Ph-4-F	n-Hex
C	N	С	0	С	: Ph-4-F	c-Pr
C	N	С	0	С	Ph-4-F	c-Hex
C	N	С	0	· C	Ph-4-F	OH
Ċ	N	С	0	С	Ph-4-F	CH_2OH
Ċ	N	С	0	С	Ph-4-F	OMe
Ċ	N	С	0	С	Ph-4-F	S Me
Ċ	N	С	0	С	Ph-4-F	Cl
Č	N	Č	Ŏ	Ċ	Ph-4-F	CF ₃
c	N	Ċ	Ö	Ċ	Ph-4-F	Рh
. C	N	c	ŏ	Č	Ph-4-Br	H
C	N	č	ŏ	č	Ph-4-Br	Me
C	N	C	ŏ	Ċ	Ph-4-Br	Et
c	N	č	ŏ	Ċ	Ph-4-Br	n-Hex
c	N	Ċ	Ö	Č	Ph-4-Br	ç-Pr
Ċ	N	Č	ō	Č	Ph-4-Br	c-Hex
Č	. N	č	Ö	Č	Ph-4-Br	ОН
Č	N	Č	Ö	Ċ	Ph-4-Br	CH_2OH
c	N	Č	Ö	Č	Ph-4-Br	OMe
C	N	č	ō	Ċ	Ph-4-Br	SMe
c	N	Č	ŏ	č	Ph-4-Br	Cl
C	N	Č	Ö	Č	Ph-4-Br	CF ₃
C	N	c	Ö		Ph-4-Br	Ph
c	N	Č	ō		Ph-2-OMe	H
c	N	Č	Ō		Ph-2-OMe	Me
c	N	Č	Ō		Ph-2-OMe	Et
C	N	Č	ŏ		Ph-2-OMe	n-Hex
C	N	c	o		Ph-2-OMe	c-Pr
c	N	c	0		Ph-2-OMe	c-Hex
C	N				Ph-2-OMe	ОН
C	N				Ph-2-OMe	CH ₂ OH
C	N				Ph-2-OMe	OMe
C					Ph-2-OMe	SMe
C					Ph-2-OMe	Cl
C					Ph-2-OMe	CF ₃
					Ph-2-OMe	Ph
C					Ph-3-OMe	н
С	N				Ph-3-OMe	Me

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				- 74	_		_	
 W ¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b		
 C	N	С	.0	С	Ph-3-OMe	Et	٠.	
С	N	C	. 0	C	Ph-3-OMe	n-Hex		•
С	. N	С	0	С	Ph-3-OMe	c-Pr		
С	N	С	0	С	Ph-3-OMe	c-Hex		<u>.</u>
C	N	С	0	С	Ph-3-OMe	OH		•
Ċ	. N	С	0	С	Ph-3-OMe	CH ₂ OH		
č	N	С	0	С	Ph-3-OMe	OMe		
Č	N	Č	0	C ·	Ph-3-OMe	·SMe		
Č	N	Ċ	Ō	С	Ph-3-OMe	Cl		
c	N	Č	Ö	C	Ph-3-OMe	CF ₃		
C	N	c	ŏ	Ċ	Ph-3-OMe	Рh		
C	N	C	ŏ	č	Ph-4-OMe	H		
		C	Ö	Č	Ph-4-OMe	Me		
С	N	C	0	c	Ph-4-OMe	Et		
C	N	C	Ö	C	Ph-4-OMe	n-Hex		
C	N			C	Ph-4-OMe	c-Pr		
C	N	C	0	c	Ph-4-OMe	c-Hex		
С	N	С	0		Ph-4-OMe	ОН		
С	N	C	0	С	Ph-4-OMe	CH ₂ OH		
С	N	C	0	С	Ph-4-OMe	OMe		
С	N	C	0		Ph-4-OMe	SMe		
С	N	C	. 0		Ph-4-OMe	Cl		
С	N	C	0		Ph-4-OMe	CF ₃		
С	N	C	0		Ph-4-OMe	Ph		
С	N	C	0					
С	N	С	0		Ph-3,4-(OMe)	2		
С	N	С	O		Ph-3,4-(OMe)	4		
С	N				Ph-3,4-(OMe)	2		
С	N				Ph-3,4-(OMe)	4		
С	N	C			Ph-3,4-(OMe)	2 c-Pr		
С	N	C			Ph-3,4-(OMe)	c-Hex		
С		C			Ph-3,4-(OMe)	OH		
С		C	: C		Ph-3,4-(OMe)	CH ₂ OH		
C			. () C	Ph-3,4-(OMe)	OMe		
C			: () C	Ph-3,4-(OMe)	SMe		
Č) C	Ph-3,4-(OMe) ₂ Cl		
Ċ				o C	Ph-3,4-(OMe	$_{2}$ CF ₃		_
Č				o c	Ph-3,4-(OMe) ₂ Ph		
Č				o C	Ph-2-OH	Н		
Č				O C	Ph-2-OH	Me		
	. 1			o c		Et		
				0 0		n-Hex		
				0 0		c-Pr		
				0 0		c-Hex		
				0 .0		OH		
(C 1	N (_	5				

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W^{l}	W ²	W^3	W ⁴	W ⁵	R ^a	R ^b	
C	N	С	o	C.	Ph-2-OH	CH ₂ OH	
c	N ·	Č	ō	·C	Ph-2-OH	OMe	
Č	N	c	ŏ	Č	Ph-2-OH	S Me	
C	N	c	Ŏ	Ċ	Ph-2-OH	Cl	
C	N	Č	ŏ	Č	Ph-2-OH	CF ₃	
c	N	c	ŏ	Č	Ph-2-OH	Ph	
C	N	c	Ö	Ċ	Ph-3-OH	H	
C	N	Č	Õ	Ċ	Ph-3-OH	Me	
C	N	C	Ö	c	Ph-3-OH	Et	
C	N	C	Ö	Ċ	Ph-3-OH	n-Hex	
C	N	C	Ö	Č	Ph-3-OH	c-Pr	
	N	C	Ö	c	Ph-3-OH	c-Hex	
C		C	Ö	c	Ph-3-OH	OH	
C	N			c	Ph-3-OH	CH ₂ OH	
C	N	C	0		Ph-3-OH	OMe	
С	N	C	0	C		S Me	
C	N	C	0	C	Ph-3-OH	Cl.	
C	N	C	0	C	Ph-3-OH	CF ₃	
C	N	C	0	С	Ph-3-OH	Ph	
C	N	С	0	С	Ph-3-OH Ph-4-OH	H	
C	N	C	0	С	Ph-4-OH Ph-4-OH	Me	
C	N	C	0	C	Ph-4-OH	Et	
C	N	C	0	С	Ph-4-OH	n-Hex	
C	N	C	0	C	Ph-4-OH	c-Pr	
C	N	C	0	C		c-Hex	
С	N	C	0	C	Ph-4-OH	OH	
С	N	C	0	C	Ph-4-OH		
С	N	С	0	C	Ph-4-OH	CH ₂ OH OMe	
С	N	C	0	C	Ph-4-OH		
С	N	C	0	C	Ph-4-OH	S Me Cl	
С	N	C	0	C	Ph-4-OH		
С	N	C	0	C	Ph-4-OH	CF ₃	
С	N	C	0	C	Ph-4-OH	Ph H	
С	N	С	0	C	Ph-3,4-(OH) ₂		
С	N	C	0		Ph-3,4-(OH) ₂	Me	
С	N	С	0		Ph-3,4-(OH) ₂	Et	
С	N	С	0		Ph-3,4-(OH) ₂	n-Hex	
С	N		.0		Ph-3,4-(OH) ₂	c-Pr	
С	N		0		Ph-3,4-(OH) ₂	c-Hex	
С	N				Ph-3,4-(OH) ₂	OH	
С	N				Ph-3,4-(OH) ₂	CH ₂ OH	
С					Ph-3,4-(OH) ₂	OMe	
С					Ph-3,4-(OH) ₂	S Me	
С					Ph-3,4-(OH) ₂	C1	
С	N	С	C) C	Ph-3,4-(OH) ₂	CF ₃	
							

	W¹	W ²	W^3	W ⁴	W ⁵	R ^a	R ^b	
-	c.	Ņ	C	0	·c	Ph-3,4-(OH) ₂ .	Ph	
	C·	N	C	O	··C	Ph-3-SMe	H	
	Ċ	N	С	0	С	Ph-3-SMe	Me	•
	C	N	С	0	С	Ph-3-SMe	Et ·	
	C	N	С	Q	С	Ph-3-SMe	n-Hex	;
	C	N	С	Ö	С	Ph-3-SMe	c-Pr	
	С	N	С	0	С	Ph-3-SMe	c-Hex	
	C	N	С	0	С	Ph-3-SMe	OH .	
	С	N	C	0	С	Ph-3-SMe	CH ₂ OH	
	C	N	C	0	С	Ph-3-SMe	OMe	
	C	N	C	0	C	Ph-3-SMe	S Me	
	C	N	C	0	С	Ph-3-SMe	Cl	
	Ċ	N	C	0	C	Ph-3-SMe	CF ₃	
	C	N	Č	Ō	C	Ph-3-SMe	Ph	
	C	N	C	0	С	Ph-3-CF ₃	H	
	Ċ	N	Ċ	Ō	C	Ph-3-CF ₃	Me	
	· C	N	Ċ	0	C	Ph-3-CF ₃	Et	
	Č	N	Ċ	0	С	Ph-3-CF ₃	n-Hex	
	C	N	С	0	С	Ph-3-CF ₃	c-Pr	
	Č	N	C	0	C	Ph-3-CF ₃	c-Hex	
	Ċ	N	C	0	С	Ph-3-CF ₃	ОН	
•	Ċ	N	С	0	С	Ph-3-CF ₃	CH ₂ OH	
•	C	N	С	0	С	Ph-3-CF ₃	OMe	
	C	N	С	0	С	Ph-3-CF ₃	S Me	
	С	N	С	0	С	Ph-3-CF ₃	Cl	
	С	N	С	0	С	Ph-3-CF ₃	CF ₃	
	С	N	С	0	С	Ph-3-CF ₃	Ρh	
	С	N	С	0	С	$Ph-3-NO_2$	H	
	С	N	С	0	C	$Ph-3-NO_2$	Me	
	C	N	С	0	С	$Ph-3-NO_2$	Et	
	С	N	С	0	С	$Ph-3-NO_2$	n-Hex	
	С	N	С	0	C	$Ph-3-NO_2$	c-Pr	
	C	N	С	0	С	$Ph-3-NO_2$	c-Hex	
	С	N	С	0	С	$Ph-3-NO_2^2$	ОН	
	C	N	С	0	С	$Ph-3-NO_2$	CH ₂ OH	
	C	N	С	0	С	$Ph-3-NO_2$	OMe	
	С	N	С	0	С	$Ph-3-NO_2$	S Me	٠.
	C	N	С	0	С	$Ph-3-NO_2$	Cl	•
	C	N	С	0	С	$Ph-3-NO_2^2$	CF ₃	
	Ċ	N	C	0	С	$Ph-3-NO_2^2$	Ph	
	Č	N	C	Ō	C	Ph-4-NMe ₂	Н	
	Ċ	N	Č	Ō	C	Ph-4-NMe ₂	Me	
	Č	N	Ċ	ō	C	Ph-4-NMe2	Et	
	Č	N	č	Ŏ	Ċ	Ph-4-NMe2	n-Hex	
	•	- •	_	_	_	2		

W ¹	W ²	W ³	W ⁴	W ⁵	Rª	· Rb
	N N		- <u>''</u>	<u>'''</u>	PH-4-NMe2	c-Pr
. C	N·	. C .	0.	Ç	Ph-4-NMe ₂	c-Hex
C	N	C	0	c	Ph-4-NMe ₂	OH
C	N	C	-0	c	Ph-4-NMe ₂ Ph-4-NMe ₂	
C	N	C	0	c	Ph-4-NMe ₂	CH ₂ OH OMe
C	N	C	0	c	Ph-4-NMe ₂	S Me
C				C		Cl
C	N	C	0		Ph-4-NMe ₂	
С	N	C	0	С	Ph-4-NMe ₂	CF ₃ Ph
C	N	С	0	C	Ph-4-NMe ₂	
С	N	С	S	Ċ	Ph	H
C	·N	С	S	C	Ph	Me
C	N	C	S	C	Ph	Et
С	N	С	S	С	Ph	n-Hex
С	N	С	S	С	Ph	c-Pr
С	N	С	S	С	Ph	c-Hex
С	N	C	S	C	Ph	ОН
С	N	С	S	С	Ph	CH_2OH
С	N	С	S	C	Ph	OMe
С	N	C	S	С	· Ph	SMe
С	N	С	S	С	Ph	C1
С	N	С	S	.C	Ph	CF ₃
C ·	N	C	S	С	Ph	Ph
С	N	С	S	С	H	H
С	N	C	S	С	H	Me
С	N	С	S	С	H	Et
С	N	С	·S	С	H	n-Hex
С	N	С	S	С	H	c-Pr
С	N	С	S	С	H	c-Hex
С	N.	С	S	С	H	OH
С	N	С	S	С	H	CH_2OH
C	N	С	S	С	H	OMe
С	N	С	S	С	H	S M e
C	N	С	S	С	H	C1
С	N	С	S	С	H	CF ₃
Ċ	N	С	S	С	H	Рh
Č	N	C	S	C	Me	H
Ċ	N	Ċ	S	C	Me	Me
Č	N	Ċ	S	C	Me	Et
č	N	č	S	Č	Me	n-Hex
C	N	c	S	c	Me	c-Pr
C	N	Č	S	Č	Me	c-Hex
C	N	c	S	c	Me	OH
C	N	C	S	c	Me	CH ₂ OH
	1.4	_	J	_	1410	~~~ 2 ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~

٠	W^1	W ²	W ³	W ⁴	w ⁵	R ^a	R ^b	•
	C.	N	С	S	С	Me	S Me	en e
	С	N	C:	···S	С	Me	C1	•
	C	N	С	S	С	Me	CF ₃	
	C C	N	С	S	С	Me	Ph	
	С	N	C.	S	. C	Et	H	;
	С	N	С	S	C C	Et	Me	
	С	N	С	S	С	Et	Et	
	С	N	С	S	С	Et	n-Hex	
	С	N	С	S	C C	Et	c-Pr	
	С	N	С	S	С	Et	c-Hex	
	С	N	C	S	С	Et	OH	
	С	N	С	S	С	Et	CH ₂ OH	
	С.	N	С	S	С	Et	OMe	
	С	N	С	S	С	Et	S Me	
	С	N	С	S	С	Et	Cl	
	С	N	С	S	С	Et	CF ₃	
	С	N	С	S	С	Et	Ph	
	С	N	С	S	С	n-Pr	H	
	С	N	С	S	С	n-Pr	Me	
	С	N	С	S	С	n-Pr	Et	
	С	N	С	S	С	n-Pr	n-Hex	
	С	N	С	S	С	n-Pr	c-Pr	
	C	N	С	S	C	n-Pr	c-Hex	
	С	N	С	S	C	n-Pr	OH	
	C	N	C	S	C	n-Pr	CH ₂ OH	,
	C	N	C	S	C	n-Pr	OMe	
	С	N	С	S	C	n-Pr	S Me	
	C	N	C	S	C	n-Pr	C1	
	C	N	С	S	С	n-Pr	CF ₃	
	C	N	С	S	C	n-Pr	Ph	
	C	N	C	S	C	n-Hex	H	
	C	N	C	S	C	n-Hex	Me Et	
	C	N	C C	S S	C	n-Hex	n-Hex	
	C	N	_		_	n-Hex	c-Pr	
	C	N	C	S	C	n-Hex	c-Hex	
	С	N	C	S	C	n-Hex	OH	-
	C	N	C C	S	C	n-Hex n-Hex	CH ₂ OH	-
	C	N		S	C C	n-Hex	OMe	
	C	N	C C	S S	C	n-Hex n-Hex	S Me	•
	C	N	C		C	n-Hex	Cl	
	C	N	C	S S	C	n-Hex	CF ₃	
	C	N	C	S	C	n-Hex n-Hex	Ph	
	C C	N N	C	S	C	i-Pr	H	
	C	14	C	J	C	1-1 1	**	
								_

			_				_
W^1	W ²	W ³	W^4	W ⁵	R ^a	R ^b	_
С	N	С	Ş	С	i-Pr	Me	er e
С	N	C.	· S	C ···	i-Pr	Et	• • • • •
С	Ν	С	S	С	i-Pr	n-Hex	
С	N	С	S	С	i-Pr	c-Pr	
С	N	С	S	С	i-Pr	c-Hex	
C.	.N	С	S	С	i-Pr	ОН	
С	N	С	S	С	i-Pr	CH_2OH	
C	N	С	S	С	i-Pr	OMe	
С	N	С	S	С	i-Pr	SMe	
С	N	С	S	С	i-Pr	Cl	
С	N	С	S	С	i-Pr	CF ₃	
С	N	С	S	С	i-Pr	Ρh	
С	N	С	S	С	t-Bu	H	
Ċ	· N	C	S	С	t-Bu	Me	
Č	N	Č	S	Č.	t-Bu	Et	
C	N	C	S	Č	t-Bu	n-Hex	
C	N	Č	S	č	t-Bu	c-Pr	
C	N	c	S	č	t-Bu	c-Hex	
C	N	c	S	Č	t-Bu	ОН	
c	N	č	S	č	t-Bu	CH ₂ OH	
C	N	č	S	Ċ	t-Bu	OMe	
c	N	č	S	Ċ	t-Bu	SMe	
č	N	Č	S	Ċ	t-Bu	Cl	
C	N	Č	S	· Č	t-Bu	CF ₃	•
C	N	Č	S	Č	t-Bu	Ph	
C	N	c	S	c	c-Hex	Н	
C	N	c	S	c	c-Hex	Me	
c	N	C	S	Ċ	c-Hex	Et	
.C	N	c	S	c	c-Hex	n-Hex	
C	N	c	S	Ċ	c-Hex	c-Pr	
C	N	C	S	č	c-Hex	c-Hex	
	N	C	S	c	c-Hex	ОН	
C	N	C	S	c	c-Hex	CH ₂ OH	
C	N	C	S	C	c-Hex	OMe	
		C	S	c	c-Hex	S Me	
C	N	c	S	c	c-Hex	Cl	
C	N	C	S	Č	c-Hex	CF ₃	
C	N	C	S	C C	c-Hex	Ph	
C	N	0		C	3-c-hexenyl	H	
C	N	C	S	C	3-c-hexenyl	Me	
C	N	C	S			Et	
C	N	C	S	C	3-c-hexenyl	n-Hex	
С	N	C	S	C	3-c-hexenyl	n-nex c-Pr	
С	N	C	S	C	3-c-hexenyl	c-Pr c-Hex	
С	N	С	S	С	3-c-hexenyl	C-Nex	

	•					
W ¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
С	N	C.	S	С	3-c-hexenyl	ОН
·C	N·	C	S	C	3-c-hexenyl	CH ₂ OH
Č	N	Č	S	Č	3-c-hexenyl	OMe
Č	N	Ċ	S	Ċ	3-c-hexenyl	SMe
C C	N	Č	S	C	3-c-hexenyl	Cl
Ċ	N	Ċ	S	Č	3-c-hexenyl	CF ₃
c	N	c	S	. Ç	3-c-hexenyl	Ph
Ċ	N	č	s	Ċ	CH ₂ OH	H
Ċ	N	c	Š	Č	CH ₂ OH	Me
c	N	č	S	Č	CH ₂ OH	Et
c	N	Č	S	Č	CH ₂ OH	n-Hex
c	N	c	S	Ċ	CH ₂ OH	c-Pr
C	N	c	S	Ċ	CH ₂ OH	c-Hex
C	N	C	S	Ċ	CH ₂ OH	OH
C	N	C	S	c	CH ₂ OH	CH ₂ OH
C	N	Č	S	c	CH ₂ OH	OMe
C	N	C	S	č	CH ₂ OH	S Me
C	N	C	S	Č	CH ₂ OH	C1
C	N	C	S	Ċ	CH ₂ OH	CF ₃
C	N	C	S	Č	CH ₂ OH	Ph
C	N	c	S	Č	CH ₂ Ph	Н
C	. N	C	S	Ċ	CH ₂ Ph	Me
C	N	C	S	c	CH ₂ Ph	Et
C	N	C	S	C	CH ₂ Ph	n-Hex
c	N	c	S	Č	CH ₂ Ph	c-Pr
C	N	c	S	Č	CH ₂ Ph	c-Hex
C	N	c	S	Č	CH ₂ Ph	OH
C	N	Č	S	c	CH ₂ Ph	CH ₂ OH
C	N.	C	S	č	CH ₂ Ph	OMe
C	N	Č	S	Č	CH ₂ Ph	S Me
C	N	č	S	Č	CH ₂ Ph	Cl
C	N	Č	S	č	CH ₂ Ph	CF ₃
C	N	Č	S	c	CH ₂ Ph	Ph
C	N	C	S	Ċ	α-naphthyl	Н
C	N	c	S	Č	α-naphthyl	Me
c	N	c	S	Č	α-naphthyl	Et
C	N	C	S	c	α-naphthyl	n-Hex
C C	N	c	S	C	α -naphthyl	c-Pr
C	N	C	S	C	α -naphthyl	c-Hex
C		C	\$	C	α -naphthyl	OH
	N	C	S	C	α -naphthyl	CH ₂ OH
C	N	C	S	C	α-naphthyl	OMe
C	N			C	α -naphthyl	S Me
C	N	C	S	c	α -naphthyl	Cl
С	N	С	S	C	a -naphtnyi	Cı

•						
W¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
С	N	C	S	С	α-naphthyl	CF ₃
C	N	С	S	. C	α-naphthyl:	Ph '
С	N	С	S	С	β-naphthyl	H
С	N	С	S	С	β-naphthyl	Me
С	N	С	S	С	β-naphthyl	Et.
C	N.	С.	· S	С	β-naphthyl	n-Hex
C	N	С	S	С	β-naphthyl	c-Pr
Ċ	N	C	S	С	β-naphthyl	c-Hex
Č	N	С	S	С	β-naphthyl	ОН
Ċ	N	Ċ	S	С	β-naphthyl	CH_2OH
Č	N	Ċ	S	С	β-naphthyl	OMe
C	N	Č	Š	Ċ	β-naphthyl	S Me
c	N	Ċ	s	Ċ	β-naphthyl	C1
c	N	Č	Š	Ċ	β-naphthyl	CF ₃
C	N	C	S	č	β-naphthyl	Ph
		C	S	C	2-pyridyl	Н
C	N	C	S	C	2-pyridyl	Me
C	N N	C	S	C	2-pyridyl 2-pyridyl	Et
C		C	S	c	2-pyridyl	n-Hex
C	N	C	S	c	2-pyridyl 2-pyridyl	c-Pr
C	N	C	S	C	2-pyridyl	c-Hex
C	N	c	S	c	2-pyridyl	ОН
C	N		S	c	2-pyridyl 2-pyridyl	CH ₂ OH
C	N	C	S	C	2-pyridyl 2-pyridyl	OMe
C	N			C	2-pyridyl 2-pyridyl	S Me
C	N	C	S	C	2-pyridyi	Cl
C	N	C	S		2-pyridyl	CF ₃
C	N	С	S	C	2-pyridyl	Ph
С	N	C	S	C	2-pyridyl	H
С	N	C	S	C	3-pyridyl	Me
С	N	С	S	C	3-pyridyl	Et
С	N	C	S	C	3-pyridyl	n-Hex
С	N	C	S	C	3-pyridyl	c-Pr
С	N	C	S	C	3-pyridyl	c-Hex
С	N	C	S	C	3-pyridyl	OH
С	N	C	S	С	3-pyridyl	CH ₂ OH
С		С	S	C	3-pyridyl	OMe
С		С	S	C	3-pyridyl	
C		С	S	C	3-pyridyl	S Me Cl
С		С	S	C	3-pyridyl	
C		С	S	С	3-pyridyl	CF ₃
C			S	С	3-pyridyl	Ph
C			S	С	4-pyridyl	H
C					4-pyridyl	Me
C	N	C	S	C	4-pyridyl	Et

W^1	W ²	W^3	W ⁴	w ⁵	R ^a	R ^b
 . C	N	С	S	С	4-pyridyl	n-Hex
Ċ.	N	C.	S	С	4-pyridyl	c-Pr
Ċ	N	C	S	С	4-pyridyl	c-Hex
Ċ	N	Ċ	S	С	4-pyridyl	ОН
Č	N	Ċ	S	C	4-pyridyl	CH ₂ OH
Č	N	Č	S	C	4-pyridyl	OMe
Ċ	N	C	S	С	4-pyridyl	S M e
С	N	С	S	С	4-pyridyl	Cl
С	N	С	S	С	4-pyridyl	CF ₃
C	N	C	S	С	4-pyridyl	Ph
С	N	С	S	С	2-furanyl	· H
Ċ	N	С	S	С	2-furanyl	Me
C	N	C	S	С	2-furanyl	Et
С	N	С	S	С	2-furanyl	n-Hex
C	N	C	S	С	2-furanyl	c-Pr
Č	N	Ċ	S	С	2-furanyl	c-Hex
C	N	C	S	C	2-furanyl	ОН
Č	N	C	S	C	2-furanyl	CH ₂ OH
С	N	С	S	С	2-furanyl	OMe
С	N	С	S	С	2-furanyl	S M e
С	N	С	S	С	2-furanyl	. C 1
С	N	С	S	С	2-furanyl	CF ₃
С	N	С	S	C	2-furanyl	Рh
С	N	С	S	С	2-thienyl	H
С	N	C	S	С	2-thienyl	Me
С	N	С	S	С	2-thienyl	Et
С	N	С	S	С	2-thienyl	n-Hex
С	N	С	S	С	2-thienyl	c-Pr
С	N	С	S	С	2-thienyl	c-Hex
С	N	C	S	С	2-thienyl	OH
С	N	С	S.	С	2-thienyl	CH ₂ OH
С	N	С	S	С	2-thienyl	OMe
C	N	С	S	С	2-thienyl	S Me
С	N	С	S	С	2-thienyl	C1
С	N	С	S	С	2-thienyl	CF ₃
С	N	С	S	С	2-thienyl	Ph
С	N	С	S	С	2-tolyl	H
С	N	С	S	С	2-tolyl	Me
С	N	С	S	С	2-tolyl	Et
C C	N	С	S	С	2-tolyl	n-Hex
С	N	С	S	С	2-tolyl	c-Pr
С	N	С	S	С	2-tolyl	c-Hex
С	N	С	S	С	2-tolyl	OH
С	N	С	S	С	2-tolyl	CH ₂ OH

W^1	W ²	W ³	W ⁴	W ⁵	Rª	R ^b
C.	N	С	S	C.	2-tolyl	OMe
C	N	C		С	2-tolyl	S Me
С	N	С	S	С	2-tolyl	CI
С	N	С	S	С	2-tolyl	CF ₃
С	N	С.	S	С	2-tolyl	Рh
С	N	С	S	С	3-tolyl	Н
С	N	С	S	С	3-tolyl	Me
С	N	C	S	С	3-tolyl	Et
С	N	С	S	С	3-tolyl	n-Hex
С	N	С	S	С	3-tolyl	c-Pr
С	N	С	S	С	3-tolyl	c-Hex
С	N	C	S	С	3-tolyl	ОН
С	N	С	S	С	3-tolyl	CH ₂ OH
C	N	С	S	С	3-tolyl	OMe
Č	N	C	S	С	3-tolyl	SMe
c	N	Ċ	S	C	3-tolyl	Cl
č	N	Č	S	Č	3-tolyl	CF ₃
č	N	Ċ	S	Ċ	3-tolyl	Ph
č	N	Č	S	C	4-tolyl	H
Č	N	C	S	С	4-tolyl	Me
Ċ	N	C	S	С	4-tolyl	Et
Ċ	N	C	S	С	4-tolyl	n-Hex
Ċ	N	C	S	C	4-tolyl	c-Pr
č	N	Ċ	S	Ċ	4-tolyl	c-Hex
c	N	Č	S	Č	4-tolyl	OH
č	N	Č	S	Ċ	4-tolyl	CH_2OH
c	N	c	S	č	4-tolyl	OMe
C	N	č	S	Č	4-tolyl	SMe
C	N	č	S	č	4-tolyl	CI
C	N	c	S	Ċ	4-tolyl	CF ₃
C	N	Č	S	č	4-tolyl	Ph
c	N	Č	Š	Ċ	Ph-2,3-Me ₂	н
C	N	c	S	Č	Ph-2,3-Me ₂	Me
c	N	Č	S	Č	Ph-2,3-Me ₂	Et
c	N	Č	S	Č	Ph-2,3-Me ₂	n-Hex
c	N	Č	S	Ċ	Ph-2,3-Me ₂	c-Pr
c	N	Č	s	Č	Ph-2,3-Me2	c-Hex
C	N	Č	S	Č	Ph-2,3-Me ₂	OH
C	N	c	S	c	Ph-2,3-Me ₂	CH_2OH
C	N	C	S	č	Ph-2,3-Me ₂	OMe
C	N	c	S		Ph-2,3-Me ₂	SMe
C	N	C	S		Ph-2,3-Me ₂	Cl
C	N	C	S		Ph-2,3-Me ₂	CF ₃
C	N	C	S		Ph-2,3-Me ₂	Ph

•	•				-		
-	W^1	W ²	W ³	W ⁴	w ⁵	Rª	, R ^b
•	C	N	С	s	С	Ph-3,4-Me ₂	н
	C	N.	Ċ	S	. C .	Ph-3,4-Me2	Me
·	č	N	Č	S	C	Ph-3,4-Me2	Et
	Č	N	Ċ	S	Ċ	Ph-3,4-Me2	n-Hex
	Č	N.	C	S	С	Ph-3,4-Me ₂	c-Pr
	Č	N	Č.	S	C	Ph-3,4-Me2	c-Hex
•	Ċ	N	C	S	С	Ph-3,4-Me2	, OH
	Ċ	N	C	S	С	Ph-3,4-Me2	CH_2OH
	Č	N	C	S	С	Ph-3,4-Me ₂	OMe
	Ċ	N	C	S	С	Ph-3,4-Me ₂	SMe
	č	N	Ċ	S	С	Ph-3,4-Me2	C1
	Ċ	N	С	S	С	Ph-3,4-Me2	CF ₃
	Ċ	N	C	S	С	$Ph-3,4-Me_2$	Ph
	Č	N	С	S	С	Ph-3,5-Me ₂	H
	Ċ	N	С	S	С	Ph-3,5-Me ₂	Me
•	С	N	Ć	S	С	Ph-3,5-Me ₂	Et
	C	N	C	S	С	Ph-3,5-Me ₂	n-Hex
•	Č	N	C	S	С	Ph-3,5-Me ₂	c-Pr
	Ċ	N	С	S	С	Ph-3,5-Me ₂	c-Hex
	Ċ	N	C	S	С	Ph-3,5-Me2	OH
	Č	N	C	S	С	Ph-3,5-Me ₂	CH_2OH
	Č	N	С	S	С	Ph-3,5-Me2	OMe
	Ċ	N	C	S	Ç	Ph-3,5-Me2	S Me
	Ċ	N	С	S	С	Ph-3,5-Me ₂	Cl
	C	N	С	S	С	Ph-3,5-Me ₂	CF ₃
	Č	N	C	S	С	Ph-3,5-Me ₂	Ph
	Č	N	Č	S	С	Ph-2,6-Me ₂	H
	Č	N	C	S	С	Ph-2,6-Me ₂	Me
	č	N	Č	S	C	Ph-2,6-Me2	Et
	Č	N	Ċ	S	C	Ph-2,6-Me2	n-Hex
	č	N	Ċ	S	С	Ph-2,6-Me ₂	c-Pr
	Č	N	Č	S	C	Ph-2,6-Me2	c-Hex
	Č	N	Č	S	Ċ	Ph-2,6-Me ₂	OH
	č	N	Č	S	Ċ	Ph-2,6-Me2	CH_2OH
	c	N	č	S	Č	Ph-2,6-Me2	OMe
	Č	N	Č	S	Č	Ph-2,6-Me2	SMe
	C	N	c	S	Č	Ph-2,6-Me ₂	Cl
	C	N	c	S	Č	Ph-2,6-Me ₂	CF ₃
	C	N	Č	S	Ċ	Ph-2,6-Me ₂	Ph
	C	N	c	S	č	Ph-2-Cl	H
	C		C		C	Ph-2-Cl	Me
		N	C		C	Ph-2-Cl	Et
	C	N			C	Ph-2-Cl	n-Hex
	C	N	C			Ph-2-Cl	c-Pr
	С	N	С	S	C	F11-2-C1	Q 1.1

 W¹	W²	W ³	W ⁴	W ⁵	R ^a	R ^b	
 С	N	С	S	C.	Ph-2-Cl	c-Hex	
C	N	. C	S	·C	Ph-2-Cl	ОН	
С	N	С	S	С	Ph-2-Cl	CH_2OH	
С	N	С	S	C	Ph-2-Cl	OMe	
С	N	С	S	С	Ph-2-Cl	SMe	
С	N	С	S	С	Ph-2-Cl	C1	
С	N	С	S	С	Ph-2-Cl	CF ₃	
С	N	С	S	С	Ph-2-Cl	Ph	
С	N	С	S	С	Ph-3-Cl	H	
C	N	С	S	С	Ph-3-Cl	Me	
С	N	С	S	С	Ph-3-Cl	Εt	
С	N	С	S	C	Ph-3-Cl	n-Hex	
С	N	С	S	C	Ph-3-Cl	c-Pr	
C	N	С	S	С	Ph-3-Cl	c-Hex	
č	N	Č	S	C	Ph-3-Cl	OH	
č	N	Č	S	C	Ph-3-Cl	CH ₂ OH	
č	N	č	S	Č	Ph-3-Cl	OMe	
Č	N	č	S	Č	Ph-3-Cl	S Me	
Č	N	Č	S	C	Ph-3-Cl	Cl	
C	N	C	S	С	Ph-3-Cl	CF ₃	
C	N	С	S	С	Ph-3-Cl	Ph	•
C	N	С	S	С	Ph-4-Cl	H	
C	N	С	S	C	Ph-4-Cl	Me	
Č	N	C	S	С	Ph-4-Cl	Et	
Č	N	Ċ	S	С	Ph-4-Cl	n-Hex	
Č	N	Ċ	S	C	Ph-4-Cl	c-Pr	
Č	N	Ċ	S	C	Ph-4-Cl	c-Hex	
Č	N	Ċ	S	C	Ph-4-Cl	ОН	
Č	N	C	S	С	Ph-4-Cl	CH ₂ OH	
Ċ	N	С	S	С	Ph-4-Cl	OMe	
C	N	С	S	С	Ph-4-Cl	S Me	
C	N	С	S	С	Ph-4-Cl	Cl	
С	N	С	S	С	Ph-4-Cl	CF ₃	
С	N	С	S	С	Ph-4-Cl	Ph	
C	N	С	S	С	Ph-3,4-Cl ₂	H	
Ċ	N	C	S	С	Ph-3,4-Cl ₂	Me	
Č	N	Č	·S	C	Ph-3,4-Cl ₂	Et	
Č	N	С	S	С	Ph-3,4-Cl ₂	n-Hex	
Č	N	Č	S	С	Ph-3,4-Cl ₂	c-Pr	
Ċ	N	Ċ	S	C	Ph-3,4-Cl ₂	c-Hex	
c	N	Č	S	Ċ	Ph-3,4-Cl ₂	OH	
c	N	Č	S	Č	Ph-3,4-Cl ₂	CH ₂ OH	
C	N	C	S	Ċ	Ph-3,4-Cl ₂	OMe	
C	N	Č	S		Ph-3,4-Cl ₂	SMe	
_	• •	_	_				

Angles Angles (2)

				- 00	, –	
 W^1	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
 С	N	C.	S	C .	Ph-3,4-Cl ₂	. C1
C	· N·	C·	S	Ċ	Ph-3,4-Cl ₂	CF ₃
Ċ	N	С	S	С	Ph-3,4-Cl ₂	Ρh
Č	N	Ċ	S	С	Ph-4-F	Н
Č	N	Ċ	S	C	Ph-4-F	Me
č	N	Č	S	Ċ	Ph-4-F	Et
č	N	Ċ	S	Ċ	Ph-4-F	n-Hex
Č	N	Ċ	S	Ċ	Ph-4-F	c-Pr
č	N	Ċ	S	C	Ph-4-F	c-Hex
Ċ	N	Ċ	S	Č	Ph-4-F	OH
c	N	c	S	Č	Ph-4-F	CH ₂ OH
c	N	Č	S	Č	Ph-4-F	OMe
C	N	Č	S	Č	Ph-4-F	SMe
C	N	c	S	c	Ph-4-F	Cl
C	N	C	S	Ċ	Ph-4-F	CF ₃
C	N	C	S	Ċ	Ph-4-F	Ph
C	N	C	S	c	Ph-4-Br	H
C	N	C	S	c	Ph-4-Br	Me
C	N	C	S	C	Ph-4-Br	Et
C	N	C	S	c	Ph-4-Br	n-Hex
C	N	c	S	c	Ph-4-Br	c-Pr
C	N	c	\$	c	Ph-4-Br	c-Hex
C	N	C	S	Č	Ph-4-Br	OH
		c	S	c	Ph-4-Br	CH ₂ OH
C	N	C	S	C	Ph-4-Br	OMe
C	N	C	S	C	Ph-4-Br	S Me
C	N			C	Ph-4-Br	Cl
C	N	C	S		Ph-4-Br	CF ₃
C	N	C	S	C	Ph-4-Br	Ph
C	N	C	S	С		H
C	N	C	S	C	Ph-2-OMe	Me
С	N	С	S	C	Ph-2-OMe	Et
C	N	С	S	C	Ph-2-OMe	
С	N	C	S	C	Ph-2-OMe	n-Hex
С	N	С	S	C	Ph-2-OMe	c-Pr
С	N	С	S	C	Ph-2-OMe	c-Hex
С	Ν	С	S	C	Ph-2-OMe	OH
С	N	С	S	C	Ph-2-OMe	CH ₂ OH
С	N	С	S	C	Ph-2-OMe	OMe
С	N	С	S	C	Ph-2-OMe	S Me
С	N	С	S	C	Ph-2-OMe	Cl
С	N	С	S	С	Ph-2-OMe	CF ₃
С	N	С	S	С	Ph-2-OMe	Ph
С	N	С	S	С	Ph-3-OMe	Н
С	N	С	S	С	Ph-3-OMe	Me

	W ¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b	
	ċ	N	С	S	С	Ph-3-OMe	Et .	
	C	.N	Č.	S	C	Ph-3-OMe	n-Hex	•
	C	N	c	S	Č	Ph-3-OMe	c-Pr	
	C	N	c	S	Č	Ph-3-OMe	c-Hex	
	c	N	č	S	C	Ph-3-OMe	ОН	
•	c	N	č	Š	Č	Ph-3-OMe	CH ₂ OH	
	C	N	Č	S	Ċ	Ph-3-OMe	OMe	
	C.	N	C	S	Č	Ph-3-OMe	S Me	
•	c	N	C	S	č	Ph-3-OMe	Cl	
	c	N	C	S	Ċ	Ph-3-OMe	CF ₃	
	C	N	C	S	Č	Ph-3-OMe	Ph ·	
		N	C	S	Č	Ph-4-OMe	H	
	C		C	S	C	Ph-4-OMe	Me	
	C	N		S	Ċ	Ph-4-OMe	Et	
	C	N	C		C	Ph-4-OMe	n-Hex	
	С	N	С	S		Ph-4-OMe	c-Pr	
	С	N	C	S	C	Ph-4-OMe	c-Hex	
	С	N	C	S	C	Ph-4-OMe	OH	
	С	N	С	S	C	Ph-4-OMe	CH ₂ OH	
	C.	N	C	S	C	Ph-4-OMe	OMe	,
	С	N	C	S	C	Ph-4-OMe	S Me	
	С	N	C	S	C	Ph-4-OMe	Cl	
	С	N	C	S	C	Ph-4-OMe	CF ₃	
	С	N	С	S	C	Ph-4-OMe	Ph	
	С	N	С	S	C		H	
	С	N	С	S		Ph-3,4-(OMe) ₂	Me	
	, C	N		S		Ph-3,4-(OMe) ₂	Et	
	С	N		S		Ph-3,4-(OMe) ₂	n-Hex	
	С	N		S		Ph-3,4-(OMe) ₂	n-nex c-Pr	
	С	N		S		Ph-3,4-(OMe) ₂		
	С	N				Ph-3,4-(OMe) ₂	c-Hex OH	
	С	N				Ph-3,4-(OMe) ₂		
	С	N				Ph-3,4-(OMe) ₂	CH ₂ OH OMe	
	С	N				Ph-3,4-(OMe) ₂		
	С	N	C			Ph-3,4-(OMe) ₂	S Me	
	С	N				Ph-3,4-(OMe) ₂	C1	
	С	: N	T C		s C	Ph-3,4-(OMe) ₂	CF ₃	
	C	N			s C	Ph-3,4-(OMe) ₂	Ph	
	C		1 C	3	s C	Ph-2-OH	H	
	Ċ				s C	Ph-2-OH	Me	
	Č				s C	Ph-2-OH	Et	
	Č				s C		n-Hex	
	Č				s c	Ph-2-OH	c-Pr	
	Č				s c	Ph-2-OH	c-Hex	
					s c	Ph-2-OH	OH	

-

					- 00		
	W ¹	$\overline{W^2}$	W ³	W ⁴	W ⁵	R ^a	Rb
		N	С	S	C.	Ph-2-OH	CH ₂ OH
	·C	N ·	Č	·S	. C	Ph-2-OH	OMe
	C	N	Č	S	Ċ	Ph-2-OH	S Me
	C	N	C	S	Č	Ph-2-OH	Cl
	C	N	C	S	Ċ	Ph-2-OH	CF ₃
		N	C	S	Ċ	Ph-2-OH	Ph
	C		c	S	č	Ph-3-OH	H
	С	N	C	S	Č	Ph-3-OH	Me
	С	N	C	S	č	Ph-3-OH	Et
	C	N	C	S	Ċ	Ph-3-OH	n-Hex
•	C	N	C	S	c	Ph-3-OH	c-Pr
	C	N	C	S	C	Ph-3-OH	c-Hex
	C	N		S	c	Ph-3-OH	OH
	C	N	C	S	c	Ph-3-OH	CH ₂ OH
	C	N	C	S	c	Ph-3-OH	OMe
	C	N	С	S	c	Ph-3-OH	SMe
	C	N	C	S	c	Ph-3-OH	Cl
	С	N	C	S	C	Ph-3-OH	CF ₃
	C	N	C	S	c	Ph-3-OH	Ph
	C	N	C	S	c	Ph-4-OH	H
	C	N	C	S	c	Ph-4-OH	Me
	C	N	C	S	c	Ph-4-OH	Et
	C	N	C	S	c	Ph-4-OH	n-Hex
	C	N	C	S	c	Ph-4-OH	c-Pr
	C	N	C	ى د		Ph-4-OH	c-Hex
	C		C	S		Ph-4-OH	OH
	C		C	S		Ph-4-OH	CH ₂ OH
	С		C	S		Ph-4-OH	OMe
	С		C	S		Ph-4-OH	S Me
	С		C			Ph-4-OH	Cl
	С		C			Ph-4-OH	CF ₃
	C					Ph-4-OH	Ph
	C					Ph-3,4-(OH) ₂	Н
	C					$Ph-3,4-(OH)_2$	Me
	C					$Ph-3,4-(OH)_2$ $Ph-3,4-(OH)_2$	Et
	C					$Ph-3,4-(OH)_2$ $Ph-3,4-(OH)_2$	n-Hex
	C					Ph-3,4-(OH) ₂	c-Pr
	C					Ph-3,4-(OH) ₂	c-Hex
	C				S C	Ph 2 / (OH)	OH
					S C	Ph-3,4-(OH) ₂	CH ₂ OH
		C N			S C	Ph-3,4-(OH) ₂	OMe
		C N			S C	Ph-3,4-(OH) ₂	S Me
		C N			S C	Ph-3,4-(OH) ₂	
		C 1			S C	Ph-3,4-(OH) ₂	
	(C 1	4 (C .	s c	Ph-3,4-(OH) ₂	O1 3

W¹	W ²	W^3	W ⁴	W ⁵	Rª	R ^b
С	N	С	s	С	Ph-3,4-(OH) ₂	Ph
Č	N	C.	·S	C	Ph-3-SMe	H .
Č	N	C	S	С	Ph-3-SMe	Me
Ċ	N	C	S	С	Ph-3-SMe	Et
Č	N	Ċ	S	С	Ph-3-SMe	n-Hex
Ċ.	N	Č	S	С	Ph-3-5 Me	c-Pr
Ċ	N	Ċ	S	С	Ph-3-SMe	c-Hex
Č	N	Č	S	С	Ph-3-SMe	ОН
Č	N	Č	S	С	Ph-3-SMe	CH_2OH
Č	N	C	S	С	Ph-3-SMe	OMe
Č	N	Ċ	S	С	Ph-3-SMe	S Me
Ċ	N	C	S	С	Ph-3-SMe	Cl
č	N	Č	S	С	Ph-3-SMe	CF ₃
č	N	Ċ	S	C	Ph-3-SMe	Ph
c	N	C	S	Č	Ph-3-CF ₃	H
C	N	c	S	c	Ph-3-CF ₃	Me
C	N	C	S	C	Ph-3-CF ₃	Et
C	N	C	S	c	Ph-3-CF ₃	n-Hex
C	N	c	S	č	Ph-3-CF ₃	c-Pr
C	N	c	S	Č	Ph-3-CF ₃	c-Hex
c	N	č	S	Č	Ph-3-CF ₃	OH
c	N	Ċ	S	Č	Ph-3-CF ₃	CH_2OH
c	N	Ċ	S	Ċ	Ph-3-CF ₃	OMe
C	N	Č	S	. C	Ph-3-CF ₃	SMe
C	N	c	S	Č	Ph-3-CF ₃	C1
C	N	c	S	Č	Ph-3-CF ₃	CF ₃
c	N	c	S	č	Ph-3-CF ₃	Рh
c	N	C	S	č	$Ph-3-NO_2$	н .
C	N	č	S	č	$Ph-3-NO_2$	Me
C	N	C	S	Ċ	$Ph-3-NO_2$	Et
c	N	C	S	Č	$Ph-3-NO_2$	n-Hex
c	N	Č	S	Č	$Ph-3-NO_2$	c-Pr
c	N	Č	S	Č	$Ph-3-NO_2^2$	c-Hex
C	N	Č	s	Ċ	$Ph-3-NO_2^2$	ОН
c	N	C	s	Č	$Ph-3-NO_2^2$	CH ₂ OH
c	N	Č	S	Č	$Ph-3-NO_2$	OMe
		c	S	Č	$Ph-3-NO_2$	SMe
C	N	C	S	Č		Cl
C	N	c	S	c		CF ₃
C	N	c	S	C		Ph
C	N		S	C	_	Н
C	N				_	Me
						Et
C	N					n-Hex

		•			-	•	
	W¹	W ²	W^3	W ⁴	W ⁵	R ^a	R ^b
	С	N	Ç.	s	С	Ph-4-NMe2	c-Pr
•	·C	N·	C	s·	C.	$Ph-4-NMe_2$	c-Hex
	Č	N	C	S	С	$Ph-4-NMe_2^2$	OH
	Ċ	N	C	S	С	$Ph-4-NMe_2$	CH ₂ OH
	Č	N	C	S	С	$Ph-4-NMe_2$	OMe
	C	N	С	S	С	Ph-4-NMe ₂	S Me
	C	N	С	S	C	Ph-4-NMe ₂	C1
	С	N	С	S	С	Ph-4-NMe ₂	CF ₃
	С	N	С	S	С	Ph-4-NMe ₂	Рh
	C	0	С	N	С	Ph	H
	С	0	С	N	С	Ph	Me
	С	0	С	N	С	Ph	Et
	С	0	С	N	C	P h	n-Hex
	С	0	С	N	С	Рh	c-Pr
	С	0	С	N	C	Ρh	c-Hex
	С	0	С	N	С	Рh	ОН
	С	0	С	N	Ċ	Ph	CH ₂ OH
	С	0	С	Ν		Ph	OMe
	С	0	С	N	С	Ph	S Me
	С	0	С	N	С	Ph	C1
	С	0	С	N	.C	P h	CF ₃
	С	. O	С	N	C	P h	Ph
	С	0	C	N	C	H	Н
	0 0 0	0	С	N	C	H	Me
•		0	С	N	C	H	Et
	С	0	C	N	C	H	n-Hex
	С	0	C	N	C	H	c-Pr
	С	0	C	N	C	H	c-Hex OH
	C	0	C	N	C	H	
	C	0	C	N	C	H	CH ₂ OH OMe
	·C	0	C	N	C	H	S Me
	C	0	C	N	C	H	Cl
	С	0	С	N	C	H	CF ₃
	C	0	C	N	C	H	70.1
	C	0	C	N	C	H	Pn H
	C	0	С	N	С	Me	Me
	C	0	C	N	C	Me	· Et
	C	0	C	N	C	Me Me	n-Hex
	C	0	C	N	C	Me	c-Pr
	C	0	C	N	C	Me	c-Hex
	C	0	C	N	C	Me	·OH
	C	0	C	N	C	Me	CH ₂ OH
	C	0	C	N	C C	Me	OMe
	С	0	С	N	C	147.0	Olito

	W¹		W ³	W ⁴	W ⁵	R ^a	. R ^b	
_	C.	Ø	.C	N	· C	Me .	S Me	
	Č.	õ	C	N.	·с .	Me	Cl	
	č	Ö	Č	N	Č	Me	CF ₃	
	č	Ö	č	N	Ċ	Me	Ph	
	č	Õ	č	N	Ċ	Et	H	
	Č	Ö	Ċ	N	Ċ	Et .	Me	
•	c	ŏ	Ċ	N	Ċ	Et	Et	
	Č	ŏ	č	N	Ċ	: Et	n-Hex	
•	c	Ö	č	N	Ċ	Et	c-Pr	
	c	Õ	č	N	Č	Et	c-Hex	
	Č	Ö	Č	N	Č	Et	OH	
	c	Ö	č	N	Č	Et	CH ₂ OH	
	c	0	C	N	Č	Et	OMe	
			C	N	C	Et	S Me	
	C	0				Et	Cl	
	C	0	C	N	С		CF ₃	
	C	0	C	N	C	Et	Ph	
	. C	0	C	N	C C	Et n-Pr	H	
	С	0	C	N N	C	n-Pr	Me	
	С	0	C	N	c	n-Pr	Et	
	C	0	C	N	c	n-Pr	n-Hex	
	C	0	C	N	c	n-Pr	c-Pr	
	C	0			c	n-Pr	c-Hex	
	C	. 0	C	N		n-Pr	OH	
	C	0	C	N	C		CH ₂ OH	
	C	0	C	N	C	n-Pr	OMe	
	C C	0	C	N	C	n-Pr	S Me	•
	C	0	C	N	C	n-Pr	C1	
	0 0.0 0	0	C	N	C	n-Pr n-Pr	CF ₃	
	C	0	C	N	C	n-Pr	Ph	
	C	0	C	N	C		н	
	C	0	C	N	C	n-Hex	Me	
	C C	0	C	N	C	n-Hex	Et	
	C	0	C	N	C	n-Hex	n-Hex	
	C	0	C	N	C	n-Hex	c-Pr	
	C	.0	C	N	C	n-Hex	c-Hex	
	С	0	C	N	C	n-Hex	OH	
	С	0	C	N		n-Hex		
	С	0	C	N		n-Hex	CH ₂ OH	
	С	0	С	N		n-Hex	OMe SMo	
	C	0	С	N		n-Hex	S Me	
	С	0	С			n-Hex	C1	
	С		С			n-Hex	CF ₃	
	С		C			n-Hex	Ph	
	С	0	С	N	-C	i-Pr	Н	
								

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W^1	W ²	W ³	W ⁴	W ⁵	Rª	R ^b
С	o	С	N	С	i-Pr	Me
Ċ	.0	Ċ	. N	c	i-Pr	Er
c	ŏ	č	N	Ċ	i-Pr	n-Hex
C	Ö	c	N	Ċ	i-Pr	c-Pr
c	ŏ	c	N	č	i-Pr	c-Hex
C.	Ō	c	N	c	i-Pr	OH
C	0	c	N	Ċ	i-Pr	CH ₂ OH
c	Ö	c	N	Ċ.	·i-Pr	· OMe
C	0	c	N	C	i-Pr	S M e
С		C	N	C	i-Pr	Cl
000000	0	c	N	C	i-Pr	CF ₃
C	0			C	i-Pr	Ph
C	0	С	N	C	t-Bu	H
C	0	C	N			Me
C	0	C	N	С	t-Bu	Et
	0	C	N	C ·	t-Bu	n-Hex
С	0	C	N	C	t-Bu	c-Pr
С	0	C	N	C	t-Bu	c-Hex
С	0	С	N	C	t-Bu	OH
С	О	C	N	C	t-Bu	CH ₂ OH
С	0	С	N	C	t-Bu	OMe
С	0	С	N	С	t-Bu	S Me
С	0	С	N	C	t-Bu	Cl
С	0	С	N	C	t-Bu	
С	0	С	N	· C	t-Bu	CF ₃
С	0	С	N	С	t-Bu	Ph
С	0	С	N	С	c-Hex	H
С	0	С	N	С	c-Hex	Me
C	0	С	N	С	c-Hex	Et
С	0	С	N	С	c-Hex	n-Hex
C	0	С	N	С	c-Hex	c-Pr
С	0	С	N	С	c-Hex	c-Hex
C C	.0	С	N	С	c-Hex	OH
С	0	С	N	С	c-Hex	CH ₂ OH
C	0	С	N	С	c-Hex	OMe
Ċ	Ō	С	N	С	c-Hex	S Me
Č	0	С	N		c-Hex	Cl
Ċ	0	С	N		c-Hex	CF ₃
č	Ö	C	N		c-Hex	Ph
c	ő	Č	N		3-c-hexenyl	H
c	ŏ	Č	N		3-c-hexenyl	Me
c	ő	c	N		3-c-hexenyl	Et
C	Ö	Č	N		3-c-hexenyl	n-Hex
C	0	c			3-c-hexenyl	c-Pr
	J	C		C	3-c-hexenyl	c-Hex

	W^1	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
	С	0	С	N	Ç	3-c-hexenyl	OH ,.
·	\mathbf{C}	Ō	C :	··N	С	3-c-hexenyl	CH ₂ OH
	С	0	С	N	С	3-c-hexenyl	OMe
	С	0	С	N	С	3-c-hexenyl	S Me
	С	0	C,	N	С	3-c-hexenyl	Cl
	C	0	C	N	С	3-c-hexenyl	CF ₃
	C	0	С	N	С	.3-c-hexenyl	Ph
•	C	0	С	N	С	CH ₂ OH	H
	C	0	C	N	С	CH_2OH	Me
	C	0	С	N	С	CH ₂ OH	Et
	Ċ	O	C	N	С	CH ₂ OH	n-Hex
	Č	ō	Č	N	C	CH ₂ OH	c-Pr
	Č	Ö	Ċ	N	C	CH ₂ OH	c-Hex
	Č	ŏ	Č	N	Ċ	CH ₂ OH	ОН
	C	Ö	C	N	C	CH ₂ OH	CH ₂ OH
	C	Ö	C	N	c	CH ₂ OH	OMe
			c	N	C	CH ₂ OH	S Me
	C	0	C	N	C	CH ₂ OH	Cl
	C C	0	C	N	C	CH ₂ OH CH ₂ OH	CF ₃
	C	0	C	N	C	CH ₂ OH	Ph
	C	0	C	N	C	CH ₂ Ph	H
	C	0	C	N	C	CH ₂ Ph	Me
			C	N	C	CH ₂ Ph	Et
	C	0			C	CH Ph	n-Hex
	C	0	C	N		CH ₂ Ph	c-Pr
	С	0	С	N	С	CH ₂ Ph	c-Hex
	C	0	C	N	C	CH ₂ Ph	OH
	С	0	C	N	C	CH ₂ Ph	
	C	0	C	N	C	CH ₂ Ph	CH ₂ OH OMe
	С	0	C	N	С	CH ₂ Ph	S Me
	С	0	C	N	C	CH ₂ Ph	Cl
	С	0	C	N	С	CH ₂ Ph	
	С	0	C	N	C	CH ₂ Ph	CF ₃ Ph
	С	0	С	N	C	CH ₂ Ph	
	С	0	С	N	C	α-naphthyl	, Н Ме
	С	0	С	N	С	α-naphthyl	
	С	0	С	N	С	α-naphthyl	Et
	С	0	С	N	C	α-naphthyl	n-Hex
	С	0	С	N	С	α-naphthyl	c-Pr
	C	0	С	N	С	α-naphthyl	c-Hex
	С	0	С	N		α-naphthyl	OH
	С	0	С	N		α-naphthyl	CH ₂ OH
	С	0	С	N		α-naphthyl	OMe
	C		С	N	С	α-naphthyl	S Me
	Ċ	0	С	N	C	α-naphthyl	Cl
	_						

_	W¹	W ²	W^3	W ⁴	W ⁵	Rª	R ^b				
		0	c	N.	С	α-naphthyl	CF ₃	,	•		
•	·C·	Q.,	_	N	·Č	α-naphthyl.		÷	•		• • •
•	C	0	C	N	C	β-naphthyl	H				
	C	Ö	Č	N	Č	β-naphthyl	Me				
	C	Ö	C.	N	č	β-naphthyl	Et.			٠	ī
	c	0.	c	N	č	β -naphthyl	n-Hex				
	c	Ö	Ċ.	N	č	β -naphthyl	c-Pr				
	C	Ö	. C	N	c	β -naphthyl	c-Hex				
	c	ŏ	c	N	č	β-naphthyl	ОН				
	c	ŏ	c	N	Ċ	β-naphthyl	CH_2OH				
	c	Ö	č	N	Č	β -naphthyl	OMe				
	C	ő	Č	N	Č	β-naphthyl	SMe				
	c	Ö	c	N	Č	β -naphthyl	Cl				
	c	Ö	C	N	č	β -naphthyl	CF ₃			•	
	C	o	C	N	c	β -naphthyl	Ph				
	C	o	c	N	Ċ	2-pyridyl	H				
	C	0	C	N	Ċ	2-pyridyl	Me				
	C	Ö	C	N	Ċ	2-pyridyl	Et				
	C	o	C	N	Ċ	2-pyridyl	n-Hex				
	c	Ö	c	N	č	2-pyridyl	c-Pr				
	C	ŏ	c	N	Ċ	2-pyridyl	c-Hex				
	C	Ö	č	N	Ċ	2-pyridyl	OН				
	C	ő	Č	N	Ċ	2-pyridyl	CH ₂ OH				
	Č	ő	Ċ	N	Ċ	2-pyridyl	OMe				
	c	Ö	Č	N	Ċ	2-pyridyl	S Me				
	Ċ	ŏ	Č	N	C	2-pyridyl	Cl				
	Č	ŏ	Č	N	C	2-pyridyl	CF ₃				
	Č	Ö	C	N	С	2-pyridyl	Ph				
	Č	ŏ	č	N	С	3-pyridyl	H				
	č	Ö	Ċ	N	C	3-pyridyl	Me				
	Č	Ö	Č	N	С	3-pyridyl	Et				
	č	Ö	Č	N		3-pyridyl	n-Hex				
	č	ŏ	. Č	N		3-pyridyl	c-Pr				
	Č	Ö	Ċ	N		3-pyridyl	c-Hex				
	Č	Ö	Č	N		3-pyridyl	ОН				
	č	Ö	Č	N		3-pyridyl	CH ₂ OH				
	Č	ŏ	Č	N		3-pyridyl	OMe				
	č	ŏ	Č	N		3-pyridyl	·SMe				
	Č	Ö	Č	N		3-pyridyl	Cl				
	c	ŏ	Ċ	N		3-pyridyl	CF ₃				
	c	Ö	Č	N		3-pyridyl	Ph				
	C	ŏ	Č	N		4-pyridyl	· H				
	C	Ö	c	N		4-pyridyl	Me				
	C	Ö	C			4-pyridyl	Et				
	_	J	•		-						

	•					
W¹	W ²	W ³	W ⁴	₩ ⁵	R ^a	R ^b
С	0	C:	N	С	4-pyridyl	n-Hex
C	O	Ċ	N.	c ·	4-pyridyl	c-Pr
С	0	С	N	С	4-pyridyl	c-Hex
С	0	С	N	С	4-pyridyl	ОН
С	0	С	N	С	4-pyridyl	CH_2OH
С	0	С	N	С	4-pyridyl	OMe
С	0	С	N	С	4-pyridyl	S Me
С	0	С	N	С	4-pyridyl	Cl
С	0	С	N	С	4-pyridyl	CF ₃
C	0	С	N	С	4-pyridyl	Ph
С	0	С	N	С	2-furanyl	Н
С	0	С	N	С	2-furanyl	Me
С	0	С	N	С	2-furanyl	Et
С	0	С	N	С	2-furanyl	n-Hex
C	0	С	N	С	2-furanyl	c-Pr
С	0	С	N	С	2-furanyl	c-Hex
С	0	С	N	С	2-furanyl	OH
С	0	С	N	С	2-furanyl	CH_2OH
С	О	С	N	С	2-furanyl	OMe
С	0	С	N	С	2-furanyl	S Me
С	О	С	N	· C	2-furanyl	.Cl
C	0	С	N	С	2-furanyl	CF ₃
С	0	С	N	С	2-furanyl	Ph
С	0	С	N	C	2-thienyl	H
C	0	С	N	С	2-thienyl	Me
С	О	С	N	С	2-thienyl	Et
С	0	С	N	С	2-thienyl	n-Hex
C	0	С	N	С	2-thienyl	c-Pr
С	Ο.	С	N	С	2-thienyl	c-Hex
С	Ο	С	N	С	2-thienyl	OH
С	0	С	N	С	2-thienyl	CH ₂ OH
С	0	С	N	C	2-thienyl	OMe
С	0	C	N	C	2-thienyl	S Me
С	0	С	N	C	2-thienyl	C1
С	0	C	N	C	2-thienyl	CF ₃
С	0	C	N	C	2-thienyl	Ph
С	0	C	. N	C	2-tolyl	H · Ma
С	0	C	N	С	2-tolyl	Me
С	0	C	N	C	2-tolyl	Et
С	0	C	N	С	2-tolyl	n-Hex
С	0	C	N	С	2-tolyl	c-Pr
С	0	C	N	C	2-tolyl	c-Hex
C	0	C	N	С	2-tolyl	CH OH
С	0	C	N	С	2-tolyl	CH ₂ OH

W^1	W^2	W ³	W ⁴	W ⁵	R ^a	R ^b
С	0	С	N	С	2-tolyl	ОМе
·C	Ō	C:	N	C	2-tolyl	S M e
Ċ	Ö	Č	N	С	2-tolyl	Cl
č	ō	Č	N	C	2-tolyl	CF ₃
č	ŏ	Ċ	N	Č	2-tolyl	Ph
Ċ	Ö	Ċ.	N	C	3-tolyl	Н
Č	ŏ	Ċ	N	Č	3-tolyl	Me
c	ŏ	č	N	Č	3-tolyl	Et
Ċ	ŏ	č	N	Č	3-tolyl	n-Hex
Ċ	ŏ	Č	N	Ċ	3-tolyl	c-Pr
c	ő	č	N	Ċ	3-tolyl	c-Hex
Ċ	ő	č	N	Ċ	3-tolyl	OH
c	ő	č	N	č	3-tolyl	CH ₂ OH
c	Ö	C	N	Č	3-tolyl	OMe
C	ő	Č	N	č	3-tolyl	S Me
c	ő	C	N	č	3-tolyl	Cl
c	ŏ	C	N	Ċ	3-tolyl	CF ₃
C	ŏ	Č	N	Č	3-tolyl	Ph
c	Ö	Ċ	N	Č	4-tolyl	H
C	ŏ	c	N	Č	4-tolyl	Me
Č	ŏ	č	N	Č	4-tolyl	Et
č	Ö	Č	N	Ċ	4-tolyl	n-Hex
C	ŏ	Ċ	N	Ċ	4-tolyl	c-Pr
Č	Ö	C	N	С	4-tolyl	c-Hex
Č	Ō	C	N	С	4-tolyl	ОН
C	Ō	С	N	C	4-tolyl	CH ₂ OH
Č	Ö	C	N	С	4-tolyl	OMe
Č	Ō	Ċ	N	С	4-tolyl	SMe
Č	Ō	C	N	С	4-tolyl	Cl
Ċ	ō	C	N	С	4-tolyl	CF ₃
č	ŏ	Ċ	N	C	4-tolyl	Ph
Ċ	Ö	Ċ	N	C	Ph-2,3-Me ₂	H
Ċ	ō	Ċ	N	С	$Ph-2,3-Me_2$	Me
C	Ö	Č	N	С	Ph-2,3-Me ₂	Et
Č	Ö	C	N	C	$Ph-2,3-Me_2$	n-Hex
Č	ō	Ċ	N	С	$Ph-2,3-Me_2$	c-Pr
C	Ö	Ċ	N	С	Ph-2,3-Me ₂	c-Hex
C	ŏ	Č	N	Č	Ph-2,3-Me ₂	OH
Č	ő	C	N	Ċ	Ph-2,3-Me ₂	CH_2OH
C	ŏ	Č	N	Ċ	Ph-2,3-Me ₂	OMe
C	Ö	c	N	Ċ	Ph-2,3-Me ₂	S Me
C	ő	Č	N	Ċ	Ph-2,3-Me ₂	C1
c	o	Č	N	Ċ	Ph-2,3-Me ₂	CF ₃
C	Ö	Č	N	Č	Ph-2,3-Me ₂	Рh

	W¹	$\overline{W^2}$	W^3	W ⁴	w ⁵	Rª	R ^b
	C.	0	С	N		Ph-3,4-Me ₂	H
	Ċ	0	Ċ.	N	Ċ	Ph-3,4-Me ₂	Me
	C	0	Č	N	Ċ	Ph-3,4-Me ₂	Et
	C	Ö	c	N	Č	Ph-3,4-Me ₂	n-Hex
	C	Ö	C	N	Č	Ph-3,4-Me ₂	c-Pr
	c	Ö	C	N	č	Ph-3,4-Me ₂	c-Hex
	C	Ö	C	N	Ċ	Ph-3,4-Me ₂	ОН
	C	0	c	N	c	Ph-3,4-Me ₂	CH_2OH
•	C	Ö	C	N	Ċ	Ph-3,4-Me ₂	OMe
		0	C	N	C	Ph-3,4-Me ₂	SMe
	C		C	N	Ċ	Ph-3,4-Me ₂	Cl
	С	0			C	Ph-3,4-Me ₂	CF ₃
	С	0	C	N		Ph-3,4-Me ₂	Ph
	С	0	C	N	С		H
	С	0	С	N	C	Ph-3,5-Me ₂	Me
	С	Ο	С	N	C	Ph-3,5-Me ₂	
	С	0	С	N	С	Ph-3,5-Me ₂	Et - Hay
	C	0	С	N	С	Ph-3,5-Me ₂	n-Hex
	С	0	С	N	С	Ph-3,5-Me ₂	c-Pr
	С	0	С	N	С	Ph-3,5-Me ₂	c-Hex
	С	0	С	N	С	Ph-3,5-Me ₂	OH
	С	0	С	N	С	Ph-3,5-Me ₂	CH ₂ OH
	С	0	С	N	С	Ph-3,5-Me ₂	OMe
	C	0	С	N	С	Ph-3,5-Me ₂	S Me
	С	0	С	N	С	Ph-3,5-Me ₂	Cl
	С	0	С	N	С	Ph-3,5-Me ₂	CF ₃
	С	0	С	N	C	Ph-3,5-Me ₂	P h
	С	0	С	N	С	Ph-2,6-Me ₂	H
	С	0	С	N	С	Ph-2,6-Me ₂	Me
	С	0	С	N	С	Ph-2,6-Me ₂	Et
	С	0	• C	N	С	Ph-2,6-Me ₂	n-Hex
	C	0	С	N	. C	Ph-2,6-Me ₂	c-Pr
	Č	0	С	N	С	Ph-2,6-Me ₂	c-Hex
	C	Ō	С	N	С	Ph-2,6-Me ₂	OH
	Č	ō	C	N	С	Ph-2,6-Me ₂	CH ₂ OH
	c	ŏ	c	N	C	Ph-2,6-Me2	OMe
	C		Č		C	Ph-2,6-Me2	S Me
	C		c			Ph-2,6-Me2	Cl
	C		C			Ph-2,6-Me ₂	CF ₃
	c		C			Ph-2,6-Me ₂	Ph
						Ph-2-Cl	Н
	C	0				Ph-2-Cl	Me
	C	0				Ph-2-Cl	Et
	C					Ph-2-Cl	n-Hex
		. []		. 1		11, 2	c-Pr

W^1	W^2_{-}	W^3	W ⁴	W ⁵	Rª	R ^b
С	0	С	N	C.	Ph-2-C1	c-Hex
Č	0.	С	N	· C	Ph-2-Cl	ОН
Ċ	Ŏ	C	N	С	Ph-2-Cl	CH ₂ OH
Ċ	0	С	N	С	Ph-2-Cl	OMe
C	Ó	С	N	С	Ph-2-Cl	SMe
C	0	С	N	С	Ph-2-Cl	Cl
C	0	С	N	С	Ph-2-Cl	CF ₃
C	0	С	N	С	Ph-2-Cl	Ph
С	0	С	N	С	Ph-3-Cl	H
С	0	С	N	С	Ph-3-Cl	Me
C	0	С	N	С	Ph-3-Cl	Et
С	0	С	N	С	Ph-3-Cl	n-Hex
C	0	С	N	С	Ph-3-Cl	_ c-Pr
C	0	С	N	С	Ph-3-Cl	c-Hex
C	0	С	N	С	Ph-3-Cl	OH
Č	Ō	С	N	С	Ph-3-Cl	CH_2OH
Č	Ö	С	N	С	Ph-3-C1	OMe
Č	Ō	C	N	С	Ph-3-Cl	S Me
Č	Ö	C	N	С	Ph-3-Cl	CI
Ċ	Ō	С	N	С	Ph-3-Cl	CF ₃
Ċ	0	C	N	С	Ph-3-C1	Ph
Č	Ō	С	N	С	Ph-4-Cl	H
C	0	С	N	С	Ph-4-Cl	Me
Ċ	0	С	N	С	Ph-4-Cl	Et
Č	0	С	N	С	Ph-4-Cl	n-Hex
Č	Ō	С	N	С	Ph-4-Cl	c-Pr
Č	ŏ	Č	N	С	Ph-4-Cl	c-Hex
č	Ö	C	N	С	Ph-4-Cl	OH
Č	ō	C	N	С	Ph-4-Cl	CH_2OH
Č	Ö	Č	N	С	Ph-4-C1	OMe
c	Ö	Č	N	С	Ph-4-Cl	S Me
č	ŏ	Č	N	C	Ph-4-Cl	Cl
č	ŏ	Č	N	С	Ph-4-Cl	CF ₃
c	ō	Ċ	N	С	Ph-4-Cl	Ph
Č	Ö	C	N	_	Ph-3,4-Cl ₂	H
č	Ö	Č	N		Ph-3,4-Cl ₂	Me
C	ŏ	č	N		Ph-3,4-Cl ₂	Et
C	ő	Č	N		Ph-3,4-Cl ₂	n-Hex
c	o	c	N		Ph-3,4-Cl ₂	c-Pr
C	0	c	N		Ph-3,4-Cl ₂	c-Hex
C	0	c	N		Ph-3,4-Cl ₂	ОН
C	0	c	N		Ph-3,4-Cl ₂	CH ₂ OH
	0	C	N		Ph-3,4-Cl ₂	OMe
C C	0	C			Ph-3,4-Cl ₂	SMe

W^1	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
	0	C	N	С	Ph-3,4-Cl ₂	CI
C	Ö.	C	Ŋ	Ċ.	Ph-3,4-Cl ₂	CF ₃
c	ŏ	č	N	Č	Ph-3,4-Cl ₂	Ph
. C	ŏ	č	N	Č	Ph-4-F	H
	0.	c	N	Ċ	Ph-4-F	Me
C.	0	C.	N	Č	Ph-4-F	Et
C		, C	N	č	Ph-4-F	n-Hex
C	0	·C	N	c	Ph-4-F	c-Pr
· C	0	C	N	c	Ph-4-F	c-Hex
C	0		N	C	Ph-4-F	OH
C	0	C		C	Ph-4-F	CH ₂ OH
C	0	C	N	C	Ph-4-F	OMe
С	0	C	N		Ph-4-F	S Me
С	0	C	N	C	PH-4-1	Cl
С	0	С	N	C	Ph-4-F	
С	0	С	N	С	Ph-4-F	CF ₃ Ph
С	0	С	N	С	Ph-4-F	
С	0	С	N	С	Ph-4-Br	H
С	0	С	N	С	Ph-4-Br	Me
С	0	С	N	С	Ph-4-Br	Et .
С	0	С	N		Ph-4-Br	n-Hex
С	0	С	N		Ph-4-Br	c-Pr
С	0	С	N		Ph-4-Br	c-Hex
С	0	С	N		Ph-4-Br	OH
С	0	С	N		Ph-4-Br	CH ₂ OH
С	0	С	N		Ph-4-Br	OMe
C	0	С	N		Ph-4-Br	S Me
Ċ	0	С			Ph-4-Br	C1
Č					Ph-4-Br	CF ₃
Č				T C	Ph-4-Br	Рh
Č				ı C	Ph-2-OMe	Н
c					Ph-2-OMe	Me
Ċ					Ph-2-OMe	Et
Ċ					Ph-2-OMe	n-Hex
C			. 1		Ph-2-OMe	c-Pr
		_		4 C	Ph-2-OMe	c-Hex
C				4 C	Ph-2-OMe	OH
C				, C	Ph-2-OMe	CH ₂ OH
C	, ,			N C	Ph-2-OMe	OMe
				N C	Ph-2-OMe	SMe
				N C	Ph-2-OMe	C1
				N C		CF ₃
						Рh
						Н
	C (И С И С		Me

					100		
	W¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
	ċ	. 0	С	N	С	Ph-3-OMe	Et .
	Ċ.	0	Ċ	. N	C	Ph-3-OMe	n-Hex
	C	Ŏ	Ċ	N	С	Ph-3-OMe	c-Pr
	Ċ	ŏ	C	N	С	Ph-3-OMe	c-Hex
. •	Ċ	0	С	N.	С	Ph-3-OMe	OH
	Č	Ō	C	N	С	Ph-3-OMe	CH ₂ OH
	C	0	С	N	С	Ph-3-OMe	OMe
	С	0	С	N	С	Ph-3-OMe	S Me
	С	0	С	N	C	Ph-3-OMe	Cl
	С	0	С	N	C	Ph-3-OMe	CF ₃
	С	0	С	N	С	Ph-3-OMe	Рh
	С	0	С	N	С	Ph-4-OMe	H
	С	0	С	N	С	Ph-4-OMe	Me
	С	0	С	N	С	Ph-4-OMe	Et
	С	· 0	С	N	С	Ph-4-OMe	n-Hex
	С	0	С	N	С	Ph-4-OMe	c-Pr
	С	0	С	N	С	Ph-4-OMe	c-Hex
	С	0	С	N	С	Ph-4-OMe	OH
	С	0	С	N	С	Ph-4-OMe	CH ₂ OH
	C	0	С	N	С	Ph-4-OMe	OMe
	С	0	С	N	С	Ph-4-OMe	S Me
	С	0	С	N	С	Ph-4-OMe	C1
	С	0	С	N	С	Ph-4-OMe	CF ₃
	С	0	С	N	С	Ph-4-OMe	Ph
	С	0	С	N	C	Ph-3,4-(OMe) ₂	H
	С	0	С	N	С	Ph-3,4-(OMe) ₂	Me
	С	0	С	N	C	Ph-3,4-(OMe) ₂	Et .
	С	0	С	N	C	Ph-3,4-(OMe) ₂	n-Hex
	С	0	С	N	C	Ph-3,4-(OMe) ₂	c-Pr
	С	0	С	N	C	Ph-3,4-(OMe) ₂	c-Hex
	С	0	С	N	C	Ph-3,4-(OMe) ₂	OH
	С	Ο	C	N	C	Ph-3,4-(OMe) ₂	CH ₂ OH OMe
	С	0	С	N	C	Ph-3,4-(OMe) ₂	S Me
	С	0	C	N	C	Ph-3,4-(OMe) ₂	Cl
	С	0	C	N	C	Ph-3,4-(OMe) ₂	
	С	0	C	N	C	Ph-3,4-(OMe) ₂	CF ₃ Ph
	С	0	С	N	C	Ph-3,4-(OMe) ₂	·H
	С	0	C	N		Ph-2-OH	л Ме
	С	0	C	N		Ph-2-OH	Et .
	С	0	C	N		Ph-2-OH	n-Hex
	С	0	C	N		Ph-2-OH	n-Hex c-Pr
	С		C			Ph-2-OH	c-Pi c-Hex
	С		C			Ph-2-OH	C-Hex OH
	С	0	С	N	С	Ph-2-OH	OII

W ¹	W ²	W ³	W ⁴	W ⁵	Rª	R ^b
С	0	Ç	N	c ·	Ph-2-OH	CH ₂ OH
Ċ	. 0	Ċ.	N	Ċ	Ph-2-OH	OMe
Č	Ö	C	N	С	Ph-2-OH	S Me
Ċ	Ö	C	N	С	Ph-2-OH	Cl
č	Ö	C	N	С	Ph-2-OH	CF ₃
c	Ö	Ċ	N	С	Ph-2-OH	Ph
č	ŏ	Č	N	С	Ph-3-OH	H
Č	ŏ	Č	N	С	Ph-3-OH	Me
C	Ö	Č	N	C	Ph-3-OH	Et
c	ŏ	Č	N	C	Ph-3-OH	n-Hex
C	Ö	C	N	Ċ	Ph-3-OH	. c-Pr
Ċ	ŏ	č	N	Č	Ph-3-OH	c-Hex
C	o	c	N	Ċ	Ph-3-OH	ОН
C	0	C	N	Ċ	Ph-3-OH	CH ₂ OH
		C	N	C	Ph-3-OH	OMe
C	0	C	N	C	Ph-3-OH	S Me
C	0		N	C	Ph-3-OH	Cl
Ç	0	C.	N	c	Ph-3-OH	CF ₃
С	0	C	N	C	Ph-3-OH	Ph
C	0	c	N	c	Ph-4-OH	Н
C		c	N	Ċ	Ph-4-OH	Me
C	0	c	N	c	Ph-4-OH	Et
C	0			C	Ph-4-OH	n-Hex
C	0	C	N	C	Ph-4-OH	c-Pr
C	0	C	N	C	Ph-4-OH	c-Hex
C	0	C	N		Ph-4-OH	OH
С	0	C	N	С	Ph-4-OH	CH ₂ OH
С	0	C	N	C.	Ph-4-OH	OMe
С	0	C	N	C	Ph-4-OH	S Me
С	0	C	N	C	Ph-4-OH	Cl
С		C	N	C		CF ₃
С		C	N	С	Ph-4-OH Ph-4-OH	Ph
С		С	N	C		H
С		С	N	C	Ph-3,4-(OH) ₂	Me
С		С	N	C	Ph-3,4-(OH) ₂	
С		C	N		Ph-3,4-(OH) ₂	Et n-Hex
C			N		Ph-3,4-(OH) ₂	
C					Ph-3,4-(OH) ₂	c-Pr
C					Ph-3,4-(OH) ₂	c-Hex
C					Ph-3,4-(OH) ₂	OH
C	. 0				Ph-3,4-(OH) ₂	CH ₂ OH
C	0				Ph-3,4-(OH) ₂	OMe
C					Ph-3,4-(OH) ₂	S Me
C		C	N		Ph-3,4-(OH) ₂	CI
	0	· C	N	С	Ph-3,4-(OH) ₂	CF ₃

 W ¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
 С	0	С	Ŋ	С	Ph-3,4-(OH)2	Ph
Č	Ō	C.	N	C T	Ph-3-SMe	H
č	Ō	Ċ	N	С	Ph-3-SMe	Me
Č	Ö	C	N	С	Ph-3-SMe	Et
č	Ŏ	C	N	С	Ph-3-SMe	n-Hex
Č.	. 0	C	N	С	Ph-3-SMe	c-Pr
Č	O	C	N	С	Ph-3-SMe	c-Hex
Ċ	O	С	Ν	С	Ph-3-SMe	OH
C	0	С	N	С	Ph-3-SMe	CH ₂ OH
C	0	С	N	С	Ph-3-SMe	OMe
C	0	С	N	С	Ph-3-SMe	S Me
Č	Ō	С	N	С	Ph-3-SMe	Cl
Č	Ō	C	N	С	Ph-3-5Me	CF ₃
Č	Ö	C	N	С	Ph-3-SMe	Ph
Ċ	Ö	С	N	С	Ph-3-CF ₃	H
Ċ	Ō	C	N	С	$Ph-3-CF_3$	Me
Ċ	0	С	N	С	Ph-3-CF ₃	Et
Č	Ō	C	N	С	Ph-3-CF ₃	n-Hex
С	0	С	N	С	Ph-3-CF ₃	c-Pr
C	0	С	N	С	Ph-3-CF ₃	c-Hex
С	0	С	N	С	Ph-3-CF ₃	ОН
C	0	С	N	С	Ph-3-CF ₃	CH ₂ OH
C	0	.C	N	С	Ph-3-CF ₃	OMe
C	0	С	N	. С	Ph-3-CF ₃	S Me
С	0	С	N	С	Ph-3-CF ₃	C1
C	0	С	N	С	Ph-3-CF ₃	CF ₃
C	0	С	N	С	Ph-3-CF ₃	Ph
C	0	С	N	С	$Ph-3-NO_2$	H
Č	0	С	N	С	$Ph-3-NO_2$	Me
C	0	С	N	С	$Ph-3-NO_2$	Et
C	0	С	N	С	$Ph-3-NO_2$	n-Hex
Ğ,	Ō	С	N	С	$Ph-3-NO_2$	c-Pr
c	Ō	C	N	С	$Ph-3-NO_2$	c-Hex
Č	Ō	С	N		$Ph-3-NO_2$	OH
Č	ō	C	N		$Ph-3-NO_2$	CH_2OH
c	ŏ	c	N		Ph-3-NO ₂	OMe
c	ŏ	Č	N		Ph-3-NO ₂	S Me
c		Č	N		$Ph-3-NO_2^2$	Cl
c	ő	c	N		Ph-3-NO ₂	CF ₃
C			N		$Ph-3-NO_2^2$	Ρh
C					—	H
C						Me
C					_	Et
C					_	n-Hex
Ų	. 0	C	. 17			

•	- h		<u> </u>				
	R ^b	Rª	W ⁵	W ⁴	W ³	W ²	W ¹
	c-Pr	Ph-4-N Me ₂	C·	N	С	0	С
	c-Hex	Ph-4-NMe2	С	N	· C	0	·C
	OH	Ph-4-NMe ₂	С	N	C	0	С
	CH ₂ OH ·	Ph-4-NMe2	С	N	С	0	C
	OMe	Ph-4-NMe2	С	N	С	0	Ċ
	S Me	Ph-4-NMe2	С	N	С	0	C
	Cl	Ph-4-NMe2	С	N	С	Ō	Č
	CF ₃	Ph-4-NMe2	С	N	C	Ō	Ċ
	Ph	Ph-4-NMe2	С	N	С	Ö	C
	H	Ph	С	N	С	S.	C
	Me	Ph	С	N	C	S	Ċ
	Et	Ph	С	N	С	S	Ċ
	n-Hex	Ph	С	N	Ċ	S	Č
	c-Pr	Ph	C	N	Č	S	Ċ
	c-Hex	Ph	Ċ	N	C	S	C
	ОН	P h	c	N	c	S	C
	CH ₂ OH	P h	c	N	c	S	C
	OMe	P h	c	N	c	S	C
	S Me	Ph	c	N	C	S	C
	C1	Ph	č	N	C	S	C
٠	CF ₃	Ph	C	N	c	S	C
	Ph	Ph	Ċ	N	Č	S	C
	H	Н	Ċ	N	c	S	C
	Me	Н	Ċ	N	Č	S	C
	Et	H	Ċ	N	c	S	c
	n-Hex	H	Č	N	C	S	C
	c-Pr	H	Ċ	N	c	S	C
	c-Hex	Ĥ	c	N	C	s S	C
	ОН	H	c	N	C	S	C
	CH ₂ OH	H	C	N	C	S	C C
	OMe	H	c	N	C	S	
	S Me	н	c	N	c	S	C
	Cl	H	Ċ	N	C	S	. C
	CF ₃	H	C	N	C	S	. C
	Ph	H	c	N			
	Н	Me	c	N	C	S	C
	Me	Me	c	N		S	C
	Et	Me	c		C	S	C
	n-Hex	Me	C	N N	C	S	C
	c-Pr	Me	C	N	C	S	C
	c-Hex	Me	C	N	C	S	C
	OH	Me	C	N	C	S	C
	СН ₂ ОН	Me	C	N	C	S	C
	OMe	Me	Ċ	N N	C C	S S	C

	R ^b	R ^a	W ⁵	W ⁴	W ³	W ²	W^1
	S Me	. Me	€.	N	С	S.	c ·
	C1	Me.	C ·	N	·C·	· S ·	С
	CF ₃	Me	С	N	С	S	C
	Ph	Me	С	N	С	S	Ċ
	H	Et	С	N	C	S	С
	Me	Et	С	N	C	S	Ċ
	Et	Et	С	N	C	S	Ċ
	n-Hex	· Et	C	N	C	S	Ċ
	c-Pr	Et	С	N	C	S	Ċ
	c-Hex	Et	С	N	C	S	Ċ
	ОН	Et	С	N	C	S	Č
	CH ₂ OH	· Et	С	N	C	S	Č
	OMe	Et	C	N	Ċ	S	Ċ
	S Me	Et	C	N	Č	S	Č
	Cl	Et	Ċ	N	Ċ	Š	č
	CF ₃	Et	Ċ	N	Č	s	Č
	Ph	Et	Ċ	N	Č	S	Ć
	H	n-Pr	Ċ	N	C.	s	Č
	Me	n-Pr	Ċ	N	č	S	Ċ
	Et	n-Pr	Č	N	Č	s	Č
•	n-Hex	n-Pr	Ċ	N	Ċ	S	Č
	c-Pr	n-Pr	C	N	Ċ	s	Ċ
	c-Hex	n-Pr	C	N	Ċ	Š	Č
	OH	n-Pr	С	N	Ċ	S	Ċ
	CH ₂ OH	n-Pr	С	N	Ċ	S	Č
	OMe	n-Pr	С	N	C	S	C
	SMe	n-Pr	C	N	Č	S	Č
	Cl	n-Pr	С	N	С	S	Ċ
	CF ₃	n-Pr	C	N	Ċ	S	C C
	Рh	n-Pr	C	N	Ċ	S	Ċ
	H	n-Hex	C	N	C	S	C C
	Me	n-Hex	С	N	C	S	Č
	Et	n-Hex	C	N	C	S	Ċ
	n-Hex	n-Hex	C	N	Ċ	S	C C
	c-Pr	n-Hex	С	N	C	S	Ċ
	c-Hex	n-Hex	C	N	C	S	Ċ
	OH	n-Hex	C	N	Č	s	C C
	CH ₂ OH	n-Hex	С	N	Ċ	S	Č
	OMe	n-Hex	Č	N	Č	S	c c
	SMe	n-Hex	Ċ	N	c	S	<u></u>
	CI	n-Hex	c	N	C	S	Č
	CF ₃	n-Hex	Č	N	C	S	C C C
	Ph	n-Hex	Ċ	N	C	S	c
	Н	i-Pr	Č	N	Ċ	S	C

W ¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
С	S	С	. N	С	·i-Pr	Me ⁻
·······································	s·	C.	N	· c · ·	i-Pr	Et
С	S	С	N	C.	i-Pr	n-Hex
С	S	С	N	С	i-Pr	c-Pr
С	S	С	N	С	i-Pr	c-Hex
С	S	C.	N	С	i-Pr	OH
С	S	C ·	N	. C	i-Pr	CH_2OH
C	S	С	Ν	С	i-Pr	ОЙе
C	S	С	N	С	i-Pr	S Me
С	S	С	N	С	i-Pr	Cl
Ċ	S	Ċ	N	Ċ	i-Pr	CF ₃
Ċ	S	Č	N	Ċ	i-Pr	Ph
Č	S	C	N	Č	t-Bu	H
C	S	Ċ	N	Č	t-Bu	Me
C	S	C	N	c	t-Bu	Et
<u> </u>				C		n-Hex
C	S	С	N		t-Bu	c-Pr
Ċ	S	C	N	C	t-Bu	c-Hex
С	S	C	N	C	t-Bu	OH OH
C	S	C C	N N	C C	t-Bu t-Bu	CH ₂ OH
C	S S	C	N	Ç	t-Bu	OMe
<u> </u>		c		C	t-Bu	S Me
C	. S	<u> </u>	N	C		Cl
С	S	C	N		t-Bu	CF ₃
C	S	С	N	C	t-Bu	Ph
· C	S	С	N	C	t-Bu	H
C	S	C	N	С	c-Hex	
С	S	C	N	C	c-Hex	Me
C C	S	С	N	C	c-Hex	Et
С	S	C	N	C	c-Hex	n-Hex
С	S	С	N	С	c-Hex	c-Pr
C	S	С	N	C	c-Hex	c-Hex
С	S	С	N	С	c-Hex	OH
c c	S	С	N	С	c-Hex	CH ₂ OH
	S	С	N	C	c-Hex	OMe
С	S	С	N	С	c-Hex	S Me
С	S	С	N	С	c-Hex	C1
С	S	С	Ņ	С	c-Hex	CF ₃
С	S	С	N	С	c-Hex	· Ph
С	S	С	N	С	3-c-hexenyl	Н
С	S	С	N	С	3-c-hexenyl	Me
С	S	С	N	С	3-c-hexenyl	Et
С	S	С	N	С	3-c-hexenyl	n-Hex
С	S	C	N	С	3-c-hexenyl	c-Pr
С	S	С	N	С	3-c-hexenyl	c-Hex

	Wi	W ²	W^3	W ⁴	W ⁵	R ^a	R ^b	 •	
	С	s	С	N	С	3-c-hexenyl	ОН		
٠.	C	S	С	N	C	3-c-hexenyl	CH ₂ OH		
	Ċ	S	C	N	С	3-c-hexenyl	OMe		•
	Ċ	S	C	N	С	3-c-hexenyl	SMe		
	č	S	Č	N	С	3-c-hexenyl	Cl		;
	č	Š	Ċ	N	С	3-c-hexenyl	CF ₃		
	č	S	Ċ	N	С	3-c-hexenyl	Рh		
	Č	Š	Č	N	С	CH ₂ OH	H		
	Č	S	Č	N	С	CH_2^2OH	Me		
	Č	S	Ċ	N	С	CH_2^2OH	Et		
	č	S	Ċ	N	C	CH_2^2OH	n-Hex		
	Č	S	Č	N	С	CH_2^2OH	c-Pr		
	č	S	Ċ	N	C	CH_2^2OH	c-Hex		
	Č	S	Ċ	N	C	CH_2OH	OH		
	Č	S	C	N	С	CH_2^2OH	CH ₂ OH	•	
	Ċ	S	C	N	С	$CH_{2}^{2}OH$	OMe		
	Č	S	Ċ	N	С	$CH_2^{\prime}OH$	S Me		
	Č	S	C	N	С	CH ₂ OH	Cl		
	Č	S	Č	N	С	CH_2OH	CF ₃		
	Ċ	Š	Ċ	N	С	CH ₂ OH	Ph		
	Ċ	S	Ċ	N	C	CH ₂ Ph	H		
	Č	S	Ċ	N	С	CH ₂ Ph	Me		
	Č	S	C	N	С	$CH_{2}^{2}Ph$	Et		
	C	S	С	N	С	$CH_{2}Ph$	n-Hex		
	С	S	С	N	С	CH_2Ph	c-Pr		
	C	S	С	N	С	CH_2Ph	c-Hex		
	C	S	С	N	С	CH_2Ph	OH		
	C	S	С	N	C	CH_2Ph	CH ₂ OH		
	С	S	С	N	С	CH_2Ph	OMe		
	С	S	С	N	С	CH_2Ph	S Me		
	С	S	С	N	С	CH_2Ph	Cl		
	С	S	С	N	С	CH_2Ph	CF ₃		
	С	S	С	N	С	CH_2Ph	Ph		
	С	S	С	N	С	α-naphthyl	H		
	С	S	С	N	С	α-naphthyl	Me		
		S	С	N	С	α-naphthyl	Et		
	С	S	C	N	С	α-naphthyl	n-Hex		
	С	S	С	N	С	α-naphthyl	· c-Pr		
	0000	S	С	N	С	α-naphthyl	c-Hex		
	С	S	С	N	С	α-naphthyl	ОН		í
	С	S	С	N	С	α-naphthyl	CH ₂ OH		
	C	S	С	N	С	α-naphthyl	OMe		
	C	S	С	N	С	α-naphthyl	SMe		
	Č	S	С	N	-C	α-naphthyl	Cl		
	_								

W¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
С	s	С	Ņ	С	α-naphthyl	CF ₃
C	S	Ç.	Ν	C	α-naphthyl	Ρh
Ċ	S	Č	N	С	β-naphthyl	H
C	S	Ċ	N	C	β-naphthyl	Me
C	S	č	N	Ċ	β-naphthyl	Et
C.	.S	c	N	Ċ	β -naphthyl	n-Hex
c	S	c	N	Č	β-naphthyl	c-Pr
C	S	c	N	Č.	β-naphthyl	·c-Hex
C	S	c	N	c	β -naphthyl	ОН
		C	N	Ċ	β -naphthyl	CH_2OH
C	S	C	N	c	β-naphthyl	OMe
C	S	C	N	Ċ	β-naphthyl	SMe
C	S			C	β-naphthyl	Cl
C	S	C	N			CF ₃
С	S	C	N	C	β-naphthyl	Ph
С	S	С	N	C ·	β -naphthyl	H
С	S	C	N	С	2-pyridyl	Me
С	S	C	N	С	2-pyridyl	Et .
000000	S	С	N	c	2-pyridyl	n-Hex
С	S	С	N	C	2-pyridyl	c-Pr
С	S	С	N	C	2-pyridyl	c-Hex
С	S	С	N	C	2-pyridyl	OH
С	S	С	N	С	2-pyridyl	
С	S	С	N	С	2-pyridyl	CH ₂ OH
С	S	С	N	С	2-pyridyl	OMe SMo
С	S	С	N	С	2-pyridyl	S Me
С	S	С	N	С	2-pyridyl	CI
C	S	С	N	С	2-pyridyl	CF ₃
С		С	N	С	2-pyridyl	P h
С	S	С	N	С	3-pyridyl	Н
C		С	N	С	3-pyridyl	Me
С		C	N	С	3-pyridyl	Et
C	S	С	N	С	3-pyridyl	n-Hex
C	: S	С	N	С	3-pyridyl	c-Pr
C	: s	С	N	С	3-pyridyl	c-Hex
Ċ		С	N	С	3-pyridyl	ОН
Č					3-pyridyl	' CH ₂ OH
Č				С	3-pyridyl	OMe
Ò	S		N	С	3-pyridyl	S Me
,					3-pyridyl	Cl
					3-pyridyl	CF ₃
					3-pyridyl	Ph
					4-pyridyl	H
					4-pyridyl	Me
,					4-pyridyl	Et

	W ¹	W ²	W ³	W ⁴	W ⁵	Rª	R ^b
,	С	s	c .	N	С	4-pyridyl	n-Hex
	.C	S.	·C	N·	C·	4-pyridyl	c-Pr
	C	S	Č	N	С	4-pyridyl	c-Hex
	Ċ	S	Č	N	С	4-pyridyl	ОН
	Č	Š	Č	N	C	4-pyridyl	CH ₂ OH
	Č	S	Ċ	N	С	4-pyridyl	ОМе
	Ċ	Š	Ċ	N	C	4-pyridyl	S Me
	Ċ	S	С	N	С	4-pyridyl	Cl
	Č	S	C	N	С	4-pyridyl	CF ₃
	Č	S	C	N	С	4-pyridyl	Ph
	Ċ	S	Ċ	N	С	2-furanyl	H
	Ċ	S	Č	N	С	2-furanyl	Me
	č	S	Č	N	С	2-furanyl	Et
	Č	S	Ċ	N	С	2-furanyl	n-Hex
	Č	S	Ċ	N	C	2-furanyl	c-Pr
	č	S	Č	N	С	2-furanyl	c-Hex
	Ċ	S	C	N	Č	2-furanyl	OH
	Ċ	S	Ċ	N	Ċ	2-furanyl	CH,OH
	C	S	Č	N	Č	2-furanyl	OMe
	C	S	c	N	Ċ	2-furanyl	S Me
	C	S	Č	N	Č	2-furanyl	Cl
	C	. S	c	N	č	2-furanyl	CF ₃
	C	S	c	N	c	2-furanyl	Ph
	C	S	c	N	Č	2-thienyl	Н
	C	S	C	N	c	2-thienyl	Me
	C	S	C	N	c	2-thienyl	Et
	C	S	C	N	c	2-thienyl	n-Hex
			C	N	C	2-thienyl	c-Pr
	C	S	<u> </u>	N	C	2-thienyl	c-Hex
	C	S	. C	N	C	2-thienyl	OH
	C	S	C		C	2-thienyl	CH ₂ OH
	C	S	C	N	C	2-thienyl	OMe
	C	S	C	N	C	2-thienyl	S Me
	C	S	C	N	c	2-thienyl	Cl
	C	S	С	N	_	2-thienyl	CF ₃
	C	S	С	N	C	2-thienyl	Ph
	C C	S	C	N	C	2-tolyl	H
	C	S	С	N	C	2-tolyl 2-tolyl	· Me
	С	S	C	N	С	-	Et
	C	S	C	N	C	2-tolyl	n-Hex
	С	S	C	N	C	2-tolyl	
	С	S	C	N	C	2-tolyl	c-Pr
	С	S	С	N	C	2-tolyl	c-Hex
	C	S S	C	N		2-tolyl 2-tolyl	OH CH ₂ OH
				N			

	•						
	w¹	W^2	W ³	W ⁴	W ⁵	R ^a	R ^b
	С	S	C	N	С	2-tolyl	OMe
	C	s.	С	. N	. C .	2-tolyl	SMe
	Č	S	С	N	С	2-tolyl	Cl
	C C	S	С	N	С	2-tolyl	CF ₃
	С	S.	С	N	С	2-tolyl	Ph.
	C	s ·	С.	N	С	3-tolyl	H
	C	S	С	N	С	3-tolyl	Ме
	C	S	C	N	С	3-tolyl	Et
•	C	S	С	N	С	3-tolyl	n-Hex
•	Ċ	S	С	N	С	3-tolyl	c-Pr
	Ċ	S	С	N	С	3-tolyl	c-Hex
	Č	S	C	N	С	3-tolyl	OH
	Ċ	S	Ċ	N	С	3-tolyl	CH ₂ OH
	C	S	Č	N	C	3-tolyl	OMe
	c	S	Ċ	N	Č	3-tolyl	SMe
	c	S	Č	N	Ċ	3-tolyl	Cl
	C	S	c	N	Ċ	3-tolyl	CF ₃
	C	S	c	N	Č	3-tolyl	Рh
	C	S	Č	N	Ċ	4-tolyl	H
	Č	S	č	N	Ċ	4-tolyl	Me
	Ċ	Š	Č	N	C	4-tolyl	Et
	C	S	Ċ	N	С	4-tolyl	n-Hex
	č	S	Ċ	N	C	4-tolyl	c-Pr
	C	S	C	N	C	4-tolyl	c-Hex
	C	S	Č	N	Č	4-tolyl	он
	c	S	c	N	Č	4-tolyl	CH_2OH
	Č	S	č	N	Ċ	4-tolyl	OMe
	Ċ	S	Č	N	Ċ	4-tolyl	S Me
	C	S	Č	N	Č	4-tolyl	Cl
	C	S	č	N	Č	4-tolyl	CF ₃
	C	S	c	N	Č	4-tolyl	Ρh
	C	S	c	N	Č	Ph-2,3-Me ₂	Н
	c	S	C	N	č	Ph-2,3-Me ₂	Me
	C	S	c	N	Ċ	Ph-2,3-Me ₂	Et
			C	N	_	Ph-2,3-Me ₂	n-Hex
	C	S S	c	N		Ph-2,3-Me ₂	c-Pr
	C	S	c			Ph-2,3-Me ₂	c-Hex
	C		C			Ph-2,3-Me ₂	. OH
	C	S C	C			Ph-2,3-Me ₂	CH_2OH
	C	S	c			Ph-2,3-Me ₂	OMe
	C	S				Ph-2,3-Me ₂	SMe
	C					Ph-2,3-Me ₂	Cl
	C					Ph-2,3-Me ₂	CF ₃
	С	S S				Ph-2,3-Me ₂	Ph

					- 11	, -	<u> </u>
	W^1	W ²	W³	W ⁴	W ⁵	R ^a	R ^b
	С	s	С	N	С	Ph-3,4-Me ₂	н .
	С	S	C	. N	· C	Ph-3,4-Me2	Me
	С	S	С	N	С	Ph-3,4-Me2	Et
	С	S	С	N	Ċ	Ph-3,4-Me ₂	n-Hex
	C	S	С	Ν	С	Ph-3,4-Me2	c-Pr
•	C	S	С	N	С	Ph-3,4-Me2	c-Hex
	C	S	С	N	С	Ph-3,4-Me ₂	ОН
	С	S	С	N	С	Ph-3,4-Me ₂	CH ₂ OH
	Ċ	S	C	N	С	Ph-3,4-Me ₂	OMe
	Č	S	C	N	С	Ph-3,4-Me2	SMe
	Č	S	Ċ	N	C	Ph-3,4-Me ₂	C1
	Č	S	Ċ	N	Č	Ph-3,4-Me ₂	CF ₃
	Č	S	Č	N	.Ç	Ph-3,4-Me ₂	Ph
	č	S	Č	N	Ċ	Ph-3,5-Me ₂	Н
	c	S	Ċ	N	č	Ph-3,5-Me ₂	Me
	č	S	Č	N	Ċ	Ph-3,5-Me ₂	Et
	č	S	Č	N	č	Ph-3,5-Me ₂	n-Hex
	c	S	Č	N	Č	Ph-3,5-Me ₂	c-Pr
	C	S	Č	N	Č	Ph-3,5-Me ₂	c-Hex
	c	S	Č	N	Č	Ph-3,5-Me ₂	ОН
	č	S	č	N	Č	Ph-3,5-Me ₂	CH ₂ OH
	c	S	Ċ	N	Č	Ph-3,5-Me ₂	OMe
	Č	S	Č	N	Č	Ph-3,5-Me ₂	SMe
	Ċ	S	č	N	Č	Ph-3,5-Me ₂	Cl
	C	S	C	N	Č	Ph-3,5-Me ₂	CF ₃
	c	S	c	N	č	Ph-3,5-Me ₂	Ph
	C	S	C	N	Č	Ph-2,6-Me ₂	H
	C	S	C	N	Č	Ph-2,6-Me ₂	Me
	C	S	c	N	c	Ph-2,6-Me ₂	Et
	C	S	č	N	Č	Ph-2,6-Me ₂	n-Hex
	C	S	c	N		Ph-2,6-Me ₂	c-Pr
	C	S	c	N	C	Ph-2,6-Me ₂	c-Hex
	C	S	c	N	c	Ph-2,6-Me ₂	OH
	c	S	C	N	C	Ph-2,6-Me ₂	CH ₂ OH
				N	C	Ph-2,6-Me ₂	OMe
	C	S	C C		C	Ph-2,6-Me ₂	S M e
	C	S	C	N	C	Ph-2,6-Me ₂	Cl
	C	S		N	C		· CF ₃
	C	S	C	N	C	Ph-2,6-Me ₂ Ph-2,6-Me ₂	Ph
	C	S	C	N		Ph-2-Cl	H
	C	S	C	N	C	Ph-2-Cl Ph-2-Cl	Me
	C	S	C	N	C		Et .
	C	S	C	N	C	Ph-2-Cl	n-Hex
	С	S	C	N	C	Ph-2-Cl	n-Hex c-Pr
	С	S	С	N	-C	Ph-2-C1	C-PI

R ^b	R ^a	W ⁵	W ⁴	W ³	W ²	\mathbf{W}^{1}
c-Hex	Ph-2-Cl	С	N	С	s	С
OH	Ph-2-Cl	C.	Ņ	С	S .	C
CH ₂ OH	Ph-2-Cl	С	N	C	S	С
OMe	Ph-2-Cl	C	· N	С	S	С
S Me	Ph-2-Cl	C	N	C	S	C
Cl	Ph-2-Cl	C	N	C .	S	С
CF ₃	Ph-2-Cl	C	N	C	S	C
Ph	Ph-2-Cl	C	N	C	S	C
H	Ph-3-Cl	C	N	C	S	C
Me	Ph-3-Cl	С	N	С	S	C ·
Et	Ph-3-Cl	C	N	С	S	C
n-Hex	Ph-3-Cl	C	N	C	S	С
c-Pr	Ph-3-Cl	С	N	С	S	С
c-Hex	Ph-3-C1	С	N	С	S	С
ОН	Ph-3-C1	С	N	С	S	С
CH ₂ OH	Ph-3-Cl	С	N	C	S	С
OMe	Ph-3-Cl	С	N	С	S	С
SMe	Ph-3-Cl	С	N	С	S	С
C1	Ph-3-C1	С	N	С	S	С
CF ₃	Ph-3-Cl	С	N	С	S	С
Ρh	Ph-3-Cl	С	N	С	S	С
H	Ph-4-Cl	С	N	С	S	С
Me	Ph-4-Cl	С	N	С	S	С
Et	Ph-4-Cl	С	N	С	S	С
n-Hex	Ph-4-Cl	С	N	С	S	С
c-Pr	Ph-4-Cl	С	N	С	S	С
c-Hex	Ph-4-Cl	С	N	С	S	С
OH	Ph-4-Cl	С	N	С	S	С
CH ₂ OH	Ph-4-Cl	С	N	С	S	С
OMe	Ph-4-Cl	C	N	С	S	С
SMe	Ph-4-Cl	С	N	С	S	С
Cl	Ph-4-Cl	С	N	С	S	С
CF ₃	Ph-4-Cl	С	N	С	S	С
Ph	Ph-4-Cl	С	N	C	S	С
H	Ph-3,4-Cl ₂	С	N	С	S	С
Me	Ph-3,4-Cl ₂	С	N	С	S	С
Et	Ph-3,4-Cl ₂	С	N	С	S	С
·n-Hex	Ph-3,4-Cl ₂	С	N	С	S	С
c-Pr	Ph-3,4-Cl ₂	С	N	С	S	С
c-Hex	Ph-3,4-Cl ₂	С	N	С	S	С
ОН	Ph-3,4-Cl ₂	С	N	С	S	С
CH ₂ OH	Ph-3,4-Cl ₂	С	N	С	S	С
OMe	Ph-3,4-Cl ₂	С	N	С	S	C
SMe	Ph-3,4-Cl ₂	-C	N	С	S	С

•						
 W ¹	W ²	W ³	W ⁴	w ⁵	R ^a	R ^b
C	s	С	N	С	Ph-3,4-Cl ₂	C1
C	S ·	č	N	Ċ	Ph-3,4-Cl ₂	CF ₃
	S	Č	N	Ċ	Ph-3,4-Cl ₂	Ph
C C C	S	c	N	č	Ph-4-F	H
<u> </u>	S.	c	N	Ċ	Ph-4-F	Me
<u></u>		C.	N	C	Ph-4-F	Et
С	S		N	C	Ph-4-F	n-Hex
C	S	. C	N	c	Ph-4-F	c-Pr
C	S	C		c	Ph-4-F	c-Hex
С	S	C	N		Ph-4-F	OH
С	S	C	N	С	Ph-4-F	CH ₂ OH
С	S	C	N	С		OMe
С	S	С	N	С	Ph-4-F	S Me
С	S	C	N	C	Ph-4-F	Cl
С	S	С	N	C	Ph-4-F	
С	S	С	N	C	Ph-4-F	CF ₃
С	S	С	N	С	Ph-4-F	Ph
С	S	С	N	С	Ph-4-Br	H
С	S	С	N	С	Ph-4-Br	Me
С	S	С	N	C	Ph-4-Br	Et
С	S	С	N	C	Ph-4-Br	n-Hex
С	S	С	N	С	Ph-4-Br	c-Pr
С	S	С	N	С	Ph-4-Br	c-Hex
С	S	С	N	С	Ph-4-Br	OH
С	S	С	N	С	Ph-4-Br	CH ₂ OH
С	S.	С	N	С	Ph-4-Br	OMe
С	S	С	N	С	Ph-4-Br	S Me
С	S	С	N	С	Ph-4-Br	Cl
С	S	С	N	С	Ph-4-Br	CF ₃
С	S	С	N	С	Ph-4-Br	Ph
С	S	С	N	С	Ph-2-OMe	H
С	S	С	N	С	Ph-2-OMe	Me
С	S	С	N	С	Ph-2-OMe	Et
Č	S	С	N	С	Ph-2-OMe	n-Hex
C	S	C	N	С	Ph-2-OMe	c-Pr
Č	S	Č	N		Ph-2-OMe	c-Hex
c	S	Č	N	C	Ph-2-OMe	OH
c	S	Č	N		Ph-2-OMe	CH_2OH
c		c	N		Ph-2-OMe	OMe
C		c	N		Ph-2-OMe	S M e
C		c	N		Ph-2-OMe	Cl
C		c			Ph-2-OMe	CF ₃
C		C			Ph-2-OMe	Ph
		C			Ph-3-OMe	Н
C		C			Ph-3-OMe	Me
C	S	C	14	C	1 11-2-0140	

	•					
R ^b	R ^a	W ⁵	W ⁴	W^3	W^2	W^1
Et	Ph-3-OMe	C.	N	С	S	С
n-Hex	Ph-3-OMe	. C	·N	С	S	C
c-Pr	Ph-3-OMe	С	N	С	S	С
c-Hex	Ph-3-OMe	С	N	С	S	С
OH	Ph-3-OMe	С	N	С	S	С
CH ₂ OH	Ph-3-OMe	С	N	С	S	С
OMe	Ph-3-OMe	С	N	С	S	C
SMe	Ph-3-OMe	С	N	С	S	С
C1	Ph-3-OMe	С	N	С	S	С
CF ₃	Ph-3-OMe	С	N	С	S	С
Ph	Ph-3-OMe	С	N	С	S	С
н	Ph-4-OMe	С	N	С	S	C
Me	Ph-4-OMe	C	N	С	S	C
Et	Ph-4-OMe	Č	N	Č	S	Ċ
n-Hex	Ph-4-OMe	c	N	Č	S	Č
c-Pr	Ph-4-OMe	C	N	C	S	C
c-Hex	Ph-4-OMe	c	N	C	S	C
OH	Ph-4-OMe	c	N	C	S	C
CH ₂ OH	Ph-4-OMe	C	N	C	S	C
OMe	Ph-4-OMe	C	N	C	S	c
S Me	Ph-4-OMe	C	N	C	S	c
Cl	Ph-4-OMe	Č	N	C	S	c
CF ₃	Ph-4-OMe	c	N	c	S	Ċ
Ph	Ph-4-OMe	C	N	C	S	C
H	h-3,4-(OMe) ₂	C	N	Ċ	S	C
Me		c	N	C	S	Ċ
Et	h-3,4-(OMe) ₂					
	h-3,4-(OMe) ₂	C	N	C	S	С
n-Hex	h-3,4-(OMe) ₂	C	N	С	S	C
c-Pr	h-3,4-(OMe) ₂		N	C	S	C ·
c-Hex OH	h-3,4-(OMe) ₂		N	C C	S S	C
	h-3,4-(OMe) ₂		N	C	S	C C
CH ₂ OH OMe	h-3,4-(OMe) ₂		N			C
	h-3,4-(OMe) ₂	C	N	C	S	
S Me	h-3,4-(OMe) ₂	С	N	C	S	С
Cl	h-3,4-(OMe) ₂	C	N	С	S	C
CF ₃	h-3,4-(OMe) ₂	C	N	C	S	C
. Ph	h-3,4-(OMe) ₂	C	N	С	S	C
H	Ph-2-OH	C	N	C	S	C
Me	Ph-2-OH	C	N	C	S	C
Et	Ph-2-OH	C	N	C	S	C
n-Hex	Ph-2-OH	C	N	C	S	C
c-Pr	Ph-2-OH	C	N	C	S	C
c-Hex OH	Ph-2-OH	С	N	C	S	C
	Ph-2-OH	·C	N	С	S	С

W ¹	W ²	W ³	W ⁴	W ⁵	Rª	R ^b
C .	S	Ċ.	N	.c	Ph-2-OH	CH ₂ OH
С	. S	· C .	N	· C ·	Ph-2-OH	OMe
С	S	С	N	С	Ph-2-OH	S Me
C	S	С	N	С	Ph-2-OH	Cl
С	S	С	N	С	Ph-2-OH	CF ₃
С	S	С	N	С	Ph-2-OH	Ph
С	S	С	N	С	Ph-3-OH	Н
. C	S	C	N	C	Ph-3-OH	Me
С	S	С	N	С	Ph-3-OH	Et
C	S	C	N	С	Ph-3-OH	n-Hex
Č	S	Ċ	N	Č	Ph-3-OH	c-Pr
Ċ	S	C	N	Ċ	Ph-3-OH	c-Hex
Č	S	Č	N	Ċ	Ph-3-OH	ОН
Č	S	Č	N	Ċ	Ph-3-OH	CH ₂ OH
č	Š	č	N	č	Ph-3-OH	OMe
Č	S	č	N	č	Ph-3-OH	S Me
.C	s	č	N	c	Ph-3-OH	CI
Č	S	C.	N	č	Ph-3-OH	CF ₃
c	S	č	N	č	Ph-3-OH	Ph
c	S	c	N	c	Ph-4-OH	H
c	S	c	N	Č	Ph-4-OH	Me
c	S	C	N	C	Ph-4-OH	Et
c	. S	C	N	C	Ph-4-OH	n-Hex
c	S	C	N	C	Ph-4-OH	c-Pr
C	S	C	N	C	Ph-4-OH	c-Fi c-Hex
C	S	C		C	Ph-4-OH	OH
c	S	c	N N	C		
C					Ph-4-OH	CH ₂ OH
C	S	С	N	C	Ph-4-OH	OMe SM-
C	S	C	N	С	Ph-4-OH	S Me
C	S	C	N	C	Ph-4-OH	CI
C	S	С	N	C	Ph-4-OH	CF ₃
C	S	C	N	C	Ph-4-OH	Ph
C	S	C	N	C	Ph-3,4-(OH) ₂	H
C	S	C	N	C	Ph-3,4-(OH) ₂	Me
C	S	С	N	C	Ph-3,4-(OH) ₂	Et
C	S	С	N	С	Ph-3,4-(OH) ₂	n-Hex
C	S	C	N	C	Ph-3,4-(OH) ₂	c-Pr
C	S	С	N	С	Ph-3,4-(OH) ₂	c-Hex
С	S	C	N	C	Ph-3,4-(OH) ₂	OH
С	S	С	N	С	Ph-3,4-(OH) ₂	CH ₂ OH
С	S	C	N	C	Ph-3,4-(OH) ₂	ОМе
С	S	С	N	С	Ph-3,4-(OH) ₂	SMe
С	S	С	N	С	Ph-3,4-(OH) ₂	Cl
С	S	С	N	Ċ	$Ph-3, 4-(OH)_{2}$	CF ₃

w¹	W ²	W ³	W ⁴	W ⁵	Rª	Rb
 .c	S	С	N	С	Ph-3,4-(OH) ₂	Ph
C	S	C ·	N	. C	Ph-3-SMe	H
С	S	С	N	С	Ph-3-SMe	Me
С	S	С	N	С	Ph-3-SMe	Et
С	S	С	N.	С	Ph-3-SMe	n-Hex
С	S	С	N	С	Ph-3-SMe	c-Pr
С	S	С	N	С	Ph-3-SMe	c-Hex
С	S	С	N	С	Ph-3-SMe	OH
С	S	С	N	C	Ph-3-SMe	CH ₂ OH
С	S	С	N	С	Ph-3-SMe	OMe
С	S	С	N	С	Ph-3-5Me	S Me
С	S	С	N	С	Ph-3-SMe	· Cl
С	S	С	N	С	Ph-3-SMe	CF ₃
C	S	С	N	С	Ph-3-SMe	Ph
Ċ	S	Ċ	N	С	Ph-3-CF ₃	H
c	S	Č	N	C	Ph-3-CF ₃	Me
C	S	c	N	. č	Ph-3-CF ₃	Et
Č	S	Č	N	C	Ph-3-CF ₃	n-Hex
Ċ	S	Č	N	Ċ	Ph-3-CF ₃	c-Pr
Č	S	· c	N	С	Ph-3-CF ₃	c-Hex
č	S	Ċ	N	С	Ph-3-CF ₃	ÒН
Ċ	S	Č	N	С	$Ph-3-CF_3$	CH_2OH
C	S	Č	N	C	Ph-3-CF ₃	OMe
č	S	Ċ	N	C	$Ph-3-CF_3$	S Me
c	S	Č	N	Ċ	Ph-3-CF ₃	Cl
C	S	Ċ	N	Č	Ph-3-CF ₃	CF ₃
C	S	C	N	c	Ph-3-CF ₃	Ph
C	S	C	N	c	$Ph-3-NO_2$	H
C	S	C	N	c	$Ph-3-NO_2$	Me
C	S	c	N	c	$Ph-3-NO_2$	Et
		Č	N.	Č	$Ph-3-NO_2$	n-Hex
C C	S S	C	N	C	$Ph-3-NO_2$	c-Pr
C	S	C	N	C	$Ph-3-NO_2$	c-Hex
C	S	C	N	c	$Ph-3-NO_2$	OH
			N	C	$Ph-3-NO_2$	CH ₂ OH
C	S	C		C	$Ph-3-NO_2$	OMe
C	S	C	N	c	$Ph-3-NO_2$	S Me
C	S	C	N N	C	Ph-3-NO ₂	Cl
C	S	C	N	C	Ph-3-NO ₂	CF ₃
C	S	C	N		$Ph-3-NO_2$	Ph
C	S	C	N	C	_	Н
C	S	C	N	C	_	Me
C		C		C	-	Et
C		C			_	n-Hex
С	S	С	N	·C	F 11-4-14 1416 2	H- HOA

·						
R ^b	R ^a	W ⁵	W ⁴	W^3	W^2	W¹
c-Pr	Ph-4-NMe ₂	Ç.	N	С	s	С
c-Hex	Ph-4-NMe2	, C	·N	С	S .	C
OH	Ph-4-NMe2	С	N	С	S	C
CH ₂ OH	$Ph-4-NMe_2$	С	N	С	S	Ċ
OMe	$Ph-4-NMe_2$	С	N	С	S	Č
S Me	Ph-4-NMe ₂	С	N	С	S	Č
Cl	$Ph-4-NMe_2^2$	C	N	Č	S	Ċ
CF ₃	Ph-4-NMe2	С	N	C	S	Ċ
Ph	$Ph-4-NMe_2^2$	С	N	С	S	Ċ
H	Ph	С	N	N	N	Ċ
Me	Ph	С	N	N	N	Č
Et	Ph	С	N	N	N	Ċ
n-Hex	Ph	C	N	N	N	č
c-Pr	Ph	C	N	N	N	č
c-Hex	Ph	Ċ	N	N	N	Ċ
OH	Ph	Č	N	N	N	č
CH ₂ OH	Ph	Č	N	N	N	c
OMe	Ph	Ċ	N	N	N	C
S Me	Ph	Ċ	N	N	N	Č
C1	Ph	Ċ	N	N	N	Č
CF ₃	. Ph	Ċ	N	N	N	Ċ
Ph	Ph	C	N	N	N	Č
H	H	C	N	N	N	Ċ
Me	H	C	N	N	N	Č
Et	H	C	N	N	N	č
n-Hex	H	C	N	N	N	Ċ
c-Pr	H	Ċ	N	N	N	Ċ
c-Hex	H	С	N	N	N	Č
OH	H	С	N	N	N	Ċ
CH ₂ OH	H	С	N	N	N	Č
OMe	H	С	N	N	N	Č
SMe	H	C	N	N	N	Ċ
Cl	H	C	N	N	N	č
CF ₃	Н	C	N	N	N	Č
Ph	Н	Č	N	N	N	c
H	Me	Ċ	N	N	N	c
Me	Me	Č	N	N	N	C
· Et	Me	Ċ	N	N	N	c
n-Hex	Me	Č	N	N	N	c
c-Pr	Me	Ċ	N	N	N	c
c-Hex	Me	C	N	N	N	C
ОН	Me	Ċ	N	N	N	C
CH ₂ OH	Me	c	N	N	N	C
OMe	Me	Ċ	N	N	N	C
J	1710	_	TA	14	IA	C

W^1	W ²	W ³	W ⁴	W ⁵	R ^a ·	R ^b
С	·N	N	N	С	Me	S Me
Ċ	·N	N.	Ň	$C \cdot i$	Мe	CI
Č	N	N	N	C	Me	CF ₃
Ċ	N	N	N	С	Me	Ph
č	N	N	N	С	Et	H
Č.	N	N	N	C	Εt	Me
Č	N	N	N	С	Et	Et
Č	N	N	N	Ç.	. Et	n-Hex
Ċ	N	N	N	C	Et	c-Pr
Ċ	N	N	N	С	Et	c-Hex
Č	N	N	N	С	Et	OH
Č	N	N	N	C	Et	CH_2OH
. C	N	N	N	Č	Et	OMe
C	N	N	N	Ċ	Et	SMe
C	N	N	N	C.	Et	Cl
C	N	N	N	C	Et	CF ₃
C	N	N	N	C	Et	Ph
C	N	N	N	c	n-Pr	Н
c	N	N	N	c	n-Pr	Me
c	N	N	N	C	n-Pr	Et
C	N	N	N	c	n-Pr	n-Hex
C	N	N	N	Ċ	n-Pr	c-Pr
C	N	N	N	Ċ	n-Pr	c-Hex
C	N	N	N	. C	n-Pr	ОН
C	N	N	N	C	n-Pr	CH_2OH
C	N	N	N	Č	n-Pr	OMe
C	N	N	N	c	n-Pr	SMe
C	N	N	N	c	n-Pr	CI
C	N	N	N	c	n-Pr	CF ₃
C	N	N	N	c	n-Pr	Ph
C	N	N	N	c	n-Hex	H
C	N	N	N	c	n-Hex	Me
C	N	N	N		n-Hex	Et
C	N	N	N	Č	n-Hex	n-Hex
	N	N	N	c	n-Hex	c-Pr
C		N	N	c	n-Hex	c-Hex
C	N	N	N		n-Hex	ОН
C		N	N		n-Hex	· CH ₂ OH
C		N	N		n-Hex	OMe
C		N	N		n-Hex	SMe
C			N		n-Hex	Cl
C		N			n-Hex	CF ₃
C		N			n-Hex	Ph
C		N N			i-Pr	Н

							PCT/JP95/00560
	•				- 118	} -	
	. W ¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b
	. C	N	N .	: N	С	i-Pr	Me
•	Ċ	N .	N .	N	. C .	i-Pr	Et
	C	N	N	N	С	i-Pr	n-Hex
	Č	N	N	N	С	i-Pr	c-Pr
	C C	N	N	N	С	i-Pr	c-Hex
	Č	N	N	N	C	i-Pr	OH
	Ċ	N	N	N	Ċ	i-Pr	CH ₂ OH
	· Č	N	N	N	С	i-Pr	OMe
	Č	N	N	N	С	i-Pr	SMe
	Ċ	N	N	N	С	i-Pr	Cl
	č	N	N	N	С	i-Pr	CF ₃
	č	N	N	N	C	i-Pr	Ph
	Č	N	N	N	Č	t-Bu	H
	C	N	N	N	Ċ	t-Bu	Me
	c	N	N	N	C	t-Bu	Et
	Č	N	N	N	Ċ	t-Bu	n-Hex
	Č	N	N	N	C	t-Bu	c-Pr
	c	N	N	N	Č	t-Bu	c-Hex
	c	N	N	N	Ċ	t-Bu	OH
	C	N	N	N	Č	t-Bu	CH ₂ OH
	c	N	N	N	Č	t-Bu	OMe
	c	N	N	N	Č	t-Bu	SMe
	c	N	N	N	Ċ	t-Bu	Cl
	Č	N	N	N	C	t-Bu	CF ₃
	Č	N	N	N	C	t-Bu	Ph
	Č	N	N	N	C	c-Hex	H
	Ċ	N	N	N	С	c-Hex	Me
	c	N	N	N	C	c-Hex	Et
	c	N	N	N	C	c-Hex	n-Hex
	C	N	N	N	С	c-Hex	c-Pr
	C C	N	N	N	С	c-Hex	c-Hex
	c	N.		N	Ċ	c-Hex	OH
	č	N	N	N	C	c-Hex	CH_2OH
	c	N	N	N	Ċ	c-Hex	OMe
	c	N	N	N	Č	c-Hex	SMe
	C	N	N	N	C	c-Hex	Cl
	c	N	N	N	С	c-Hex	CF ₃
	c	N	N	N	Č	c-Hex	Ph
	c	N	N	N	Č	3-c-hexenyl	Н
	c	N	N	N	Č	3-c-hexenyl	Me
	C	N	N	N	Ċ	3-c-hexenyl	Et
	C	N	N	N		3-c-hexenyl	n-Hex
	C	N	N	.N		3-c-hexenyl	c-Pr
	C	N	N	N		3-c-hexenyl	c-Hex
	C	14	14	11	•		

W ¹	W ²	W ³	W ⁴	w ⁵	Rª	R ^b
С	N.	N-	N	С	3-c-hexenyl	ОĦ .
Ċ	. N.	N.	N	Ċ	3-c-hexenyl	CH ₂ OH
Č	N	N	N	С	3-c-hexenyl	OMe
č	N	N	N	C	3-c-hexenyl	SMe
Č	N	N	N	Č	3-c-hexenyl	. C1
Ċ	N	N	N	С	3-c-hexenyl	CF ₃
Č	N	N	N	С	3-c-hexenyl	Рh
· c	N	N	N	С	CH ₂ OH	H
Č	N	N	N	С	CH ₂ OH	Me
Č	N	N	N	С	CH ₂ OH	Εt
Ċ	N	N	N	С	CH ₂ OH	n-Hex
Č	N	N	N	C	CH ₂ OH	c-Pr
Č	N	N	N	Č	CH ₂ OH	c-Hex
C	N	N	N	Č	CH ₂ OH	OH
C	N	N	N	Ċ	CH ₂ OH	CH ₂ OH
c	N	N	N	C	CH ₂ OH	OMe
.C	N	N	N	C	CH ₂ OH	SMe
c	N	N.	N	C	CH ₂ OH	Cl
C	N	N	N	C	CH ₂ OH	CF ₃
C	N	N	N	č	CH ₂ OH	Ph
Č	N	N	N	Č	CH ₂ Ph	H
Č	N	N	N	Č	CH ₂ Ph	Me
C	N	N	N	Ċ	CH ₂ Ph	Et
C	N	N	N	Č	CH_2Ph	n-Hex
C	N	N	N	č	CH ₂ Ph	c-Pr
C	N	N	N	Ċ	CH ₂ Ph	c-Hex
C	N	N	N	Ċ	CH ₂ Ph	ОН
C	N	N	N	Ċ	CH ₂ Ph	CH_2OH
C	N	N	N	Ċ	CH ₂ Ph	OMe
Č	N	N	N	Č	CH ₂ Ph	SMe
c	N	N	N	Ċ	CH_2Ph	Cl
c	N	N	N	Ċ	CH ₂ Ph	CF ₃
c	N	N	N	Č	CH ₂ Ph	Ph
C	N	N	N	Č	α-naphthyl	H
Č	N	N	N	Č	α-naphthyl	Me
c	N	N	N	Č	α-naphthyl	Et
C	N	N	N	Ċ	α-naphthyl	n-Hex
C	N	N	N	č	α-naphthyl	c-Pr
C	N	N	N	č	α-naphthyl	c-Hex
C	N	N	N	Č	α-naphthyl	ОН
C	N	N	N	C	α-naphthyl	CH ₂ OH
C	N	N	N	Č	α-naphthyl	OMe
C	N	N	N	Ċ	α-naphthyl	S Me
	1.4	1.4	*,	-c	α-naphthyl	C1

			120				
	R ^b	Rª	,5	W ⁴ W	W ³	W ²	W¹
	CF ₃	α-naphthyl	2	N	N	N	С
	Ρh	α-naphthyl	c ···		N	N	C
	H	β-naphthyl	Ċ		N	N	c
	Me	β-naphthyl	C		N	N	C
•	Et	β-naphthyl	c		N	N	С
	n-Hex	β-naphthyl	c		N	·N	C
	c-Pr	β-naphthyl	c	N	N	N	C
	c-Hex	β-naphthyl	Č.	N	N	N	C
	OH	β-naphthyl	c	N	N	N	C
	CH ₂ OH	β-naphthyl	c	N	N		
	OMe	β-naphthyl	c	N	N	N	C
	S Me	β -naphthyl	c	N		N	С
	Cl	β-naphthyl	C	N	N	N	C
	CF ₃	β -naphthyl	c		N	N	C
	Ph	β-naphthyl		N	N	N	C
	H	2-pyridyl	C	N	N	N	С
	Me	2-pyridyl 2-pyridyl	C	N	N	N	С
	Et	2-pyridyl 2-pyridyl	С	N	N	N	С
	n-Hex	2-pyridyl 2-pyridyl	С	N	N	N	С
	c-Pr	2-pyridyl 2-pyridyl	C	N	N	N	С
	c-Hex		С	N	N	N	С
	ОН	2-pyridyl	C	N	N	N	С
	CH ₂ OH	2-pyridyl	C	N	N	N	С
	OMe	2-pyridyl	С	N	N	N	С
	S Me	2-pyridyl	С	N	N	N	С
	Cl	2-pyridyl	C	N	N	N	С
	CF ₃	2-pyridyl	C	N	N	N	С
	Ph	2-pyridyl	С	N	N	N	С
	H	2-pyridyl	С	N	N	N	С
		3-pyridyl	С	N	N	N	С
	Me	3-pyridyl	С	N	N	N	С
	Et	3-pyridyl	С	N	N	N	С
	n-Hex	3-pyridyl	C	N	N	N	С
	c-Pr	3-pyridyl	С	N	N	N	С
	c-Hex	3-pyridyl	С	N	N	N	·C
	OH	3-pyridyl	С	N	N	Ν	С
	CH ₂ OH	3-pyridyl	С	N	N	N	С
	OMe	3-pyridyl	С	N	N	N	C
	S Me	3-pyridyl	С	N	N	N	Ċ
	C1	3-pyridyl	С	N	N	N	Ċ
	CF ₃	3-pyridyl	С	N	N	N	c
	P h	3-pyridyl	С	N	N	N	C
	H	4-pyridyl	C	N	N	N	C
	Me	4-pyridyl	C	N	N		C
	Et	4-pyridyl	.C	N	N		C

W ¹	W ²	W^3	W ⁴	W ⁵	R ^a	R ^b
	N	N	N	Ç	4-pyridyl	n-Hex
C	N	N :	N	С	4-pyridyl	c-Pr
С	N	N	N	С	4-pyridyl	c-Hex
С	N	N	N	С	4-pyridyl	ОH
С	N	N,	N	С	4-pyridyl	CH_2OH
С	N	N	N	С	4-pyridyl	OMe
С	N	N	N	С	4-pyridyl	S Me
С	N	N	N	С	4-pyridyl	Cl
С	N	N	N	С	4-pyridyl	\mathtt{CF}_3
С	N	N	N	С	4-pyridyl	P h
С	N	N	N	С	2-furanyl	H
С	N	N	N	С	2-furanyl	Me
С	N	N	N	С	2-furanyl	Et
Č	N	N	N	С	2-furanyl	.n-Hex
Č	N	N	N	С	2-furanyl	c-Pr
Ċ	N	N	N	С	2-furanyl	c-Hex
c	N	N	N	С	2-furanyl	OH
Č	N	N	N	С	2-furanyl	CH ₂ OH
Č	N	N	N	С	2-furanyl	OMe
Č	N	N	N	С	2-furanyl	S Me
C	N	N	N	С	2-furanyl	.C1
С	N	N	N	С	2-furanyl	CF ₃
С	N	N	N	С	2-furanyl	Ph
С	N	N	N	С	2-thienyl	H
С	N	N	N	С	2-thienyl	Me
С		N	N	С	2-thienyl	Et
C		N	N	С	2-thienyl	n-Hex
С		N	N	С	2-thienyl	c-Pr
C		N	N	С	2-thienyl	c-Hex
C		N	N	С	2-thienyl	OH
C		N	N	С	2-thienyl	CH ₂ OH
C		N	N	С	2-thienyl	OMe
C		N	N	С	2-thienyl	S Me
C		N	N	С	2-thienyl	C1
C		N	N	С	2-thienyl	CF ₃
C		N	N	С	2-thienyl	Ph
C		N	N	С	2-tolyl	H
C		N	N	С	2-tolyl	Me
C		N		С	2-tolyl	Et
(N	N	С	2-tolyl	n-Hex
(o N		N	С	2-tolyl	c-Pr
C				С	2-tolyl	c-Hex
	o N				2-tolyl	OH
(C N	N	N	-C	2-tolyl	CH ₂ OH

	٠.				- 122	: -			
	W ¹	W ²	W ³	W ⁴ .	W ⁵	Rª .	· R ^b		
	C	Ņ	·N	. N	. C .	2-tolyl	ОМе		
	С	N	N	N	С	2-tolyl	S Me		•
	С	N	N	N	С	2-tolyl	Cl		
	С	N .	N	N	С	2-tolyl	CF ₃		-
	С	N.	N	N	С	2-tolyl	P h		•
•	C	N	N.	N	С	3-tolyl	H		
	C	N ·	· N	N	С	3-tolyl	Me		
•	Ċ	N	N	N	С	3-tolyl	Et		
	Č	N	N	N	С	3-tolyl	n-Hex		
	Č	N	N	N	С	3-tolyl	c-Pr		
	č	N	N	N	C	3-tolyl	c-Hex		
	č	N	N	N	C	3-tolyl	OH		
	Č	N	N	N	Ċ	3-tolyl	. CH ₂ OH		
	Č	N	N	N	Ċ	3-tolyl	OMe	-	
	Č	N	N	N	Č	3-tolyl	SMe		
	Ċ	N	N	N	Ċ	3-tolyl	Cl		
	č	N	N	N	Č	3-tolyl	CF ₃		
	C	N	N	N	č	3-tolyl	Ph ·		•
	C	N	N	N	Ċ	4-tolyl	Н		
	c	N	N	N	Č	4-tolyl	Me		
	c	N	N	N	č	4-tolyl	Έt		
	c	N	N	N	Ċ	4-tolyl	n-Hex		
	C	N	N	N	Č	4-tolyl	c-Pr		
	c	N	N	N	Č	4-tolyl	c-Hex		
	c	N	N	N	č	4-tolyl	ОН		
*	C	N	N	N	č	4-tolyl	CH_2OH		*
	C	N	N	N	č	4-tolyl	OMe		
	c	N	N	N	Č	4-tolyl	SMe		
	c	N	N	N	Č	4-tolyl	Cl		
	C	N	N	N	č	4-tolyl	CF ₃		
	c	N	N	N	č	4-tolyl	Ph		
	C	N	N	N	c	Ph-2,3-Me ₂	Н		
	C	N	N	N		Ph-2,3-Me ₂	Me		
		N	N	N	C	Ph-2,3-Me ₂	Et		
	C C	N	N	N		Ph-2,3-Me ₂	n-Hex		
	C	,	N	N		Ph-2,3-Me ₂	c-Pr		
	C	N N	N	N		Ph-2,3-Me ₂	. c-Hex		:
	C	N	N	N		Ph-2,3-Me ₂	ОН		
	C	N	N	N		Ph-2,3-Me ₂	CH ₂ OH		_
	C		N	N		Ph-2,3-Me ₂	OMe		•
		N		N		Ph-2,3-Me ₂	S Me		
	C	N	N	N		Ph-2,3-Me ₂	Cl		
	C	N	N			Ph-2,3-Me ₂ Ph-2,3-Me ₂	CF ₃		
	C	N	N	N			Ph		
•	С	N	N	N	\mathcal{C}	Ph-2,3-Me ₂	T 11		

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		•					
	W ¹	W ²	W^3	W ⁴	W ⁵	R ^a	R ^b
	С	N	N, :	N	C	Ph-3,4-Me ₂	н
•	·c	N.	N	Ν.	C.	Ph-3,4-Me2	Me
	Ċ	N	N	N	С	Ph-3,4-Me2	Et
	C	N	N	N	С	Ph-3,4-Me ₂	n-Hex
	С	N	N	N	С	Ph-3,4-Me ₂	c-Pr
	С	N	N	N	С	Ph-3,4-Me ₂	c-Hex
	С	N	N	N	С	Ph-3,4-Me2	OH
	C	N	N	N	С	Ph-3,4-Me2	CH_2OH
	C	N	N	N	'C	Ph-3,4-Me2	OMe
	C	N	N	N	С	Ph-3,4-Me2	SMe
	C	N	N	N	С	Ph-3,4-Me2	Cl
	Ċ	N	N	N	С	Ph-3,4-Me ₂	CF ₃
	Č	N	N	N	С	Ph-3,4-Me2	Ph
	Ċ	N	N	N	Č	Ph-3,5-Me ₂	H
	Č	N	N	N	Ċ	Ph-3,5-Me ₂	Me
	Č	N	N	N	Č	Ph-3,5-Me ₂	Et
	c	N	N	N	Č	Ph-3,5-Me ₂	n-Hex
	c	N	N	N	C	Ph-3,5-Me2	c-Pr
	Č	N	N	N	С	Ph-3,5-Me ₂	c-Hex
	Č	N	N	N	C	Ph-3,5-Me2	OH
	Č	N	N	N	·C	Ph-3,5-Me2	CH ₂ OH
	C	· N	N	N	С	Ph-3,5-Me ₂	OMe
	Č	N	N	N	С	Ph-3,5-Me2	S M e
	č	N	N	N	С	Ph-3,5-Me2	Cl
	Ċ	N	N	N	C	Ph-3,5-Me ₂	CF ₃
	č	N	N	N	С	Ph-3,5-Me ₂	Ph
	Č	N	N	N	С	Ph-2,6-Me2	H
	Ċ	N	N	N	С	Ph-2,6-Me2	Me
	Č	N-		N	С	Ph-2,6-Me2	Et
	Č	N	N	N	С	Ph-2,6-Me2	n-Hex
	Č	N	N	N	C	Ph-2,6-Me2	c-Pr
	Ċ	N	N	N	С	Ph-2,6-Me ₂	c-Hex
	Ċ	N	N	N	С	Ph-2,6-Me2	OH
	Ċ	N	N	N	С	Ph-2,6-Me ₂	CH_2OH
	Č	N	N	N	С	Ph-2,6-Me ₂	OMe
	Ċ	N	N	N	С	Ph-2,6-Me ₂	SMe
	Č	N	N	N	С	Ph-2,6-Me ₂	C1
	Ċ	N	N	N	С	Ph-2,6-Me ₂	CF ₃
	c	N	N	N	C	Ph-2,6-Me2	Ρh
	C		N	N	С	Ph-2-Cl	H
	c		N			Ph-2-Cl	Me
	Č					Ph-2-Cl	Et
	C					Ph-2-Cl	n-Hex
	C					Ph-2-C1	c-Pr
	Ŭ	- '					

	W ^{1.}	W^2	W ³	W ⁴	W ⁵	R ^a	R ^b		•	
	C	N	N	N	С	Ph-2-C1	c-Hex			
•	C	N	N	N	C	Ph-2-Cl	OH	•		
	C	N	N	N	Ċ	Ph-2-C1	CH_2OH			•
	c	N	N	N	Ċ	Ph-2-Cl	OMe			
	c	N	N.	N	Č	Ph-2-C1	SMe			:
	C	N	N	N	č	Ph-2-C1	Cl			
	C	N	N	N	Č	Ph-2-C1	CF ₃			
	c	N	N	N	Ċ	Ph-2-Cl	Ph			
	C	N	N	N	Ċ	Ph-3-C1	H			
	c	N	N	N	Č	Ph-3-Cl	Me			
	C	N	N	N	C	Ph-3-Cl	Et			
	C	N	N	N	Ċ	Ph-3-Cl	n-Hex			
	Ċ	N	N	N	C	Ph-3-C1	c-Pr			
	c	N	N	N	Ċ	Ph-3-Cl	c-Hex			
	Č	N	N	N	C	Ph-3-C1	OH			
	c	N	N	N	C	Ph-3-Cl	CH_2OH			
	č	N	N	N	С	Ph-3-Cl	ОМе			
	č	N	N	N	С	Ph-3-Cl	S Me			
	Ċ	N	N	N	С	Ph-3-Cl	C1			
•	Ċ	N	N	N	С	Ph-3-Cl	CF ₃			
	С	N	N	N	С	Ph-3-Cl	Ph			
	С	N	N	N	С	Ph-4-Cl	H			
	С	N	N	N	С	Ph-4-Cl	Me			
	С	N	N	N	С	Ph-4-Cl	Et			
	С	N	N	N	С	Ph-4-Cl	n-Hex			
	С	N	N	N	С	Ph-4-Cl	c-Pr			
	С	N	N	N	С	Ph-4-Cl	c-Hex			
	С	N	N	N	С	Ph-4-Cl	OH			
	С	N	N	N	С	Ph-4-Cl	CH ₂ OH			
	С	N	N	N	С	Ph-4-Cl	OMe			
	С	N	N	N	C	Ph-4-Cl	S Me			
	С	N	N	N	С	Ph-4-Cl	C1			
	С	N	N	N	С	Ph-4-Cl	CF ₃			
	С	N	Ν	N	С	Ph-4-Cl	Ph			
	С	N	N	N		Ph-3,4-Cl ₂	Н			
	С	N	N	N		Ph-3,4-Cl ₂	Me			_
	С	N	N	N		Ph-3,4-Cl ₂	Et			:
	С	N	N	N		Ph-3,4-Cl ₂	n-Hex			
	С	N	N	N		Ph-3,4-Cl ₂	c-Pr			
	С	Ν	N	N		Ph-3,4-Cl ₂	c-Hex			•
	С	N	N	N		Ph-3,4-Cl ₂	OH			
	С	N	N	N		Ph-3,4-Cl ₂	CH ₂ OH OMe			
	C	N	N	N		Ph-3,4-Cl ₂	S Me			
	С	N	N	N	-C	Ph-3,4-Cl ₂	3 1410			

							R ^b
	W1	W ²	W ³	W ⁴	W ⁵	Rª	R
•	С	N	N	N	C .	Ph-3,4-Cl ₂	C1
•	C	N	N	N	С	Ph-3,4-Cl ₂	CF ₃
	С	N	N	N	С	Ph-3,4-Cl ₂	Ρh
	С	N	N	N	С	Ph-4-F	H
	С	N	N	N	С	Ph-4-F	Me
	C	N	N	N	С	Ph-4-F	Et
	C	N	N	N	С	Ph-4-F	n-Hex
	Č	N	N	N	С	Ph-4-F	c-Pr
	C	N	N	N	С	Ph-4-F	c-Hex
	C	N	N	N	C.	Ph-4-F	OH
	C	N	N	N	С	Ph-4-F	CH_2OH
	Ċ	N	N	N	С	Ph-4-F	OMe
	C	N	N	N	С	Ph-4-F	S Me
	c	N	N	N	Ċ	Ph-4-F	Cl
	c	N	N	N	Ċ	Ph-4-F	CF ₃
	c	N	N	N	Ċ	Ph-4-F	Рh
	c	N	N	N	Č	Ph-4-Br	H
	C	N	N	N	Č	Ph-4-Br	Me
	c	N	N	N	Č	Ph-4-Br	Et
	c	N	N	N	č	Ph-4-Br	n-Hex
	c	N	N	N	č	Ph-4-Br	c-Pr
	C	N	N	N	Č	Ph-4-Br	c-Hex
	C	N	N	N	Ċ	Ph-4-Br	ОН
	C	N	N	N	Č	Ph-4-Br	CH_2OH
	c	N	N	N	Ċ	Ph-4-Br	OMe
	c	N	N	N	Č	Ph-4-Br	SMe
	C	N	N	N	Ċ	Ph-4-Br	Cl
	c	N	N	N	c	Ph-4-Br	CF ₃
	C	N	N	N	č	Ph-4-Br	Ph
	C	N	N	N	Ċ	Ph-2-OMe	H
	C	N	N	Ń	Č	Ph-2-OMe	Me
	C	N	N	N	č	Ph-2-OMe	Et
	C	N	N	N	č	Ph-2-OMe	n-Hex
	C	N	N	N	č	Ph-2-OMe	c-Pr
	_		N	N		Ph-2-OMe	c-Hex
	C	N	N	N		Ph-2-OMe	он
	C	N		N		Ph-2-OMe	CH ₂ OH
	C		N N	N		Ph-2-OMe	OMe
	C					Ph-2-OMe	SMe
	C		N			Ph-2-OMe	Cl
	C		N			Ph-2-OMe	CF ₃
	C					Ph-2-OMe	Ph
	C					Ph-3-OMe	H
	C					Ph-3-OMe	Me
	C	N	N	N	1 -C	Lu-2-OME	1,10

•

	R ^b	R ^a	W ⁵	W ⁴	W^3	W^2	W¹
•	Et	Ph-3-OMe	c	N	N	N	С
	n-Hex	Ph-3-OMe	· C	: N	N	N.	·· C
•	c-Pr	Ph-3-OMe	С	N	N	N	С
	c-Hex	Ph-3-OMe	С	N	N	N	С
:	OH	Ph-3-OMe	С	N	N	N	С
	CH ₂ ÓH	Ph-3-OMe	С	N	N	N	. C
	OMe	Ph-3-OMe	С	N	N	N	С
	S M e	Ph-3-OMe	С	N	N	N	С
	Cl	Ph-3-OMe	С	N	N	N	C
	CF ₃	Ph-3-OMe	С	N	N	N	С
	Ph	Ph-3-OMe	C	N	N	N	C
	H	Ph-4-OMe	С	N	N	N	С
	Me	Ph-4-OMe	С	N	N	N	C
	Et	Ph-4-OMe	С	N	N	N	С
	n-Hex	Ph-4-OMe	С	N	N	N	С
•	c-Pr	Ph-4-OMe	С	N	N	N	С
	c-Hex	Ph-4-OMe	С	N	N	N	С
	OH	Ph-4-OMe	С	N	N	N	С
	CH ₂ OH	Ph-4-OMe	С	N	N	N	С
	OMe	Ph-4-OMe	С	N	N	N	С
•	SMe	Ph-4-OMe	С	N	N	N	С
	C1	Ph-4-OMe	С	N	N	N	С
	CF ₃	Ph-4-OMe	С	N	N	N	С
	Ρh	Ph-4-OMe	С	N	N	N	С
	H	Ph-3,4-(OMe) ₂	С	N	N	N	С
	Me	Ph-3,4-(OMe) ₂	С	N	N	N	С
	Et	$Ph-3,4-(OMe)_2$	С	N	N	N	C
	n-Hex	Ph-3,4-(OMe) ₂	С	N	N	N	С
	c-Pr	Ph-3,4-(OMe) ₂	С	N	N	N	С
	c-Hex	$Ph-3, 4-(OMe)_2$	C	N	N	N	С
	OH	$Ph-3, 4-(OMe)_2$	С	N	N	N	С
	CH ₂ OH	Ph-3,4-(OMe) ₂	С	N	N	N	С
	OMe	Ph-3,4-(OMe) ₂	С	N	N	N	С
	SMe	Ph-3,4-(OMe) ₂	С	N	N	N	С
	CI	$Ph-3, 4-(OMe)_2$	С	N	N	N	С
	CF ₃	Ph-3,4-(OMe) ₂	С	N	N	N	С
1	Ph	$Ph-3,4-(OMe)_2$	С	N	N	N	С
	H	Ph-2-OH	C	N	N	N	С
	Me	Ph-2-OH	С	N	N	Ν	С
	Εt	Ph-2-OH	С	N	N	N	С
	n-Hex	Ph-2-OH	С	N	N	N	С
	c-Pr	Ph-2-OH	С	N	N	N	С
		DL O OII		NT	N.T		_
	c-Hex	Ph-2-OH	С	N	N	N	С

W	1 . W ²	.W ³	W ⁴	W ⁵	R ^a	. R ^b
C	N	N	N.	С	Ph-2-OH	CH ₂ OH
	, N	N.		С	Ph-2-OH	ОМе
С	N	N	N	С	Ph-2-OH	S Me
С	N	N	N	С	Ph-2-OH	C1
С	N	N	N	С	Ph-2-OH	CF ₃
С	N	N	N	С	Ph-2-OH	Ph
С	N.	N	N	С	Ph-3-OH	. H
· C	N	N	Ν	С	Ph-3-OH	Me
С	N	N	N	С	Ph-3-OH	Et
С	N	N	N	С	Ph-3-OH	n-Hex
С	N	N	N	С	Ph-3-OH	c-Pr
С	N	N	N	С	Ph-3-OH	c-Hex
С	N	N	N	С	Ph-3-OH	OH
С	N	N	N	С	Ph-3-OH	CH ₂ OH
С	N	N	N	С	Ph-3-OH	OMe
C	N	N	N	Ċ	Ph-3-OH	S Me
C	N	N	N	C	Ph-3-OH	Cl
С	N	N	N	С	Ph-3-OH	CF ₃
С	N	N	N	С	Ph-3-OH	Ph
С	N	N	N	С	Ph-4-OH	H
С	N	N	N	С	Ph-4-OH	·Me
С	N	N	N	С	Ph-4-OH	Et
С	N	N	N	С	Ph-4-OH	n-Hex
С	N	N	N	С	Ph-4-OH	c-Pr
С	N	N	N	С	Ph-4-OH	c-Hex
С	N	N	N	С	Ph-4-OH	он
С	N	N	N	С	Ph-4-OH	CH ₂ OH
С	N	N	N	С	Ph-4-OH	OMe
С	N	N	N	С	Ph-4-OH	S Me
С	N	N	N	С	Ph-4-OH	Cl
С	N	N	N	С	Ph-4-OH	CF ₃
С	N	N	N	C	Ph-4-OH	Ph
С	N	N	N	С	Ph-3,4-(OH) ₂	H
С	N	N	N	С	$Ph-3, 4-(OH)_2$	Me
С	N	N	N	С	$Ph-3, 4-(OH)_{2}^{2}$	Et
С	N	N	N	С	$Ph-3, 4-(OH)_{2}^{2}$	n-Hex
С	N	N	N	С	$Ph-3, 4-(OH)_{2}^{2}$	c-Pr
С	N	N	N	С	$Ph-3, 4-(OH)_{2}^{2}$	c-Hex
С	N	N	N	С	$Ph-3,4-(OH)_{2}^{2}$	ОН
С	N	N	N	С	$Ph-3,4-(OH)_{2}$	CH ₂ OH
C	N	N	N	C	$Ph-3,4-(OH)_{2}^{2}$	OMe
С	N	N	N	С	Ph-3,4-(OH) ₂	S Me
С	N	N	N	С	$Ph-3,4-(OH)_{2}^{2}$	Cl
С	N	N	N	-C	$Ph-3,4-(OH)_{2}^{2}$	CF ₃

	R ^b	Rª	W ⁵	W ⁴	W^3	W ²	\mathbf{W}^1
	P h	Ph-3,4-(OH) ₂	C .	N	N	N	C.
	H	Ph-3-SMe	C	N	N·	N	C
	Me	Ph-3-SMe	С	N	N	·N	С
	Et	Ph-3-SMe	С	N	N	N	С
	n-Hex	Ph-3-SMe	С	N	N	N	С
	c-Pr	Ph-3-SMe	С	N	N	N	С
	c-Hex	Ph-3-SMe	С	N	N	N	С
	OH	Ph-3-SMe	С	N	N	N	С
	CH ₂ OH	Ph-3-SMe	С	N	N	N	С
	OMe	Ph-3-SMe	С	N	N	N	C
	S Me	Ph-3-SMe	С	N	N	N	Ċ
	Cl	Ph-3-SMe	C	N	N	N	C
	CF ₃	Ph-3-SMe	Č	N	N	N	c
	Ρh	Ph-3-SMe	C	N	N	N	Č
	H	Ph-3-CF3	Ċ	N	N	N	č
	Me	Ph-3-CF3	С	N	N	N	Ċ
	Et	Ph-3-CF ₃	Ċ	N	N	N	Č
·	n-Hex	Ph-3-CF ₃	Ċ	N	N	N	Č
	c-Pr	Ph-3-CF ₃	Č	N	N	N	C
	c-Hex	Ph-3-CF ₃	Ċ	N	N	N	C
	.OH	Ph-3-CF ₃	Ċ	N	N	N	Č
	CH ₂ OH	Ph-3-CF ₃	C	N	N	N	Č
	OMe	$Ph-3-CF_3$	C	N	N	N	Č
	SMe	Ph-3-CF ₃	С	N	N	N	Č
	Cl	Ph-3-CF ₃	Ċ	N	N	N	Č
	CF ₃	Ph-3-CF ₃	C	N	N	N	Č
	Ph	Ph-3-CF ₃	Č	N	N	N	Ċ
	H	$Ph-3-NO_2$	Ċ	N	N	N	c
	Me	$Ph-3-NO_2$	Č	N	N	N	c
	Et	$Ph-3-NO_2^2$	Č	N	N	N	Ċ
	n-Hex	$Ph-3-NO_2$	Ċ	N	N	N	C
	c-Pr	$Ph-3-NO_2$	Č	N	N	N	C
	c-Hex	Ph-3-NO ₂	Č	N	N	N	c
	ОН	Ph-3-NO ₂	c	N	N	N	c
	CH ₂ OH	$Ph-3-NO_2$	č	N	N	N	c
	OMe	$Ph-3-NO_2$	c	N	N	N	c
	S M e	$Ph-3-NO_2$	č	N	N	N	C
	Cl	$Ph-3-NO_2$	Č	N	N	N	C
	CF ₃	$Ph-3-NO_2$	Č	N	N	N	c
	Ph	Ph-3-NO ₂	c	N	N	N	C
	Н	Ph-4-N Me ₂	C	N	N	N	C
	Me	Ph-4-NMe ₂	Č	N	N	N	C
	Et	Ph-4-NMe ₂	C	N	N	N	C
	n-Hex	Ph-4-N Me ₂	-c	N	N	N	C

W¹	W ²	W ³	W ⁴	W ⁵	R ^a	R ^b	•
Ċ.	Ņ	.N	N	· Ċ	Ph-4-NMe ₂	c-Pr	
C.	N	N	N.	C	Ph-4-NMe2	c-Hex	
С	N	N	N	С	Ph-4-NMe2	OH	
С	N	N	N	С	Ph-4-NMe ₂	CH_2OH	
С	N	N	N	С	Ph-4-NMe ₂	OMe	
С	N	N	Ν	С	$Ph-4-NMe_2$	S M e	
С	N	N	N	С	$Ph-4-NMe_2$	Cl	
С	N	N	N	С	Ph-4-NMe2	CF ₃	
С	N	N	N	С	$Ph-4-NMe_2$	Ph	
C.	N	С	N	N	Ph ~~	H	
С	N	С	N	N	Ph	Me	
С	N	С	N	N	Ph	Et	
С	N	С	N	N	Ph	n-Hex	
Ċ	N	Č	N	N	Ph	c-Pr	
Č	N	Ċ	N	N	Ph	c-Hex	
C	N	c	N	N	P h	OH	
- C	N	C	N	N	Ph	CH ₂ OH	
c	N	Ċ	N	N	Ph	OMe	
c	N	C	N	N	Ph	S Me	
Ċ	N	c	N	N	Ph	Cl	
C	N	Ċ	N	N	Ph	.CF ₃	
C	N	c	N	N	Ph	Ph	
C	·N	C	N	N	H	H	
C	N	C	N	N	H	Me	
						Et	
C	N	C	N	N	H		
C	N	C	N	N	H	n-Hex	•
C	N	С	N	N	H	c-Pr	
С	N	C	N	N	H	c-Hex	
C	N	С	N	N	Н	OH	
С	N	C	N	N	H	CH ₂ OH	
C	N	C	N	N	H	OMe	
C	N	C	N	N	H	SMe	
C	N	C	N	N	H	C1	
C	N	C	N	N	H	CF ₃	
C	N	C	N	N	Н	Ph	
С	N	C	N	N	Me	Н	
C	N	С	N	N	Me	Me	
С	N	С	N	N	Me	Et	
С	N	С	N	N	Me	n-Hex	
C	N	С	N	N	. Me	c-Pr	
С	N	С	Ν	N	Me	c-Hex	
С	N	С	N	N	Me	OH	
С	N	С	N	N	Me	CH_2OH	
С	N	С	N	-N	Me	OMe	